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Bescheinigung

Certificate

Attestation

Die angehefteten Unterlagen stimmen mit der ursprünglich eingereichten Fassung der auf dem nächsten Blatt bezeichneten europäischen Patent anmeldung überein.

The attached documents are exact copies of the European patent application described on the following page, as originally filed.

Les documents fixés à cette attestation sont conformes à la version initialement déposée de la demande de brevet européen spécifiée à la page suivante.

Patentanmeldung Nr. Patent application No. Demande de brevet n°

02010911.2

**PRIORITY DOCUMENT**  
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Der Präsident des Europäischen Patentamts;  
Im Auftrag

For the President of the European Patent Office

Le Président de l'Office européen des brevets  
p.o.

R C van Dijk

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THE HAGUE, 24/02/03  
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**Blatt 2 der Bescheinigung  
Sheet 2 of the certificate  
Page 2 de l'attestation**

Anmeldung Nr.:  
Application no.: **02010911.2**  
Demande n°:

Anmeldetag:  
Date of filing: **16/05/02**  
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Anmelder:  
Applicant(s):  
Demandeur(s):  
**Bayer CropScience GmbH**  
**65929 Frankfurt/Main**  
**GERMANY**

Bezeichnung der Erfindung:  
Title of the invention:  
Titre de l'invention:  
**Pesticidal pyridinecarboxamide derivatives**

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Contracting states designated at date of filing: **AT/BE/CH/CY/DE/DK/ES/FI/FR/GB/GR/IE/IT/LI/LU/MC/NL/PT/SE/TR**  
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The applicant's name at the time of filling of the application was as follows: Aventis CropScience GmbH, Frankfurt, Deutschland.  
The registration of the changes has taken effect on 09.07.02.  
See for the original title of the application, page 1 of the description.

Description

EPO - Munich  
20  
16. Mai 2002**5 Pesticidal Derivatives**

The invention relates to 3-pyridylcarboxamide derivatives and their use for the control of pests, in particular arthropods such as insects and acarids, and helminths (including nematodes); to compositions containing them, and to processes and

10 intermediates for their preparation.

The control of insects, nematodes or helminths with 3-pyridylcarboxamide compounds has been described in many patents such as EP 580374, JP 10101648, JP 10182625, WO 200109104, WO 200114340, JP 6321903, JP 10195072 and JP 11180957.

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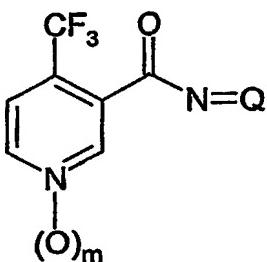
However, the level of action and/or duration of action of these prior-art compounds is not entirely satisfactory in all fields of application, in particular against certain organisms or when low concentrations are applied.

20 Since modern pesticides must meet a wide range of demands, for example regarding level, duration and spectrum of action, use spectrum, toxicity, combination with other active substances, combination with formulation auxiliaries or synthesis, and since the occurrence of resistances is possible, the development of such substances can never be regarded as concluded, and there is constantly a high  
25 demand for novel compounds which are advantageous over the known compounds, at least as far as some aspects are concerned.

It is an object of the present invention to provide compounds which widen the spectrum of the pesticides in various aspects.

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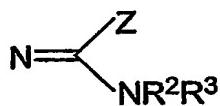
The present invention provides a compound which is a 3-pyridylcarboxamide derivative of formula (I):



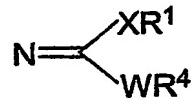
(I)

wherein:

$\text{N}=\text{Q}$  is a formula (A) or (B):



(A)



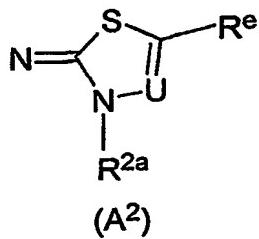
(B)

5

$\text{Z}$  is  $\text{YR}^1$  or  $\text{NR}^5\text{R}^6$ ;

or when  $\text{Z}$  is  $\text{YR}^1$ ,  $\text{R}^1$  and  $\text{R}^3$  may form together with the adjacent  $-\text{Y}-\text{C}-\text{NR}^2-$  atoms, a five or six membered saturated heterocyclic ring which optionally contains an additional N or O atom, and is unsubstituted or substituted by one or more  $\text{R}^7$  groups

10 or one of the ring carbon atoms may form a carbonyl or imino group, and which ring is optionally fused to a benzene ring optionally substituted by  $\text{R}^7$ ;  
or when  $\text{Z}$  is  $\text{YR}^1$ ,  $\text{R}^1$  and  $\text{R}^3$  may form together with the adjacent  $-\text{Y}-\text{C}-\text{NR}^2-$  atoms, a group (A<sup>2</sup>):

(A<sup>2</sup>)

- 15  $\text{Y}$ ,  $\text{X}$  and  $\text{W}$  are each independently O or S;  
or  $\text{R}^1$  and  $\text{R}^4$  may form together with the adjacent  $-\text{X}-\text{C}-\text{W}-$  group, a five or six membered unsaturated, partially saturated or saturated heterocyclic ring, unsubstituted or substituted by one or more  $\text{R}^7$  groups or one of the ring carbon atoms may form a carbonyl group;
- 20  $\text{R}^1$  is  $(\text{C}_1-\text{C}_8)$ alkyl,  $(\text{C}_3-\text{C}_6)$ alkenyl,  $(\text{C}_3-\text{C}_6)$ alkynyl or  $(\text{C}_3-\text{C}_8)$ cycloalkyl, which last four mentioned groups are unsubstituted or substituted by one or more  $\text{R}^8$  groups; or is

(C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl which cycloalkyl is unsubstituted or substituted by one or more R<sup>8</sup> groups; or is -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup> or -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>heterocyclyl; or when Y is O is (C<sub>1</sub>-C<sub>6</sub>)alkylamino, NH(C<sub>3</sub>-C<sub>8</sub>)cycloalkyl or NH(CH<sub>2</sub>)<sub>s</sub>R<sup>11</sup>;

R<sup>2a</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)alkenyl, (C<sub>3</sub>-C<sub>6</sub>)alkynyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy,

- 5 (C<sub>3</sub>-C<sub>6</sub>)alkenyloxy, (C<sub>3</sub>-C<sub>6</sub>)alkynyloxy, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>)alkylamino, NHCO(C<sub>1</sub>-C<sub>6</sub>)alkyl, NSO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl, CO(C<sub>1</sub>-C<sub>6</sub>)alkyl or SO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl which last thirteen mentioned groups are unsubstituted or substituted by one or more R<sup>8</sup> groups; or is (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl which cycloalkyl is unsubstituted or substituted by one or more R<sup>8</sup> groups; or is -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup>, -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>heterocyclyl,

- 10 OH, SO<sub>2</sub>R<sup>11</sup>, NH<sub>2</sub>, NHCOR<sup>11</sup>, NHR<sup>11</sup>, NH(C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, NH(CH<sub>2</sub>)<sub>s</sub>R<sup>11</sup>, O(CHR<sup>10</sup>)R<sup>11</sup>; O(CH<sub>2</sub>)<sub>r</sub>heterocyclyl or N=C[(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>; or is (C<sub>3</sub>-C<sub>6</sub>)alkenyl substituted by R<sup>11</sup>;

R<sup>2</sup> and R<sup>5</sup> are each independently R<sup>2a</sup> or H;

R<sup>3</sup> and R<sup>6</sup> are each independently H or R<sup>1</sup>;

- 15 R<sup>4</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl substituted by R<sup>8</sup>; or is (C<sub>3</sub>-C<sub>6</sub>)alkenyl, (C<sub>3</sub>-C<sub>6</sub>)alkynyl or (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl which last three mentioned groups are unsubstituted or substituted by one or more R<sup>8</sup> groups; or is (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl unsubstituted or substituted by one or more R<sup>8</sup> groups; or is -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup> or -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>heterocyclyl; or when W is O, R<sup>4</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkylamino;

- 20 or R<sup>2</sup> and R<sup>3</sup> together with the adjacent N atom form a 3 to 8-membered unsaturated, partially saturated or saturated heterocyclic ring which optionally contains up to three additional N, O or S atoms and which ring is unsubstituted or substituted by one or more R<sup>7</sup> groups (preferred examples of such ring systems include pyrrolidin-1-yl, piperidin-1-yl, 4,5-dihydropyrazol-1-yl, morpholin-1-yl, thiomorpholin-1-yl or its S-oxide or S, S-dioxide);

R<sup>7</sup> is R<sup>8</sup>, R<sup>4</sup>, (C<sub>1</sub>-C<sub>6</sub>)alkyl or CH<sub>2</sub>OH;

R<sup>8</sup> is halogen, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)haloalkoxy, S(O)<sub>n</sub>R<sup>12</sup>, CN, CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl, CO<sub>2</sub>H, NO<sub>2</sub>, OH, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>)alkylamino, carbamoyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbamoyl, di-(C<sub>1</sub>-C<sub>6</sub>)-alkylcarbamoyl, CH[O(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>, (C<sub>3</sub>-C<sub>6</sub>)alkenyloxy, (C<sub>3</sub>-C<sub>6</sub>)alkynyloxy or O(CH<sub>2</sub>)<sub>r</sub>R<sup>11</sup>;

R<sup>9</sup> and R<sup>10</sup> are each independently H, (C<sub>1</sub>-C<sub>6</sub>)alkyl or (C<sub>1</sub>-C<sub>6</sub>)haloalkyl;

- $R^{11}$  is aryl unsubstituted or substituted by one or more groups selected from ( $C_1-C_6$ )alkyl, ( $C_1-C_6$ )haloalkyl, ( $C_2-C_6$ )alkenyl, ( $C_2-C_6$ )alkynyl, ( $C_3-C_8$ )cycloalkyl,  $-(CH_2)_uR^{13}$ , heterocyclyl, halogen, ( $C_1-C_6$ )alkoxy, ( $C_1-C_6$ )haloalkoxy,  $S(O)_nR^{12}$ , CN,  $CO_2(C_1-C_6)$ alkyl,  $NO_2$ , amino, ( $C_1-C_6$ )alkylamino and di- $(C_1-C_6)$ alkylamino;
- 5     $R^{12}$  is ( $C_1-C_6$ )alkyl or ( $C_1-C_6$ )haloalkyl;  
 $R^{13}$  is phenyl unsubstituted or substituted by one or more groups selected from halogen, ( $C_1-C_6$ )alkyl and ( $C_1-C_6$ )haloalkyl;
- $R^e$  is H, ( $C_1-C_6$ )alkyl, ( $C_2-C_6$ )alkenyl, ( $C_2-C_6$ )alkynyl, ( $C_3-C_8$ )cycloalkyl, ( $C_3-C_8$ )cycloalkyl- $(C_1-C_6)$ alkyl, halogen, ( $C_1-C_6$ )alkoxy, ( $C_1-C_6$ )haloalkoxy,  $S(O)_nR^{12}$ , ( $C_3-C_6$ )alkenyloxy, ( $C_3-C_6$ )alkynyloxy,  $-(CH_2)_pR^{11}$ , heterocyclyl, CN,  $CO_2(C_1-C_6)$ alkyl,  $NO_2$ , amino, ( $C_1-C_6$ )alkylamino, di- $(C_1-C_6)$ alkylamino or  $O(CH_2)_rR^{11}$  wherein r is 0 or 1;
- 10    U is N or CH,  
m, s and u are each independently 0 or 1;
- 15    n is 0, 1 or 2;  
p is 0, 1, 2 or 3;  
r is 0 or an integer from 1 to 6; and each heterocyclyl in the above mentioned radicals is independently a mono or bicyclic heterocyclic radical having 3 to 7 ring atoms in each ring and 1 to 4 hetero atoms selected from N, O and S;
- 20    with the proviso that in (A) when Z is  $NR^5R^6$  then up to three of  $R^2$ ,  $R^3$ ,  $R^5$  and  $R^6$  are not simultaneously H;  
or a pesticidally acceptable salt thereof.  
These compounds possess valuable pesticidal properties.
- 25    The invention also encompasses any stereoisomer, enantiomer or geometric isomer, and mixtures thereof.

By the term "pesticidally acceptable salts" is meant salts the cations or anions of which are known and accepted in the art for the formation of salts for pesticidal or horticultural use. Suitable salts with bases, e.g. formed by compounds of formula (I) containing a carboxy or OH group, include alkali metal (e.g. sodium and potassium), alkaline earth metal (e.g. calcium and magnesium), ammonium and amine (e.g.

diethanolamine, triethanolamine, octylamine, morpholine and dioctylmethylamine) salts. Suitable acid addition salts, e.g. formed by compounds of formula (I) containing an amino group, include salts with inorganic acids, for example hydrochlorides, sulphates, phosphates and nitrates and salts with organic acids for example acetic acid.

In the present patent specification, including the accompanying claims, the aforementioned substituents have the following meanings:

halogen atom means fluorine, chlorine, bromine or iodine;

10 alkyl groups and portions thereof (unless otherwise defined) may be straight- or branched-chain;

cycloalkyl groups preferably have from three to six carbon atoms in the ring and are optionally substituted by halogen or alkyl.

The haloalkyl and haloalkoxy groups bear one or more halogen atoms; preferred 15 groups of this type include  $-CF_3$  and  $-OCF_3$ .

The term "halo" before the name of a radical means that this radical is partially or completely halogenated, that is to say, substituted by F, Cl, Br, or I, in any combination, preferably by F or Cl.

20 The expression " $(C_1-C_6)$ -alkyl" is to be understood as meaning an unbranched or branched hydrocarbon radical having 1, 2, 3, 4, 5 or 6 carbon atoms, such as, for example a methyl, ethyl, propyl, isopropyl, 1-butyl, 2-butyl, 2-methylpropyl or tert-butyl radical.

25 " $(C_1-C_6)$ -Haloalkyl" is to be understood as meaning an alkyl group mentioned under the expression " $(C_1-C_6)$ -alkyl" in which one or more hydrogen atoms are replaced by the same number of identical or different halogen atoms, preferably by chlorine or fluorine, such as the trifluoromethyl, the 1-fluoroethyl, the 2,2,2-trifluoroethyl, the chloromethyl, fluoromethyl, the difluoromethyl or the 1,1,2,2-tetrafluoroethyl group.

30 " $(C_1-C_6)$ -Alkoxy" is to be understood as meaning an alkoxy group whose hydrocarbon radical has the meaning given under the expression " $(C_1-C_6)$ -alkyl".

- The terms "alkenyl" and "alkynyl" with a range of carbon atoms stated as prefix denote a straight-chain or branched hydrocarbon radical having a number of carbon atoms which corresponds to this stated range and which contains at least one multiple bond which can be located in any position of the respective unsaturated radical. "(C<sub>2</sub>-C<sub>6</sub>)-Alkenyl" accordingly denotes, for example, the vinyl, allyl, 2-methyl-2-propenyl, 2-butenyl, pentenyl, 2-methylpentenyl or the hexenyl group. "(C<sub>2</sub>-C<sub>6</sub>)-Alkynyl" denotes, for example, the ethynyl, propargyl, 2-methyl-2-propynyl; 2-butynyl; 2-pentynyl or the 2-hexynyl group.
- "(C<sub>3</sub>-C<sub>8</sub>)-Cycloalkyl" denotes monocyclic alkyl radicals, such as the cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl radical, and denotes bicyclic alkyl radicals, such as the norbornyl radical.
- The expression "(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl" is to be understood as meaning, for example the cyclopropylmethyl, cyclopentylmethyl, cyclohexylmethyl, cyclohexylethyl, cyclohexylbutyl, 1-methylcyclopropyl, 1-methylcyclopentyl, 1-methylcyclohexyl, 3-hexylcyclobutyl or the 4-tert-butylcyclohexyl radical.
- "(C<sub>1</sub>-C<sub>6</sub>)-Alkylamino" denotes a nitrogen atom which is substituted by an alkyl radical of the above definition. "Di-(C<sub>1</sub>-C<sub>6</sub>)-alkylamino" denotes a nitrogen atom which is substituted by two alkyl radical of the above definition.
- The expression "(C<sub>1</sub>-C<sub>6</sub>)-alkylcarbamoyl" denotes a carbamoyl group having one hydrocarbon radical which has the meaning given under the expression "(C<sub>1</sub>-C<sub>6</sub>)-alkyl"; and "di-(C<sub>1</sub>-C<sub>6</sub>)-alkylcarbamoyl" denotes a carbamoyl group having two hydrocarbon radicals which can be identical or different.
- The expression "aryl" is to be understood as meaning a carbocyclic, i.e. constructed of carbon atoms, aromatic radical having preferably 6 to 14, in particular 6 to 12, carbon atoms, such as, for example, phenyl, naphthyl or biphenylyl, preferably phenyl.

- The expression "heterocycl" preferably denotes a cyclic radical which can be completely saturated, partially unsaturated or completely unsaturated and which contains in the ring one or more identical or different atoms selected from the group consisting of nitrogen, sulfur and oxygen, where, however, two oxygen atoms may
- 5 not be directly adjacent and at least one carbon atom has to be present in the ring, such as, for example, a thiophene, furan, pyrrole, thiazole, oxazole, imidazole, isothiazole, isoxazole, pyrazole, 1,3,4-oxadiazole, 1,3,4-thiadiazole, 1,3,4-triazole, 1,2,4-oxadiazole, 1,2,4-thiadiazole, 1,2,4-triazole, 1,2,3-triazole, 1,2,3,4-tetrazole, benzo[b]thiophene, benzo[b]furan, indole, benzo[c]thiophene, 1,3-benzodioxole, 1,3-
- 10 benzodioxane, benzo[c]furan, isoindole, benzoxazole, benzothiazole, benzimidazole, benzisoxazole, benzisothiazole, benzopyrazole, benzothiadiazole, benzotriazole, dibenzofuran, dibenzothiophene, carbazole, pyridine, pyrazine, pyrimidine, pyridazine, 1,3,5-triazine, 1,2,4-triazine, 1,2,4,5-tetrazine, quinoline, isoquinoline, quinoxaline, quinazoline, cinnoline, 1,8-naphthyridine, 1,5-naphthyridine,
- 15 1,6-naphthyridine, 1,7-naphthyridine, phthalazine, pyridopyrimidine, purine, pteridine, 4H-quinolizine, piperidine, pyrrolidine, oxazoline, tetrahydrofuran, tetrahydropyran, isoxazolidine, thiazolidine, oxirane or oxetane radical.

- Heterocycl preferably denotes a saturated, partially saturated or aromatic ring system having 3 to 7 ring atoms and 1 to 4 heteroatoms selected from the group consisting of O, S and N, where at least one carbon atom has to be present in the ring.

- More preferably, heterocycl denotes a pyridine, pyrimidine, (1,2,4)-oxadiazole, (1,3,4)-oxadiazole, pyrrole, furan, thiophene, oxazole, thiazole, imidazole, pyrazole, isoxazole, 1,2,4-triazole, tetrazole, pyrazine, pyridazine, oxazoline, thiazoline, tetrahydrofuran, tetrahydropyran, morpholine, piperidine, piperazine, pyrrolidine, pyrrolidine, oxazolidine, thiazolidine, oxirane, oxetane, 1,3-benzodioxole or 1,3-benzodioxane radical.

- Preferred substituents for the various aliphatic, aromatic and heterocyclic ring systems include halogen, nitro, cyano, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>1</sub>-C<sub>4</sub>)-

alkoxy, ( $C_1-C_4$ )-alkylthio, ( $C_1-C_4$ )-alkylsulfinyl, ( $C_1-C_4$ )-alkylsulfonyl, phenyl, benzyl and phenoxy, where in the alkyl radicals and the radicals derived therefrom one or more – and in the case of fluorine up to the maximum number of – hydrogen atoms can be replaced by halogen, preferably chlorine or fluorine.

5

Particularly preferred substituents include halogen, nitro, cyano, ( $C_1-C_4$ )-alkyl, ( $C_1-C_4$ )-haloalkyl, ( $C_3-C_6$ )-cycloalkyl, ( $C_1-C_4$ )-alkoxy, ( $C_1-C_4$ )-haloalkoxy, ( $C_1-C_4$ )-alkylthio and ( $C_1-C_4$ )-haloalkylthio.

- 10 It is to be generally understood, unless otherwise stated, that the term “unsubstituted or substituted by one or more groups” or “unsubstituted or substituted by one or more groups selected from” means that such groups (or preferred groups) may be the same or different.
- 15 The term pests means arthropod pests (including insects and acarids), and helminths (including nematodes).

Preferably Z is  $YR^1$ ;

or preferably when Z is  $YR^1$ ,  $R^1$  and  $R^3$  may form together with the adjacent

- 20 - $Y-C-NR^2-$  atoms, a five or six membered saturated heterocyclic ring which optionally contains an additional N or O atom, and is unsubstituted or substituted by one or more  $R^7$  groups or one of the ring carbon atoms may form a carbonyl or imino group, and which ring is optionally fused to a benzene ring optionally substituted by  $R^7$ ; preferably one of X and W is O and the other is S;
  - 25 or preferably  $R^1$  and  $R^4$  may form together with the adjacent - $X-C-W-$  group, a five or six membered unsaturated, partially saturated or saturated heterocyclic ring, unsubstituted or substituted by one or more  $R^7$  groups or one of the ring carbon atoms may form a carbonyl group.
- Preferably  $R^1$  is ( $C_1-C_8$ )alkyl or ( $C_3-C_6$ )alkenyl, which groups are unsubstituted or
- 30 substituted by one or more groups selected from ( $C_1-C_4$ )alkoxy,  $S(O)_nR^{12}$  and OH; or is -( $CR^9R^{10})_pR^{11}$  (more preferably  $R^1$  is ( $C_1-C_8$ )alkyl, ( $C_3-C_6$ )alkenyl or -( $CR^9R^{10})_pR^{11}$ ).

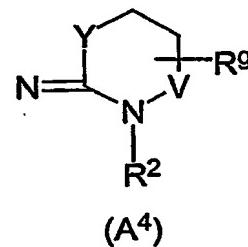
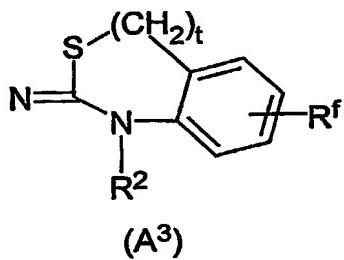
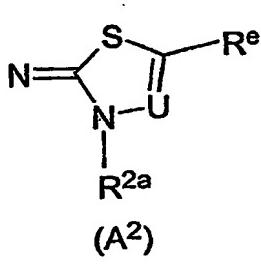
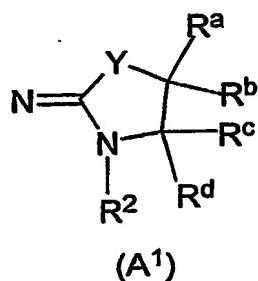
- Preferably R<sup>2</sup> is H, (C<sub>3</sub>-C<sub>6</sub>)alkenyl, (C<sub>3</sub>-C<sub>6</sub>)alkynyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>3</sub>-C<sub>6</sub>)alkenyloxy, (C<sub>3</sub>-C<sub>6</sub>)alkynyloxy, -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup>, -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>heterocyclyl, NHR<sup>11</sup> or O(CH<sub>2</sub>)<sub>r</sub>R<sup>11</sup>; or is (C<sub>1</sub>-C<sub>8</sub>)alkyl unsubstituted or substituted by a di-(C<sub>1</sub>-C<sub>4</sub>)alkylamino group; (more preferably R<sup>2</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)alkenyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup>, -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>heterocyclyl or O(CH<sub>2</sub>)<sub>r</sub>R<sup>11</sup>).
- 5 Preferably R<sup>3</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl or (C<sub>3</sub>-C<sub>6</sub>)alkenyl, which groups are unsubstituted or substituted by an (C<sub>1</sub>-C<sub>4</sub>)alkoxy or OH group; or is H or -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup> (more preferably R<sup>3</sup> is H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)alkenyl or -(CH<sub>2</sub>)<sub>p</sub>R<sup>11</sup>).
- Preferably R<sup>4</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl substituted by (C<sub>1</sub>-C<sub>4</sub>)alkoxy or OH; or is (C<sub>3</sub>-C<sub>6</sub>)alkenyl, (C<sub>3</sub>-C<sub>6</sub>)alkynyl or (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl which last three mentioned groups are unsubstituted or substituted by an (C<sub>1</sub>-C<sub>4</sub>)alkoxy or OH group; or is (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl which cycloalkyl is unsubstituted or substituted by an (C<sub>1</sub>-C<sub>4</sub>)alkoxy or OH group; or is -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup> or -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>heterocyclyl (more preferably R<sup>4</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)alkenyl or -(CH<sub>2</sub>)<sub>p</sub>phenyl);
- 10 15 or preferably R<sup>2</sup> and R<sup>3</sup> together with the adjacent N atom form a 3 to 8-membered unsaturated, partially saturated or saturated heterocyclic ring which optionally contains up to three additional N, O or S atoms and which ring is unsubstituted or substituted by one or more R<sup>7</sup> groups (preferred examples of such ring systems include pyrrolidin-1-yl, piperidin-1-yl, morpholin-1-yl, thiomorpholin-1-yl or 4,5-dihdropyrazol-1-yl).
- 20 Preferably R<sup>7</sup> is (C<sub>1</sub>-C<sub>4</sub>)alkoxy, OH, R<sup>4</sup>, (C<sub>1</sub>-C<sub>4</sub>)alkyl or CH<sub>2</sub>OH.
- Preferably R<sup>8</sup> is (C<sub>1</sub>-C<sub>4</sub>)alkoxy or OH.
- Preferably R<sup>9</sup> and R<sup>10</sup> which may be the same or different, are each independently selected from H, (C<sub>1</sub>-C<sub>4</sub>)alkyl and (C<sub>1</sub>-C<sub>4</sub>)haloalkyl.
- 25 30 Preferably R<sup>11</sup> is phenyl unsubstituted or substituted by one or more groups selected from (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)haloalkyl, (C<sub>2</sub>-C<sub>4</sub>)alkenyl, (C<sub>2</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, -(CH<sub>2</sub>)<sub>u</sub>R<sup>13</sup>, heterocyclyl, halogen, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)haloalkoxy, S(O)<sub>n</sub>R<sup>12</sup>, CN, CO<sub>2</sub>(C<sub>1</sub>-C<sub>4</sub>)alkyl, NO<sub>2</sub>, amino, (C<sub>1</sub>-C<sub>4</sub>)alkylamino and di-(C<sub>1</sub>-C<sub>4</sub>)alkylamino; (more preferably R<sup>11</sup> is phenyl unsubstituted or substituted by one or more groups selected from (C<sub>1</sub>-C<sub>4</sub>)alkyl, halogen, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, NO<sub>2</sub> and amino).
- Preferably R<sup>12</sup> is (C<sub>1</sub>-C<sub>4</sub>)alkyl or (C<sub>1</sub>-C<sub>4</sub>)haloalkyl.
- Preferably m is 0.

Preferably p, r, s and u are each independently 0 or 1.

Preferably each heterocyclyl in the above mentioned radicals is independently a heterocyclic radical having 3 to 7 ring atoms and 1 to 4 hetero atoms selected from N, O and S;

5

A preferred embodiment of the invention comprises compounds of formula (I) wherein N=Q is a formula (A) in which Z is YR<sup>1</sup> and R<sup>1</sup> and R<sup>3</sup> form together with the adjacent -Y-C-NR<sup>2</sup>- atoms, a heterocyclic ring which is of formula (A<sup>1</sup>), (A<sup>2</sup>), (A<sup>3</sup>) or (A<sup>4</sup>):



10

wherein:

Y is O or S;

U is N or CH;

V is O or CH<sub>2</sub>;

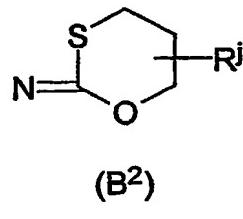
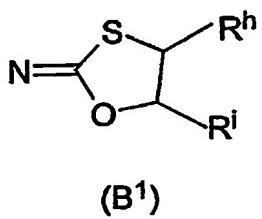
15 t is 0 or 1;

R<sup>a</sup>, R<sup>b</sup>, R<sup>c</sup> and R<sup>d</sup> are each independently selected from H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)haloalkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, halogen, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)haloalkoxy, S(O)<sub>n</sub>R<sup>12</sup>, (C<sub>2</sub>-C<sub>6</sub>)alkenyloxy, (C<sub>2</sub>-C<sub>6</sub>)alkynyloxy, R<sup>11</sup>, heterocyclyl and O(CH<sub>2</sub>)<sub>r</sub>R<sup>11</sup> wherein r is 0 or 1;

20 or R<sup>a</sup> and R<sup>b</sup>, or R<sup>c</sup> and R<sup>d</sup> may form a carbonyl or imino group (more preferably R<sup>a</sup>, R<sup>b</sup>, R<sup>c</sup> and R<sup>d</sup> are each independently selected from H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy and R<sup>11</sup>; or R<sup>a</sup> and R<sup>b</sup>, or R<sup>c</sup> and R<sup>d</sup> may form a carbonyl or imino group; most preferably R<sup>a</sup>, R<sup>b</sup>, R<sup>c</sup> and R<sup>d</sup> are each H or R<sup>c</sup> and R<sup>d</sup> form a carbonyl group, or R<sup>a</sup> and R<sup>b</sup> form an imino group);

25 R<sup>e</sup> and R<sup>f</sup> are each independently selected from H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl, halogen, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)haloalkoxy, S(O)<sub>n</sub>R<sup>12</sup>, (C<sub>2</sub>-C<sub>6</sub>)alkenyloxy, (C<sub>2</sub>-C<sub>6</sub>)alkynyloxy, -(CH<sub>2</sub>)<sub>p</sub>R<sup>11</sup>,

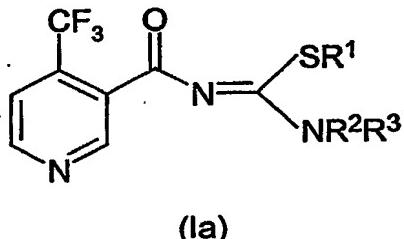
- heterocycll, CN,  $\text{CO}_2(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ ,  $\text{NO}_2$ , amino,  $(\text{C}_1\text{-}\text{C}_6)\text{alkylamino}$ , di- $(\text{C}_1\text{-}\text{C}_6)\text{alkylamino}$  and  $\text{O}(\text{CH}_2)\text{R}^{11}$  wherein r is 0 or 1 (more preferably  $\text{R}^e$  and  $\text{R}^f$  are each independently selected from H,  $(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ ,  $(\text{C}_1\text{-}\text{C}_6)\text{haloalkyl}$ , halogen and  $\text{R}^{11}$ ; most preferably  $\text{R}^e$  and  $\text{R}^f$  are each H);
- 5  $\text{R}^g$  is H,  $(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ , halogen,  $(\text{C}_1\text{-}\text{C}_6)\text{alkoxy}$ ,  $\text{CO}_2(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$  or  $\text{R}^{11}$  (more preferably  $\text{R}^g$  is H);  
 $\text{R}^{2a}$  is  $(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$  unsubstituted or substituted by one or more groups selected from halogen,  $(\text{C}_1\text{-}\text{C}_6)\text{alkoxy}$ ,  $\text{CH}[\text{O}(\text{C}_1\text{-}\text{C}_6)\text{alkyl}]_2$ , CN,  $\text{CO}_2(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$  and  $\text{CO}_2\text{H}$ ; or is  $(\text{C}_3\text{-}\text{C}_6)\text{alkenyl}$  unsubstituted or substituted by one or more halogen or phenyl
- 10 groups; or is  $(\text{C}_3\text{-}\text{C}_6)\text{alkynyl}$ ,  $(\text{C}_3\text{-}\text{C}_8)\text{cycloalkyl}$ ,  $(\text{C}_3\text{-}\text{C}_8)\text{cycloalkyl-}(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ ,  $(\text{C}_1\text{-}\text{C}_6)\text{alkoxy}$ ,  $(\text{C}_3\text{-}\text{C}_6)\text{alkenyloxy}$  or  $(\text{C}_3\text{-}\text{C}_6)\text{alkynyloxy}$ ; or is  $-(\text{CHR}^{10})_p\text{R}^{11}$  wherein  $\text{R}^{10}$  is H or  $(\text{C}_1\text{-}\text{C}_8)\text{alkyl}$ , p is 0 or 1 and  $\text{R}^{11}$  is phenyl unsubstituted or substituted by one or more groups selected from halogen,  $(\text{C}_1\text{-}\text{C}_6)\text{haloalkyl}$ ,  $(\text{C}_1\text{-}\text{C}_6)\text{alkoxy}$ ,  $(\text{C}_1\text{-}\text{C}_6)\text{haloalkoxy}$  and phenoxy unsubstituted or substituted by one or more groups
- 15 selected from halogen and  $(\text{C}_1\text{-}\text{C}_6)\text{haloalkyl}$ ; or is  $\text{O}(\text{CHR}^{10})_r\text{R}^{11}$  wherein  $\text{R}^{10}$  is H or  $(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ , r is 1 and  $\text{R}^{11}$  is phenyl unsubstituted or substituted by one or more groups selected from  $(\text{C}_1\text{-}\text{C}_6)\text{haloalkyl}$ ,  $(\text{C}_1\text{-}\text{C}_6)\text{alkoxy}$  and  $\text{NO}_2$ ; (more preferably  $\text{R}^{2a}$  is  $(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ ,  $(\text{C}_2\text{-}\text{C}_6)\text{alkenyl}$  or  $\text{CH}_2\text{phenyl}$ ; or phenyl unsubstituted or substituted by one or more halogen or  $(\text{C}_1\text{-}\text{C}_6)\text{haloalkyl}$  groups; or is  $(\text{C}_2\text{-}\text{C}_6)\text{alkenyl}$
- 20 unsubstituted or substituted by a phenyl group; or is  $\text{CH}_2\text{CO}_2\text{H}$  or  $\text{CH}_2\text{CO}_2(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ ; and  
 $\text{R}^2$  is  $\text{R}^{2a}$  or H (more preferably  $\text{R}^2$  is H,  $(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ ,  $(\text{C}_3\text{-}\text{C}_6)\text{alkenyl}$ ,  $(\text{C}_1\text{-}\text{C}_6)\text{alkoxy}$  or  $\text{CH}_2\text{phenyl}$ ; or is phenyl unsubstituted or substituted by one or more halogen or  $(\text{C}_1\text{-}\text{C}_6)\text{haloalkyl}$  groups; or is  $(\text{C}_3\text{-}\text{C}_6)\text{alkenyl}$  unsubstituted or substituted by a phenyl
- 25 group; or is  $\text{CH}_2\text{CO}_2\text{H}$  or  $\text{CH}_2\text{CO}_2(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ ; most preferably  $\text{R}^2$  is H,  $(\text{C}_1\text{-}\text{C}_6)\text{alkyl}$ ,  $(\text{C}_3\text{-}\text{C}_6)\text{alkenyl}$ ,  $(\text{C}_1\text{-}\text{C}_6)\text{alkoxy}$ ,  $\text{CH}_2\text{phenyl}$  or phenyl).
- A further preferred embodiment of the invention comprises compounds of formula (I) wherein N=Q is a formula (B) in which  $\text{R}^1$  and  $\text{R}^4$  form together with the adjacent
- 30 -X-C-W- group, a heterocyclic ring of formula (B<sup>1</sup>) or (B<sup>2</sup>):



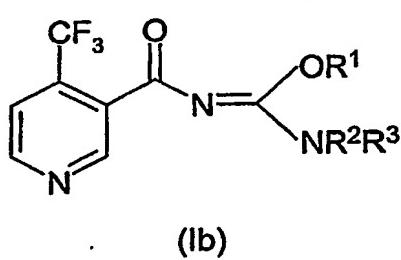
wherein:

- R<sup>h</sup>, R<sup>i</sup> and R<sup>j</sup> are each independently H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, halogen, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl or R<sup>11</sup> (more preferably R<sup>h</sup>, R<sup>i</sup> and R<sup>j</sup> are each independently H or (C<sub>1</sub>-C<sub>6</sub>)alkyl).
- 5

A more preferred class of compounds of formula (I) are of formula (Ia):



- 10 wherein R<sup>1</sup> is (C<sub>3</sub>-C<sub>6</sub>)alkenyl, (C<sub>3</sub>-C<sub>6</sub>)haloalkenyl, (C<sub>3</sub>-C<sub>6</sub>)alkynyl or (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl; or is (C<sub>1</sub>-C<sub>6</sub>)alkyl unsubstituted or substituted by one or more CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl or CH[O(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub> groups; or is -(CHR<sup>10</sup>)R<sup>11</sup> wherein R<sup>10</sup> is H or (C<sub>1</sub>-C<sub>6</sub>)alkyl, and R<sup>11</sup> is phenyl unsubstituted or substituted by one or more halogen or (C<sub>1</sub>-C<sub>6</sub>)haloalkyl groups;
- 15 R<sup>2</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, phenyl or N=C[(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>; or is CH<sub>2</sub>R<sup>11</sup> where R<sup>11</sup> is phenyl unsubstituted or substituted by one or more halogen groups; and R<sup>3</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl or phenyl; or R<sup>2</sup> and R<sup>3</sup> together with the adjacent N atom form a pyrrolidin-1-yl, piperidin-1-yl or morpholin-1-yl ring.
- 20 A more preferred class of compounds of formula (I) are of formula (Ib):

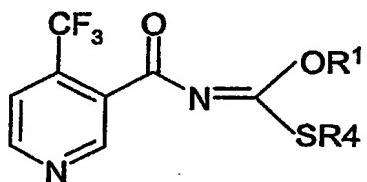


wherein R<sup>1</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl unsubstituted or substituted by a S(O)<sub>n</sub>R<sup>12</sup> group;

$R^2$  is  $(C_3\text{-}C_6)$ alkenyl,  $(C_3\text{-}C_6)$ alkynyl,  $(C_3\text{-}C_8)$ cycloalkyl,  $(C_3\text{-}C_8)$ cycloalkyl- $(C_1\text{-}C_6)$ alkyl,  $(C_1\text{-}C_6)$ alkoxy or  $(C_3\text{-}C_6)$ alkenyloxy; or is  $(C_1\text{-}C_8)$ alkyl unsubstituted or substituted by one or more groups selected from halogen,  $(C_1\text{-}C_6)$ alkoxy, di- $(C_1\text{-}C_6)$ alkylamino, CN,  $CH[O(C_1\text{-}C_6)\text{alkyl}]_2$ , phenyl and phenoxy; or is  $NHR^{11}$  wherein  $R^{11}$  is phenyl

- 5 unsubstituted or substituted by one or more ( $C_1$ - $C_6$ )haloalkyl groups; or is  
-( $CHR^{10}$ ) $R^{11}$  wherein  $R^{10}$  is H or ( $C_1$ - $C_6$ )alkyl, and  $R^{11}$  is phenyl unsubstituted or  
substituted by one or more halogen, ( $C_1$ - $C_6$ )alkyl or ( $C_1$ - $C_6$ )haloalkyl groups; or is  
- $CH_2$ heterocyclyl wherein heterocyclyl is thienyl, pyridyl, furyl or 1,3-benzodioxolanyl;  
or is  $OCH_2$ heterocyclyl wherein heterocyclyl is benzo-1,3-dioxanyl unsubstituted or  
10 substituted by one or more halogen groups; and  
 $R^3$  is H or ( $C_1$ - $C_6$ )alkyl.

A more preferred class of compounds of formula (I) are of formula (Ic):



(Ic)

wherein R<sup>1</sup> is (C<sub>3</sub>-C<sub>6</sub>)alkenyl or (C<sub>3</sub>-C<sub>6</sub>)alkynyl; or is -(CHR<sup>10</sup>)R<sup>11</sup> wherein R<sup>10</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, and R<sup>11</sup> is phenyl unsubstituted or substituted by one or more (C<sub>1</sub>-C<sub>6</sub>)haloalkyl groups; and

R<sup>4</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkylamino; or is (C<sub>1</sub>-C<sub>6</sub>)alkyl unsubstituted or substituted by one or two (C<sub>1</sub>-C<sub>6</sub>)alkoxy or S(O)<sub>n</sub>R<sup>12</sup> groups.

A more preferred class of compounds of formula (I) are those in which N=Q is a formula (A<sup>1</sup>) above, wherein:

$R^a$  and  $R^b$  are each H or ( $C_1-C_6$ )alkyl, or  $R^a$  and  $R^b$  form an imino group;

- 25 R<sup>c</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or phenyl;  
R<sup>d</sup> is H or (C<sub>1</sub>-C<sub>6</sub>)alkyl; or R<sup>a</sup> and R<sup>b</sup> or R<sup>c</sup> and R<sup>d</sup> may form a carbonyl group;  
Y is O or S; and  
R<sup>2</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl or CH<sub>2</sub>phenyl; or phenyl unsubstituted or substituted by one or more halogen groups; or (C<sub>3</sub>-C<sub>6</sub>)alkenyl substituted by phenyl.

A further more preferred class of compounds of formula (I) are those in which N=Q is a formula (A<sup>2</sup>) above, wherein:

R<sup>e</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)haloalkyl or phenyl;

U is N or CH; and

- 5 R<sup>2a</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, CH<sub>2</sub>CO<sub>2</sub>H, CH<sub>2</sub>CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl or CH<sub>2</sub>phenyl; or is phenyl unsubstituted or substituted by one or more (C<sub>1</sub>-C<sub>6</sub>)haloalkyl groups; or is (C<sub>3</sub>-C<sub>6</sub>)alkenyl unsubstituted or substituted by a phenyl group.

A further more preferred class of compounds of formula (I) are those in which N=Q is  
10 a formula (A<sup>3</sup>) above, wherein:

R<sup>f</sup> is H or halogen;

t is 0 or 1; and

R<sup>2</sup> is H, (C<sub>3</sub>-C<sub>6</sub>)alkenyl or CH<sub>2</sub>phenyl.

15 A further more preferred class of compounds of formula (I) are those in which N=Q is a formula (A<sup>4</sup>) above, wherein:

R<sup>g</sup> is H;

Y is O or S;

V is O or CH<sub>2</sub>; and

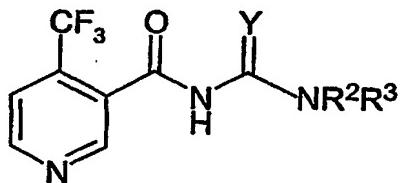
20 R<sup>2</sup> is H.

The compounds of general formula (I) can be prepared by the application or adaptation of known methods (i.e. methods heretofore used or described in the chemical literature).

- 25 In the following description of processes when symbols appearing in formulae are not specifically defined, it is understood that they are "as defined above" in accordance with the first definition of each symbol in the specification.

According to a feature of the invention compounds of formula (I) wherein N=Q is a  
30 formula (A) in which Z is YR<sup>1</sup>, m is zero, and R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined above, may be prepared by the reaction of a compound of formula (II):

15.



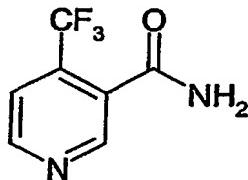
(II)

wherein  $\text{Y}$ ,  $\text{R}^2$  and  $\text{R}^3$  are as defined above, with a compound of formula (III):



- 5 wherein  $\text{R}^1$  is as defined above and  $\text{L}$  is a leaving group generally halogen and preferably chlorine or bromine. The reaction is generally performed in the presence of an organic base such as a tertiary amine for example triethylamine, or pyridine, or an inorganic base such as an alkali metal carbonate, for example potassium carbonate, or an alkali metal alkoxide such as sodium ethoxide, or sodium hydride,
- 10 in a solvent such as dioxan, tetrahydrofuran or N,N-dimethylformamide, at a temperature of from 0° to 100°C (preferably 0° to 50°C).

- According to a further feature of the invention compounds of formula (I) wherein  $\text{N}=\text{Q}$  is a formula (A) in which  $\text{Z}$  is  $\text{YR}^1$ ,  $\text{m}$  is zero,  $\text{R}^3$  is H, and  $\text{R}^1$  and  $\text{R}^2$  are as defined above, may be prepared in a 1-pot process by the reaction of a compound of formula (IV):



(IV)

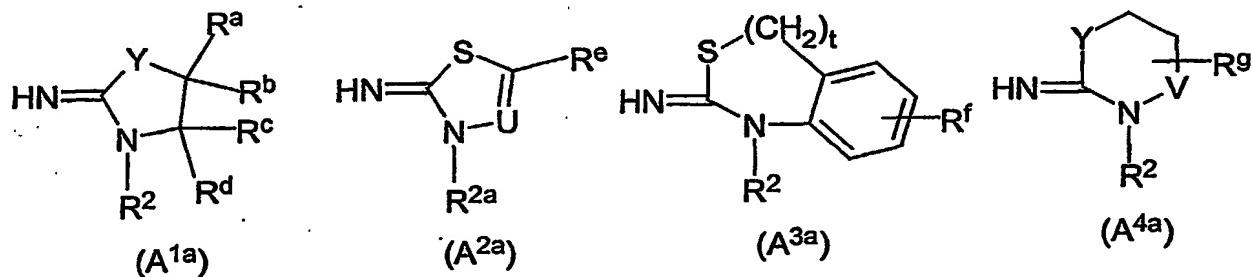
- with a strong base such as sodium hydride, and an isothiocyanate or isocyanate compound of formula (V):



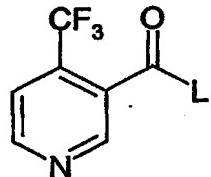
- wherein  $\text{R}^2$  is as defined above, in an inert solvent such as N,N-dimethylformamide, at a temperature of from 0° to 60°C, to give the corresponding acylthiourea or
- 25 acylurea intermediate of formula (II) above wherein  $\text{R}^3$  is H, which is generally not isolated, and is reacted with a compound of formula (III) as described above. The

reaction is generally performed in an inert solvent such as N,N-dimethylformamide at a temperature of from 0° to 60°C.

- According to a further feature of the invention compounds of formula (I) wherein N=Q  
 5 is a formula (A) which is a heterocyclic ring of formula (A<sup>1</sup>), (A<sup>2</sup>), (A<sup>3</sup>) or (A<sup>4</sup>), wherein the various symbols are as defined above, may be prepared by the acylation of the corresponding compound of formula (A<sup>1a</sup>), (A<sup>2a</sup>), (A<sup>3a</sup>) or (A<sup>4a</sup>):



wherein the various symbols are as defined above, with a compound of formula (VI):



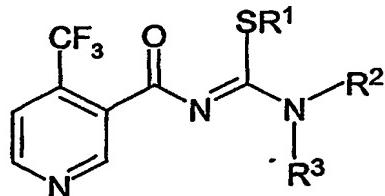
10

(VI)

wherein L is a leaving group, generally halogen and preferably chlorine. The reaction is generally performed in a solvent such as dichloromethane, at a temperature of from 0° to 100°C (preferably 0° to 50°C).

15

According to a further feature of the invention compounds of formula (I) wherein N=Q is a formula (A) in which Z is NR<sup>5</sup>R<sup>6</sup>, m is zero, and R<sup>2</sup>, R<sup>3</sup>, R<sup>5</sup> and R<sup>6</sup> are as defined above, may be prepared by the reaction of a compound of formula (VII):



(VII)

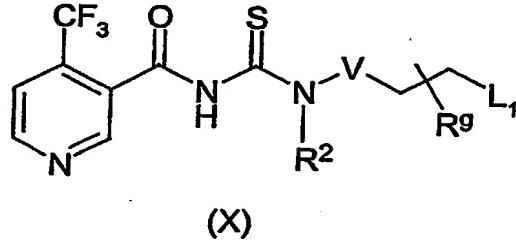
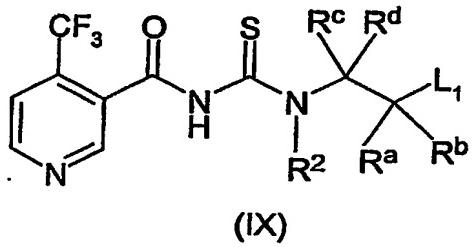
wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined above, with a compound of formula (VIII):

$\text{HNR}^5\text{R}^6$   
(VIII)

wherein  $\text{R}^5$  and  $\text{R}^6$  are as defined above. The reaction is generally performed in the presence of an organic base such as a tertiary amine for example triethylamine, or pyridine, or an inorganic base such as an alkali metal carbonate, for example

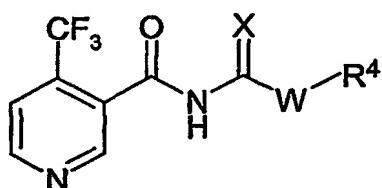
- 5 potassium carbonate, or an alkali metal alkoxide such as sodium ethoxide, or sodium hydride, in a solvent such as dioxan, tetrahydrofuran or N,N-dimethylformamide, at a temperature of from 0° to 100°C (preferably 0° to 50°C).

- According to a further feature of the invention compounds of formula (I) wherein  $\text{N}=\text{Q}$   
10 is a formula (A) which is a heterocyclic ring of formula ( $\text{A}^1$ ) or ( $\text{A}^4$ ),  $m$  is zero,  $\text{Y}$  is  $\text{S}$  and the other symbols are as defined above, may be prepared by the cyclisation reaction of a compound of formula (IX) or (X) respectively:



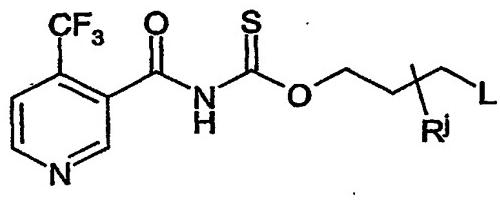
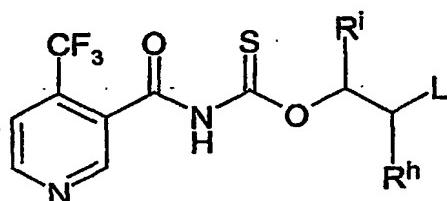
- wherein the various symbols are as defined above and  $\text{L}_1$  is a leaving group,  
15 generally halogen and preferably chlorine or bromine. The reaction is generally performed in the presence of an organic base such as a tertiary amine for example triethylamine, or pyridine, or an inorganic base such as an alkali metal carbonate, for example potassium carbonate, or an alkali metal alkoxide such as sodium ethoxide, or sodium hydride, in a solvent such as dioxan, tetrahydrofuran or N,N-dimethylformamide, at a temperature of from 0° to 100°C (preferably 0° to 50°C).

According to a further feature of the invention compounds of formula (I) wherein  $m$  is zero and  $\text{N}=\text{Q}$  is a formula (B) in which  $\text{R}^1$  and  $\text{R}^4$  are as defined above, may be prepared by the reaction of a compound of formula (XI):



wherein X, W and R<sup>4</sup> are as defined above, with a compound of formula (III) as defined above. The reaction is generally performed in the presence of an organic base such as a tertiary amine for example triethylamine, or pyridine, or an inorganic base such as an alkali metal carbonate, for example potassium carbonate, or an alkali metal alkoxide such as sodium ethoxide, or sodium hydride, in a solvent such as dioxan, tetrahydrofuran or N,N-dimethylformamide, at a temperature of from 0° to 100°C (preferably 0° to 50°C).

10 According to a further feature of the invention compounds of formula (I) wherein m is zero and N=Q is a formula (B) which is a heterocyclic ring of formula (B<sup>1</sup>) or (B<sup>2</sup>), wherein the various symbols are as defined above, may be prepared by the cyclisation reaction of the corresponding compound of formula (XII) or (XIII):



15 (XII) (XIII)  
wherein L is a leaving group generally halogen and preferably chlorine or bromine, and the other symbols are as defined above. The reaction may be conducted according to the conditions used for the preparation of compounds of formula (I) 20 wherein m is zero and N=Q is a formula (B) in which R<sup>1</sup> and R<sup>4</sup> are as defined above, from a compound of formula (XI) and a compound of formula (III).

According to a further feature of the invention compounds of formula (I) wherein Q is as defined above, and m is 1 may be prepared by oxidising a corresponding 25 compound in which m is 0. The oxidation is generally performed using hydrogen peroxide in a solvent such as acetic acid, or a peracid such as 3-chloroperbenzoic

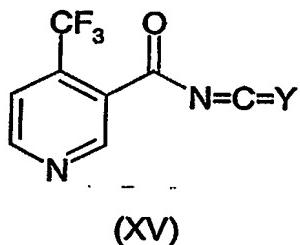
acid in a solvent such as dichloromethane or 1,2-dichloroethane, at a temperature of from 0°C to the reflux temperature of the solvent.

- Intermediates of formula (II) wherein Y is O, may be prepared by the reaction of a
- 5 compound of formula (IV) above, with oxalyl chloride, in an inert solvent such as dichloroethane at a temperature of from 0° to 60°C, to give the corresponding acylisocyanate intermediate which is generally not isolated, and which is directly reacted with an amine of formula (XIV):



- 10 wherein R<sup>2</sup> and R<sup>3</sup> are as defined above. The reaction is generally performed in an inert solvent such as dichloroethane or tetrahydrofuran at a temperature of from 0° to 60°C.

- 15 Intermediates of formula (II), (IX) and (X) wherein Y is O or S may be prepared by the reaction of a compound of formula (XV):



- wherein Y is O or S, with a corresponding compound of formula (XIV). The reaction is generally performed in an inert solvent such as dichloroethane or tetrahydrofuran
- 20 at a temperature of from 0° to 60°C.

- Intermediates of formula (VI) wherein L is chlorine, may be prepared according to known procedures, for example by the reaction of the corresponding carboxylic acid wherein L is replaced by OH, with a suitable halogenating agent, preferably oxalyl
- 25 chloride, in a solvent such as dichloroethane, optionally in the presence of N,N-dimethylformamide, at a temperature of from 0° to 60°C.

Intermediate of formula (XV) wherein Y is S, may be prepared according to known procedures, for example by the reaction of a compound of formula (VI) as defined

above, with an alkali metal thiocyanate or ammonium thiocyanate or tetraalkylammonium thiocyanate for example tetrabutylammonium thiocyanate, in the presence of a base such as an alkali metal carbonate for example potassium carbonate, at a temperature of from 0° to 60°C.

5

Intermediate of formula (XV) wherein Y is O, may be prepared according to known procedures, for example by the reaction of a compound of formula (VI) as defined above, with an alkali metal cyanate or ammonium cyanate or tetraalkylammonium cyanate for example tetrabutylammonium cyanate, in the presence of a base such 10 as an alkali metal carbonate for example potassium carbonate, at a temperature of from 0° to 60°C.

Intermediates of formula (XI), (XII) and (XIII) wherein Y is O or S may be prepared by the reaction of a compound of formula (XV) as defined above, with a corresponding 15 compound of formula (XVI):



wherein W and R<sup>4</sup> are as defined above. The reaction is generally performed in an inert solvent such as dichloroethane or tetrahydrofuran at a temperature of from 0° to 60°C.

20

Collections of compounds of the formula (I) which can be synthesized by the above mentioned process may also be prepared in a parallel manner, and this may be effected manually or in a semiautomated or fully automated manner. In this case, it is possible, for example, to automate the procedure of the reaction, work-up or 25 purification of the products or of the intermediates. In total, this is to be understood as meaning a procedure as is described, for example, by S.H. DeWitt in "Annual Reports in Combinatorial Chemistry and Molecular Diversity: Automated Synthesis", Volume 1, Verlag Escom 1997, pages 69 to 77.

30 A series of commercially available apparatuses as are offered by, for example, Stem Corporation, Woodrolfe Road, Tollesbury, Essex, CM9 8SE, England or H+P Labortechnik GmbH, Bruckmannring 28, 85764 Oberschleißheim, Germany or

Radleys, Shirehill, Saffron Walden, Essex, England, may be used for the parallel procedure of the reaction and work-up. For the parallel purification of compounds of the formula (I), or of intermediates obtained during the preparation, use may be made, inter alia, of chromatography apparatuses, for example those by ISCO, Inc.,

5 4700 Superior Street, Lincoln, NE 68504, USA.

The apparatuses mentioned lead to a modular procedure in which the individual process steps are automated, but manual operations must be performed between the process steps. This can be prevented by employing semi-integrated or fully

10 integrated automation systems where the automation modules in question are operated by, for example, robots. Such automation systems can be obtained, for example, from Zymark Corporation, Zymark Center, Hopkinton, MA 01748, USA.

In addition to what has been described here, compounds of the formula (I) may be  
15 prepared in part or fully by solid-phase-supported methods. For this purpose, individual intermediate steps or all intermediate steps of the synthesis or of a synthesis adapted to suit the procedure in question are bound to a synthetic resin. Solid-phase-supported synthesis methods are described extensively in the specialist literature, for example Barry A. Bunin in "The Combinatorial Index", Academic Press,  
20 1998.

The use of solid-phase-supported synthesis methods permits a series of protocols which are known from the literature and which, in turn, can be performed manually or in an automated manner. For example, the "tea-bag method" (Houghten, US  
25 4,631,211; Houghten et al., Proc. Natl. Acad. Sci, 1985, 82, 5131-5135), in which products by IRORI, 11149 North Torrey Pines Road, La Jolla, CA 92037, USA, are employed, may be semiautomated. The automation of solid-phase-supported parallel syntheses is performed successfully, for example, by apparatuses by Argonaut Technologies, Inc., 887 Industrial Road, San Carlos, CA 94070, USA or MultiSynTech GmbH, Wullener Feld 4, 58454 Witten, Germany.

30

The preparation of the processes described herein yields compounds of the formula (I) in the form of substance collections which are termed libraries. The present

invention also relates to libraries which comprise at least two compounds of the formula (I).

Compounds of formula (III), (IV), (V), (VI), (VIII), (XVI), (A<sup>1a</sup>), (A<sup>2a</sup>), (A<sup>3a</sup>) and (A<sup>4a</sup>) are  
5 known or may be prepared by known methods.

The following non-limiting Examples illustrate the preparation of the compounds of formula (I).

#### Chemical Examples

10

NMR spectra were run in deuterochloroform unless stated otherwise.

In the Examples which follow, quantities (also percentages) are weight-based, unless stated otherwise.

15 Example 1

Sodium hydride (0.09g, 60% dispersion in mineral oil) was added to a solution of 4-trifluoromethyl-3-pyridinecarboxamide (0.40g) in N,N-dimethylformamide at 20°C and stirred for an hour. Benzyl isothiocyanate (0.31 ml) was added to the mixture and stirred at 20°C for 2 hours, then allyl bromide (0.30 ml) added with stirring at 20°C for 20 hours. Ethyl acetate and water were added and the organic phase dried (magnesium sulfate), evaporated and purified by column chromatography on silica gel eluting with n-hexane/ethyl acetate (3:1) to give 1-benzyl-S-(2-propenyl)-3-(4-trifluoromethyl-3-pyridylcarbonyl)isothiourea (0.55g, Compound A-724).

25 Example 2

Sodium hydride (0.03g, 60% dispersion in mineral oil) was added to a solution of 1-methyl-1-phenyl-3-(4-trifluoromethyl-3-pyridylcarbonyl)thiourea (0.2g) in tetrahydrofuran, and stirred at 20°C for 1 hour. Allyl bromide (0.31 ml) was added and stirred at 20°C for 2 hours, then a further amount of allyl bromide (0.09 ml) added and stirred for 2 hours. Ethyl acetate and water were added, the organic phase dried (magnesium sulfate), evaporated and the residue purified by column chromatography on silica gel, eluting with n-hexane/ethyl acetate (3:1) to give 1-

methyl-1-phenyl-S-(2-propenyl)-3-(4-trifluoromethyl-3-pyridylcarbonyl)isothiourea (0.17g, Compound A-1325).

#### Example 3

- 5 Sodium hydride (0.09g, 60% dispersion in mineral oil) was added to a solution of 4-trifluoromethyl-3-pyridinecarboxamide (0.40g) in N,N-dimethylformamide at 20°C, and stirred for an hour. Benzyl isothiocyanate (0.31 ml) was added to the mixture and stirred at 20°C for 2 hours, then methyl bromoacetate (0.30ml) added and stirred at 20°C for 5 hours. Ethyl acetate and water were added, the organic phase dried (magnesium sulfate), evaporated and the residue purified by silica gel column chromatography eluting with n-hexane/ethyl acetate (3:1) to give 3-benzyl-2-(4-trifluoromethyl-3-pyridylcarbonyl)imino-4-thiazolidone (0.50g, Compound D-143):

#### Example 4

- 15 Sodium hydride (0.09g, 60% dispersion in mineral oil) was added portionwise to a solution of 4-trifluoromethyl-3-pyridinecarboxamide (0.40g) in N,N-dimethylformamide at 20°C, and stirred for 1 hour. Benzyl isothiocyanate (0.31 ml) was added and stirred at 20°C for 1 hour, then 1,2-dibromoethane (0.30ml) added and stirred at 20°C for 1 hour. Sodium hydride (0.09g, 60% dispersion in mineral oil) was added in portions to the solution then stirred for 5 hours. Ethyl acetate and water were added, the organic phase dried (magnesium sulfate), evaporated and the residue purified by silica gel column chromatography eluting with n-hexane/ethyl acetate (3:1) to give 3-benzyl-2-(4-trifluoromethyl-3-pyridylcarbonyl)iminothiazolidine (0.50g, Compound D-40).

25

#### Example 5

- Methanesulfonyl chloride (0.14ml) was added to an ice-cooled solution of 1-(2-hydroxyethyl)-1-phenyl-3-(4-trifluoromethyl-3-pyridylcarbonyl)thiourea (0.60g) and triethylamine (0.42ml) in dichloromethane, and stirred for 3 hours at 20°C. The mixture was washed (water), dried (magnesium sulfate), evaporated and the residue recrystallized (ethanol) to give 3-phenyl-2-(4-trifluoromethyl-3-pyridylcarbonyl)iminothiazolidine (0.26g, Compound D-60).

**Example 6**

Oxalyl chloride (0.6ml) was added to a suspension of 4-trifluoromethylnicotinic acid (1g) and a catalytic amount of N,N-dimethylformamide in dichloromethane, and stirred at 20°C for 1 hour. 4-Benzyl-5-imino-1,3,4-thiadiazoline hydrobromide (1.44g)

- 5 was added under ice cooling, and was stirred at 20°C for 1 hour. The mixture was then washed (water), dried (magnesium sulfate), evaporated and the residue recrystallized (ethanol) to give 4-benzyl-5-(4-trifluoromethyl-3-pyridylcarbonyl)imino-1,3,4-thiadiazoline (0.3g, Compound E-39).

**10 Example 7**

- Methanesulfonyl chloride (0.12ml) was added to an ice-cooled solution of 1-(2-hydroxymethylphenyl)-3-(4-trifluoromethyl-3-pyridylcarbonyl)thiourea (0.50g) and triethylamine (0.24ml) in dichloromethane, and stirred for 3 hours at 20°C. The reaction mixture was washed (water), dried (magnesium sulfate), evaporated and the 15 residue recrystallized (ethanol) to give 2-(4-trifluoromethyl-3-pyridylcarbonyl)imino-4,5-benzo-1,3-thiazine (0.1g, Compound G-1).

The following Reference Example illustrates the preparation of intermediates used in the synthesis of the above Examples.

20

**Reference Example 1**

- Oxalyl chloride (3.2 ml, 2M) was added to a suspension 4-trifluoromethylnicotinic acid (1g) and a catalytic amount of N, N-dimethylformamide in dichloromethane, and stirred at 20°C for 1 hour. The mixture was evaporated, the residue dissolved in 25 toluene and tetrabutylammonium thiocyanate (1g) and potassium carbonate (0.5g) added, then stirred at 20°C for 30 minutes to give 4-trifluoromethyl-3-pyridylcarbonyl isothiocyanate. 2-Anilinoethanol (1.86g) was then added, and the mixture stirred at 20°C for 1 hour. Ethyl acetate was added and the mixture washed with water, hydrochloric acid 1(M), saturated sodium bicarbonate and brine, dried (magnesium 30 sulfate), evaporated and recrystallised from ethanol to give 1-(2'-hydroxyethyl)-1-phenyl-3-(4-trifluoromethyl-3-pyridylcarbonyl)thiourea (0.9g); NMR 3.95(2H, t), 4.38(2H, m), 7.3-7.6(6H, m), 8.50(1H, brs), 8.80(1H, d).

By proceeding in a similar manner the following intermediates were also prepared:

1-methyl-1-phenyl-3-(4-trifluoromethyl-3-pyridylcarbonyl)thiourea, NMR 3.69(1H, s), 7.3-7.6(6H, m), 8.33(1H, brs), 8.51(1H, s), 8.79(1H, d); and

1-(2-hydroxymethylphenyl)-3-(4-trifluoromethyl-3-pyridylcarbonyl)thiourea, NMR

- 5 4.71(2H, s), 7.2-7.4(2H, m), 7.5-7.6(1H, m), 7.8-7.9(2H, m), 9.01(1H, d), 9.11(1H, s),  
11.01(1H, brs), 12.13(1H, brs).

The following preferred compounds shown in Tables 1 to 9 also form part of the present invention, and were or may be prepared in accordance with, or analogously

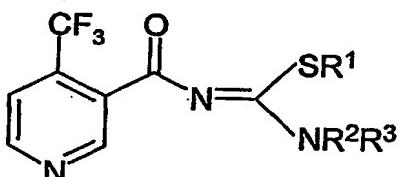
- 10 to, the above-mentioned Examples 1 to 7 or the above-described general methods. In the Tables Ph means phenyl and Me means methyl. Where subscripts are omitted after atoms it will be understood that they are intended, for example CH<sub>3</sub> means CH<sub>3</sub>.

Compound numbers are given for reference purposes only.

15

Table I

Compounds of formula (Ia):



(Ia)

| Compound | R <sup>1</sup>  | R <sup>2</sup>  | R <sup>3</sup> |
|----------|---|-----------------|----------------|
| A-1      | CH <sub>3</sub>                                       | CH <sub>3</sub> | H              |
| A-2      | C <sub>2</sub> H <sub>5</sub>                         | CH <sub>3</sub> | H              |
| A-3      | n-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>3</sub> | H              |
| A-4      | i-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>3</sub> | H              |
| A-5      | n-C <sub>4</sub> H <sub>9</sub>                       | CH <sub>3</sub> | H              |
| A-6      | s-C <sub>4</sub> H <sub>9</sub>                       | CH <sub>3</sub> | H              |
| A-7      | i-C <sub>4</sub> H <sub>9</sub>                       | CH <sub>3</sub> | H              |
| A-8      | t-C <sub>4</sub> H <sub>9</sub>                       | CH <sub>3</sub> | H              |
| A-9      | n-C <sub>5</sub> H <sub>11</sub>                      | CH <sub>3</sub> | H              |
| A-10     | n-C <sub>6</sub> H <sub>13</sub>                      | CH <sub>3</sub> | H              |
| A-11     | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH <sub>3</sub> | H              |
| A-12     | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH <sub>3</sub> | H              |
| A-13     | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH <sub>3</sub> | H              |

| Compound | R <sup>1</sup>   | R <sup>2</sup>  | R <sup>3</sup>  |
|----------|--|-----------------|-----------------|
| A-14     | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | CH <sub>3</sub> | H               |
| A-15     | CH <sub>2</sub> CCl=CH <sub>2</sub>  | CH <sub>3</sub> | H               |
| A-16     | CH <sub>2</sub> CH=CCl <sub>2</sub>  | CH <sub>3</sub> | H               |
| A-17     | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | CH <sub>3</sub> | H               |
| A-18     | CH <sub>2</sub> CH=CHPh  | CH <sub>3</sub> | H               |
| A-19     | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | CH <sub>3</sub> | H               |
| A-20     | CH <sub>2</sub> CCH  | CH <sub>3</sub> | H               |
| A-21     | CH <sub>2</sub> CCCH <sub>3</sub>  | CH <sub>3</sub> | H               |
| A-22     | CH <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | H               |
| A-23     | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | CH <sub>3</sub> | H               |
| A-24     | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | CH <sub>3</sub> | H               |
| A-25     | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | CH <sub>3</sub> | H               |
| A-26     | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | CH <sub>3</sub> | H               |
| A-27     | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | CH <sub>3</sub> | H               |
| A-28     | CH <sub>2</sub> CN   | CH <sub>3</sub> | H               |
| A-29     | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | CH <sub>3</sub> | H               |
| A-30     | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | CH <sub>3</sub> | H               |
| A-31     | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | CH <sub>3</sub> | H               |
| A-32     | CH <sub>2</sub> Ph   | CH <sub>3</sub> | H               |
| A-33     | CH <sub>2</sub> (2-Cl-Ph)  | CH <sub>3</sub> | H               |
| A-34     | CH <sub>2</sub> (3-Cl-Ph)  | CH <sub>3</sub> | H               |
| A-35     | CH <sub>2</sub> (4-Cl-Ph)  | CH <sub>3</sub> | H               |
| A-36     | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | CH <sub>3</sub> | H               |
| A-37     | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | CH <sub>3</sub> | H               |
| A-38     | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | CH <sub>3</sub> | H               |
| A-39     | CH <sub>2</sub> (2-F-Ph)   | CH <sub>3</sub> | H               |
| A-40     | CH <sub>2</sub> (3-F-Ph)   | CH <sub>3</sub> | H               |
| A-41     | CH <sub>2</sub> (4-F-Ph)   | CH <sub>3</sub> | H               |
| A-42     | CH <sub>2</sub> (2-OMe-Ph)   | CH <sub>3</sub> | H               |
| A-43     | CH <sub>2</sub> (3-OMe-Ph)   | CH <sub>3</sub> | H               |
| A-44     | CH <sub>2</sub> (4-OMe-Ph)   | CH <sub>3</sub> | H               |
| A-45     | CH(CH <sub>3</sub> )Ph   | CH <sub>3</sub> | H               |
| A-46     | CH(CH <sub>3</sub> )(2-Cl-Ph)  | CH <sub>3</sub> | H               |
| A-47     | CH(CH <sub>3</sub> )(3-Cl-Ph)  | CH <sub>3</sub> | H               |
| A-48     | CH(CH <sub>3</sub> )(4-Cl-Ph)  | CH <sub>3</sub> | H               |
| A-49     | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | CH <sub>3</sub> | H               |
| A-50     | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | CH <sub>3</sub> | H               |
| A-51     | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | CH <sub>3</sub> | H               |
| A-52     | CH <sub>2</sub> CH <sub>2</sub> Ph   | CH <sub>3</sub> | H               |
| A-53     | CH <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-54     | C <sub>2</sub> H <sub>5</sub>  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-55     | n-C <sub>3</sub> H <sub>7</sub>  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-56     | i-C <sub>3</sub> H <sub>7</sub>  | CH <sub>3</sub> | CH <sub>3</sub> |

| Compound | R <sup>1</sup>   | R <sup>2</sup>  | R <sup>3</sup>  |
|----------|--|-----------------|-----------------|
| A-57     | n-C <sub>4</sub> H <sub>9</sub>  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-58     | s-C <sub>4</sub> H <sub>9</sub>  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-59     | i-C <sub>4</sub> H <sub>9</sub>  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-60     | t-C <sub>4</sub> H <sub>9</sub>  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-61     | n-C <sub>5</sub> H <sub>11</sub>   | CH <sub>3</sub> | CH <sub>3</sub> |
| A-62     | n-C <sub>6</sub> H <sub>13</sub>   | CH <sub>3</sub> | CH <sub>3</sub> |
| A-63     | CH <sub>2</sub> CH=CH <sub>2</sub>   | CH <sub>3</sub> | CH <sub>3</sub> |
| A-64     | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | CH <sub>3</sub> | CH <sub>3</sub> |
| A-65     | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | CH <sub>3</sub> | CH <sub>3</sub> |
| A-66     | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | CH <sub>3</sub> | CH <sub>3</sub> |
| A-67     | CH <sub>2</sub> CCl=CH <sub>2</sub>  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-68     | CH <sub>2</sub> CH=CCl <sub>2</sub>  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-69     | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | CH <sub>3</sub> | CH <sub>3</sub> |
| A-70     | CH <sub>2</sub> CH=CHPh  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-71     | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | CH <sub>3</sub> | CH <sub>3</sub> |
| A-72     | CH <sub>2</sub> CCH  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-73     | CH <sub>2</sub> CCCC <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-74     | CH <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-75     | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | CH <sub>3</sub> | CH <sub>3</sub> |
| A-76     | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | CH <sub>3</sub> | CH <sub>3</sub> |
| A-77     | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | CH <sub>3</sub> | CH <sub>3</sub> |
| A-78     | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | CH <sub>3</sub> | CH <sub>3</sub> |
| A-79     | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | CH <sub>3</sub> | CH <sub>3</sub> |
| A-80     | CH <sub>2</sub> CN   | CH <sub>3</sub> | CH <sub>3</sub> |
| A-81     | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | CH <sub>3</sub> | CH <sub>3</sub> |
| A-82     | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | CH <sub>3</sub> | CH <sub>3</sub> |
| A-83     | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | CH <sub>3</sub> | CH <sub>3</sub> |
| A-84     | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                | CH <sub>3</sub> | CH <sub>3</sub> |
| A-85     | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-86     | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            | CH <sub>3</sub> | CH <sub>3</sub> |
| A-87     | CH(CH <sub>3</sub> )CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>              | CH <sub>3</sub> | CH <sub>3</sub> |
| A-88     | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>               | CH <sub>3</sub> | CH <sub>3</sub> |
| A-89     | CH <sub>2</sub> Ph   | CH <sub>3</sub> | CH <sub>3</sub> |
| A-90     | CH <sub>2</sub> (2-Cl-Ph)  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-91     | CH <sub>2</sub> (3-Cl-Ph)  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-92     | CH <sub>2</sub> (4-Cl-Ph)  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-93     | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-94     | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-95     | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | CH <sub>3</sub> | CH <sub>3</sub> |
| A-96     | CH <sub>2</sub> (2-F-Ph)   | CH <sub>3</sub> | CH <sub>3</sub> |
| A-97     | CH <sub>2</sub> (3-F-Ph)   | CH <sub>3</sub> | CH <sub>3</sub> |
| A-98     | CH <sub>2</sub> (4-F-Ph)   | CH <sub>3</sub> | CH <sub>3</sub> |
| A-99     | CH <sub>2</sub> (2-OMe-Ph)   | CH <sub>3</sub> | CH <sub>3</sub> |

| Compound | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup> |
|----------|--|----------------|----------------|
| A-100    | CH2(3-OMe-Ph)  | CH3            | CH3            |
| A-101    | CH2(4-OMe-Ph)  | CH3            | CH3            |
| A-102    | CH(CH3)Ph  | CH3            | CH3            |
| A-103    | CH(CH3)(2-Cl-Ph)   | CH3            | CH3            |
| A-104    | CH(CH3)(3-Cl-Ph)   | CH3            | CH3            |
| A-105    | CH(CH3)(4-Cl-Ph)   | CH3            | CH3            |
| A-106    | CH(CH3)(2-CF <sub>3</sub> -Ph)   | CH3            | CH3            |
| A-107    | CH(CH3)(3-CF <sub>3</sub> -Ph)   | CH3            | CH3            |
| A-108    | CH(CH3)(4-CF <sub>3</sub> -Ph)   | CH3            | CH3            |
| A-109    | CH <sub>2</sub> CH <sub>2</sub> Ph   | CH3            | CH3            |
| A-110    | CH3  | C2H5           | H              |
| A-111    | C2H5   | C2H5           | H              |
| A-112    | n-C <sub>3</sub> H <sub>7</sub>  | C2H5           | H              |
| A-113    | i-C <sub>3</sub> H <sub>7</sub>  | C2H5           | H              |
| A-114    | n-C <sub>4</sub> H <sub>9</sub>  | C2H5           | H              |
| A-115    | s-C <sub>4</sub> H <sub>9</sub>  | C2H5           | H              |
| A-116    | i-C <sub>4</sub> H <sub>9</sub>  | C2H5           | H              |
| A-117    | t-C <sub>4</sub> H <sub>9</sub>  | C2H5           | H              |
| A-118    | n-C <sub>5</sub> H <sub>11</sub>   | C2H5           | H              |
| A-119    | n-C <sub>6</sub> H <sub>13</sub>   | C2H5           | H              |
| A-120    | CH <sub>2</sub> CH=CH <sub>2</sub>   | C2H5           | H              |
| A-121    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | C2H5           | H              |
| A-122    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | C2H5           | H              |
| A-123    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | C2H5           | H              |
| A-124    | CH <sub>2</sub> CCl=CH <sub>2</sub>  | C2H5           | H              |
| A-125    | CH <sub>2</sub> CH=CCl <sub>2</sub>  | C2H5           | H              |
| A-126    | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | C2H5           | H              |
| A-127    | CH <sub>2</sub> CH=CHPh  | C2H5           | H              |
| A-128    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | C2H5           | H              |
| A-129    | CH <sub>2</sub> CCH  | C2H5           | H              |
| A-130    | CH <sub>2</sub> CCCH <sub>3</sub>  | C2H5           | H              |
| A-131    | CH <sub>2</sub> CF <sub>3</sub>  | C2H5           | H              |
| A-132    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | C2H5           | H              |
| A-133    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | C2H5           | H              |
| A-134    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | C2H5           | H              |
| A-135    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | C2H5           | H              |
| A-136    | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | C2H5           | H              |
| A-137    | CH <sub>2</sub> CN   | C2H5           | H              |
| A-138    | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | C2H5           | H              |
| A-139    | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | C2H5           | H              |
| A-140    | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | C2H5           | H              |
| A-141    | CH <sub>2</sub> Ph   | C2H5           | H              |
| A-142    | CH <sub>2</sub> (2-Cl-Ph)  | C2H5           | H              |

| Compound | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup> |
|----------|--|----------------|----------------|
| A-143    | CH2(3-Cl-Ph)   | C2H5           | H              |
| A-144    | CH2(4-Cl-Ph)   | C2H5           | H              |
| A-145    | CH2(2-CF <sub>3</sub> -Ph)                                     | C2H5           | H              |
| A-146    | CH2(3-CF <sub>3</sub> -Ph)                                     | C2H5           | H              |
| A-147    | CH2(4-CF <sub>3</sub> -Ph)                                     | C2H5           | H              |
| A-148    | CH2(2-F-Ph)  | C2H5           | H              |
| A-149    | CH2(3-F-Ph)  | C2H5           | H              |
| A-150    | CH2(4-F-Ph)  | C2H5           | H              |
| A-151    | CH2(2-OMe-Ph)  | C2H5           | H              |
| A-152    | CH2(3-OMe-Ph)  | C2H5           | H              |
| A-153    | CH2(4-OMe-Ph)  | C2H5           | H              |
| A-154    | CH(CH <sub>3</sub> )Ph   | C2H5           | H              |
| A-155    | CH(CH <sub>3</sub> )(2-Cl-Ph)                                  | C2H5           | H              |
| A-156    | CH(CH <sub>3</sub> )(3-Cl-Ph)                                  | C2H5           | H              |
| A-157    | CH(CH <sub>3</sub> )(4-Cl-Ph)                                  | C2H5           | H              |
| A-158    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                    | C2H5           | H              |
| A-159    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                    | C2H5           | H              |
| A-160    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                    | C2H5           | H              |
| A-161    | CH <sub>2</sub> CH <sub>2</sub> Ph                             | C2H5           | H              |
| A-162    | CH <sub>3</sub>  | C2H5           | C2H5           |
| A-163    | C2H <sub>5</sub>   | C2H5           | C2H5           |
| A-164    | n-C <sub>3</sub> H <sub>7</sub>                                | C2H5           | C2H5           |
| A-165    | i-C <sub>3</sub> H <sub>7</sub>                                | C2H5           | C2H5           |
| A-166    | n-C <sub>4</sub> H <sub>9</sub>                                | C2H5           | C2H5           |
| A-167    | s-C <sub>4</sub> H <sub>9</sub>                                | C2H5           | C2H5           |
| A-168    | i-C <sub>4</sub> H <sub>9</sub>                                | C2H5           | C2H5           |
| A-169    | t-C <sub>4</sub> H <sub>9</sub>                                | C2H5           | C2H5           |
| A-170    | n-C <sub>5</sub> H <sub>11</sub>                               | C2H5           | C2H5           |
| A-171    | n-C <sub>6</sub> H <sub>13</sub>                               | C2H5           | C2H5           |
| A-172    | CH <sub>2</sub> CH=CH <sub>2</sub>                             | C2H5           | C2H5           |
| A-173    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>            | C2H5           | C2H5           |
| A-174    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>          | C2H5           | C2H5           |
| A-175    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>            | C2H5           | C2H5           |
| A-176    | CH <sub>2</sub> CCl=CH <sub>2</sub>                            | C2H5           | C2H5           |
| A-177    | CH <sub>2</sub> CH=CCl <sub>2</sub>                            | C2H5           | C2H5           |
| A-178    | CH <sub>2</sub> CH=CHCF <sub>3</sub>                           | C2H5           | C2H5           |
| A-179    | CH <sub>2</sub> CH=CHPh  | C2H5           | C2H5           |
| A-180    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>                         | C2H5           | C2H5           |
| A-181    | CH <sub>2</sub> CCH  | C2H5           | C2H5           |
| A-182    | CH <sub>2</sub> CCCCH <sub>3</sub>                             | C2H5           | C2H5           |
| A-183    | CH <sub>2</sub> CF <sub>3</sub>                                | C2H5           | C2H5           |
| A-184    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | C2H5           | C2H5           |
| A-185    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | C2H5           | C2H5           |

| Compound | R <sup>1</sup>    | R <sup>2</sup> | R <sup>3</sup> |
|----------|-------------------|----------------|----------------|
| A-186    | CH2CH2CH2OCH3     | C2H5           | C2H5           |
| A-187    | CH2CH2CH2OC2H5    | C2H5           | C2H5           |
| A-188    | CH2CH(OCH3)2      | C2H5           | C2H5           |
| A-189    | CH2CN             | C2H5           | C2H5           |
| A-190    | CH2(cyclo-C3H5)   | C2H5           | C2H5           |
| A-191    | CH2(cyclo-C5H9)   | C2H5           | C2H5           |
| A-192    | CH2(cyclo-C6H11)  | C2H5           | C2H5           |
| A-193    | CH2CO2CH3         | C2H5           | C2H5           |
| A-194    | CH2CO2C2H5        | C2H5           | C2H5           |
| A-195    | CH(CH3)CO2CH3     | C2H5           | C2H5           |
| A-196    | CH(CH3)CO2C2H5    | C2H5           | C2H5           |
| A-197    | C(CH3)2CO2CH3     | C2H5           | C2H5           |
| A-198    | CH2Ph             | C2H5           | C2H5           |
| A-199    | CH2(2-Cl-Ph)      | C2H5           | C2H5           |
| A-200    | CH2(3-Cl-Ph)      | C2H5           | C2H5           |
| A-201    | CH2(4-Cl-Ph)      | C2H5           | C2H5           |
| A-202    | CH2(2-CF3-Ph)     | C2H5           | C2H5           |
| A-203    | CH2(3-CF3-Ph)     | C2H5           | C2H5           |
| A-204    | CH2(4-CF3-Ph)     | C2H5           | C2H5           |
| A-205    | CH2(2-F-Ph)       | C2H5           | C2H5           |
| A-206    | CH2(3-F-Ph)       | C2H5           | C2H5           |
| A-207    | CH2(4-F-Ph)       | C2H5           | C2H5           |
| A-208    | CH2(2-OMe-Ph)     | C2H5           | C2H5           |
| A-209    | CH2(3-OMe-Ph)     | C2H5           | C2H5           |
| A-210    | CH2(4-OMe-Ph)     | C2H5           | C2H5           |
| A-211    | CH(CH3)Ph         | C2H5           | C2H5           |
| A-212    | CH(CH3)(2-Cl-Ph)  | C2H5           | C2H5           |
| A-213    | CH(CH3)(3-Cl-Ph)  | C2H5           | C2H5           |
| A-214    | CH(CH3)(4-Cl-Ph)  | C2H5           | C2H5           |
| A-215    | CH(CH3)(2-CF3-Ph) | C2H5           | C2H5           |
| A-216    | CH(CH3)(3-CF3-Ph) | C2H5           | C2H5           |
| A-217    | CH(CH3)(4-CF3-Ph) | C2H5           | C2H5           |
| A-218    | CH2CH2Ph          | C2H5           | C2H5           |
| A-219    | CH3               | i-C3H7         | H              |
| A-220    | C2H5              | i-C3H7         | H              |
| A-221    | n-C3H7            | i-C3H7         | H              |
| A-222    | i-C3H7            | i-C3H7         | H              |
| A-223    | n-C4H9            | i-C3H7         | H              |
| A-224    | s-C4H9            | i-C3H7         | H              |
| A-225    | i-C4H9            | i-C3H7         | H              |
| A-226    | t-C4H9            | i-C3H7         | H              |
| A-227    | n-C5H11           | i-C3H7         | H              |
| A-228    | n-C6H13           | i-C3H7         | H              |

| Compound | R <sup>1</sup>   | R <sup>2</sup>                  | R <sup>3</sup> |
|----------|--|---------------------------------|----------------|
| A-229    | CH <sub>2</sub> CH=CH <sub>2</sub>   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-230    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-231    | CH <sub>2</sub> CH=CHCH <sub>3</sub>   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-232    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-233    | CH <sub>2</sub> CCl=CH <sub>2</sub>  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-234    | CH <sub>2</sub> CH=CCl <sub>2</sub>  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-235    | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-236    | CH <sub>2</sub> CH=CHPh  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-237    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-238    | CH <sub>2</sub> CCH  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-239    | CH <sub>2</sub> CCCH <sub>3</sub>  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-240    | CH <sub>2</sub> CF <sub>3</sub>  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-241    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-242    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-243    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-244    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-245    | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-246    | CH <sub>2</sub> CN   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-247    | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-248    | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-249    | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-250    | CH <sub>2</sub> Ph   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-251    | CH <sub>2</sub> (2-Cl-Ph)  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-252    | CH <sub>2</sub> (3-Cl-Ph)  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-253    | CH <sub>2</sub> (4-Cl-Ph)  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-254    | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-255    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-256    | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-257    | CH <sub>2</sub> (2-F-Ph)   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-258    | CH <sub>2</sub> (3-F-Ph)   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-259    | CH <sub>2</sub> (4-F-Ph)   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-260    | CH <sub>2</sub> (2-OMe-Ph)   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-261    | CH <sub>2</sub> (3-OMe-Ph)   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-262    | CH <sub>2</sub> (4-OMe-Ph)   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-263    | CH(CH <sub>3</sub> )Ph   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-264    | CH(CH <sub>3</sub> )(2-Cl-Ph)  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-265    | CH(CH <sub>3</sub> )(3-Cl-Ph)  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-266    | CH(CH <sub>3</sub> )(4-Cl-Ph)  | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-267    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-268    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-269    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-270    | CH <sub>2</sub> CH <sub>2</sub> Ph   | i-C <sub>3</sub> H <sub>7</sub> | H              |
| A-271    | CH <sub>3</sub>  | n-C <sub>3</sub> H <sub>7</sub> | H              |

| Compound | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup> |
|----------|------------------|----------------|----------------|
| A-272    | C2H5             | n-C3H7         | H              |
| A-273    | n-C3H7           | n-C3H7         | H              |
| A-274    | i-C3H7           | n-C3H7         | H              |
| A-275    | n-C4H9           | n-C3H7         | H              |
| A-276    | s-C4H9           | n-C3H7         | H              |
| A-277    | i-C4H9           | n-C3H7         | H              |
| A-278    | t-C4H9           | n-C3H7         | H              |
| A-279    | n-C5H11          | n-C3H7         | H              |
| A-280    | n-C6H13          | n-C3H7         | H              |
| A-281    | CH2CH=CH2        | n-C3H7         | H              |
| A-282    | CH2C(CH3)=CH2    | n-C3H7         | H              |
| A-283    | CH2CH=CHCH3      | n-C3H7         | H              |
| A-284    | CH2CH=C(CH3)2    | n-C3H7         | H              |
| A-285    | CH2CCl=CH2       | n-C3H7         | H              |
| A-286    | CH2CH=CCl2       | n-C3H7         | H              |
| A-287    | CH2CH=CHCF3      | n-C3H7         | H              |
| A-288    | CH2CH=CHPh       | n-C3H7         | H              |
| A-289    | CH(CH3)CH=CH2    | n-C3H7         | H              |
| A-290    | CH2CCH           | n-C3H7         | H              |
| A-291    | CH2CCCH3         | n-C3H7         | H              |
| A-292    | CH2CF3           | n-C3H7         | H              |
| A-293    | CH2CH2OCH3       | n-C3H7         | H              |
| A-294    | CH2CH2OC2H5      | n-C3H7         | H              |
| A-295    | CH2CH2CH2OCH3    | n-C3H7         | H              |
| A-296    | CH2CH2CH2OC2H5   | n-C3H7         | H              |
| A-297    | CH2CH(OCH3)2     | n-C3H7         | H              |
| A-298    | CH2CN            | n-C3H7         | H              |
| A-299    | CH2(cyclo-C3H5)  | n-C3H7         | H              |
| A-300    | CH2(cyclo-C5H9)  | n-C3H7         | H              |
| A-301    | CH2(cyclo-C6H11) | n-C3H7         | H              |
| A-302    | CH2Ph            | n-C3H7         | H              |
| A-303    | CH2(2-Cl-Ph)     | n-C3H7         | H              |
| A-304    | CH2(3-Cl-Ph)     | n-C3H7         | H              |
| A-305    | CH2(4-Cl-Ph)     | n-C3H7         | H              |
| A-306    | CH2(2-CF3-Ph)    | n-C3H7         | H              |
| A-307    | CH2(3-CF3-Ph)    | n-C3H7         | H              |
| A-308    | CH2(4-CF3-Ph)    | n-C3H7         | H              |
| A-309    | CH2(2-F-Ph)      | n-C3H7         | H              |
| A-310    | CH2(3-F-Ph)      | n-C3H7         | H              |
| A-311    | CH2(4-F-Ph)      | n-C3H7         | H              |
| A-312    | CH2(2-OMe-Ph)    | n-C3H7         | H              |
| A-313    | CH2(3-OMe-Ph)    | n-C3H7         | H              |
| A-314    | CH2(4-OMe-Ph)    | n-C3H7         | H              |

| Compound | R <sup>1</sup>   | R <sup>2</sup>                  | R <sup>3</sup> |
|----------|--|---------------------------------|----------------|
| A-315    | CH(CH <sub>3</sub> )Ph   | n-C <sub>3</sub> H <sub>7</sub> | H              |
| A-316    | CH(CH <sub>3</sub> )(2-Cl-Ph)  | n-C <sub>3</sub> H <sub>7</sub> | H              |
| A-317    | CH(CH <sub>3</sub> )(3-Cl-Ph)  | n-C <sub>3</sub> H <sub>7</sub> | H              |
| A-318    | CH(CH <sub>3</sub> )(4-Cl-Ph)  | n-C <sub>3</sub> H <sub>7</sub> | H              |
| A-319    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | n-C <sub>3</sub> H <sub>7</sub> | H              |
| A-320    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | n-C <sub>3</sub> H <sub>7</sub> | H              |
| A-321    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | n-C <sub>3</sub> H <sub>7</sub> | H              |
| A-322    | CH <sub>2</sub> CH <sub>2</sub> Ph   | n-C <sub>3</sub> H <sub>7</sub> | H              |
| A-323    | CH <sub>3</sub>  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-324    | C <sub>2</sub> H <sub>5</sub>  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-325    | n-C <sub>3</sub> H <sub>7</sub>  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-326    | i-C <sub>3</sub> H <sub>7</sub>  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-327    | n-C <sub>4</sub> H <sub>9</sub>  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-328    | s-C <sub>4</sub> H <sub>9</sub>  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-329    | i-C <sub>4</sub> H <sub>9</sub>  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-330    | t-C <sub>4</sub> H <sub>9</sub>  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-331    | n-C <sub>5</sub> H <sub>11</sub>   | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-332    | n-C <sub>6</sub> H <sub>13</sub>   | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-333    | CH <sub>2</sub> CH=CH <sub>2</sub>   | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-334    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-335    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-336    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-337    | CH <sub>2</sub> CCl=CH <sub>2</sub>  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-338    | CH <sub>2</sub> CH=CCl <sub>2</sub>  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-339    | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-340    | CH <sub>2</sub> CH=CHPh  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-341    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-342    | CH <sub>2</sub> CCH  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-343    | CH <sub>2</sub> CCCH <sub>3</sub>  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-344    | CH <sub>2</sub> CF <sub>3</sub>  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-345    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-346    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-347    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-348    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-349    | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-350    | CH <sub>2</sub> CN   | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-351    | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-352    | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-353    | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-354    | CH <sub>2</sub> Ph   | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-355    | CH <sub>2</sub> (2-Cl-Ph)  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-356    | CH <sub>2</sub> (3-Cl-Ph)  | n-C <sub>4</sub> H <sub>9</sub> | H              |
| A-357    | CH <sub>2</sub> (4-Cl-Ph)  | n-C <sub>4</sub> H <sub>9</sub> | H              |

| Compound | R <sup>1</sup>   | R <sup>2</sup>     | R <sup>3</sup> |
|----------|--|--------------------|----------------|
| A-358    | CH2(2-CF <sub>3</sub> -Ph)   | n-C4H <sub>9</sub> | H              |
| A-359    | CH2(3-CF <sub>3</sub> -Ph)   | n-C4H <sub>9</sub> | H              |
| A-360    | CH2(4-CF <sub>3</sub> -Ph)   | n-C4H <sub>9</sub> | H              |
| A-361    | CH2(2-F-Ph)  | n-C4H <sub>9</sub> | H              |
| A-362    | CH2(3-F-Ph)  | n-C4H <sub>9</sub> | H              |
| A-363    | CH2(4-F-Ph)  | n-C4H <sub>9</sub> | H              |
| A-364    | CH2(2-OMe-Ph)  | n-C4H <sub>9</sub> | H              |
| A-365    | CH2(3-OMe-Ph)  | n-C4H <sub>9</sub> | H              |
| A-366    | CH2(4-OMe-Ph)  | n-C4H <sub>9</sub> | H              |
| A-367    | CH(CH <sub>3</sub> )Ph   | n-C4H <sub>9</sub> | H              |
| A-368    | CH(CH <sub>3</sub> )(2-Cl-Ph)  | n-C4H <sub>9</sub> | H              |
| A-369    | CH(CH <sub>3</sub> )(3-Cl-Ph)  | n-C4H <sub>9</sub> | H              |
| A-370    | CH(CH <sub>3</sub> )(4-Cl-Ph)  | n-C4H <sub>9</sub> | H              |
| A-371    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | n-C4H <sub>9</sub> | H              |
| A-372    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | n-C4H <sub>9</sub> | H              |
| A-373    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | n-C4H <sub>9</sub> | H              |
| A-374    | CH <sub>2</sub> CH <sub>2</sub> Ph   | n-C4H <sub>9</sub> | H              |
| A-375    | CH <sub>3</sub>  | s-C4H <sub>9</sub> | H              |
| A-376    | C <sub>2</sub> H <sub>5</sub>  | s-C4H <sub>9</sub> | H              |
| A-377    | n-C <sub>3</sub> H <sub>7</sub>  | s-C4H <sub>9</sub> | H              |
| A-378    | i-C <sub>3</sub> H <sub>7</sub>  | s-C4H <sub>9</sub> | H              |
| A-379    | n-C <sub>4</sub> H <sub>9</sub>  | s-C4H <sub>9</sub> | H              |
| A-380    | s-C <sub>4</sub> H <sub>9</sub>  | s-C4H <sub>9</sub> | H              |
| A-381    | i-C <sub>4</sub> H <sub>9</sub>  | s-C4H <sub>9</sub> | H              |
| A-382    | t-C <sub>4</sub> H <sub>9</sub>  | s-C4H <sub>9</sub> | H              |
| A-383    | n-C <sub>5</sub> H <sub>11</sub>   | s-C4H <sub>9</sub> | H              |
| A-384    | n-C <sub>6</sub> H <sub>13</sub>   | s-C4H <sub>9</sub> | H              |
| A-385    | CH <sub>2</sub> CH=CH <sub>2</sub>   | s-C4H <sub>9</sub> | H              |
| A-386    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | s-C4H <sub>9</sub> | H              |
| A-387    | CH <sub>2</sub> CH=CHCH <sub>3</sub>   | s-C4H <sub>9</sub> | H              |
| A-388    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | s-C4H <sub>9</sub> | H              |
| A-389    | CH <sub>2</sub> CCl=CH <sub>2</sub>  | s-C4H <sub>9</sub> | H              |
| A-390    | CH <sub>2</sub> CH=CCl <sub>2</sub>  | s-C4H <sub>9</sub> | H              |
| A-391    | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | s-C4H <sub>9</sub> | H              |
| A-392    | CH <sub>2</sub> CH=CHPh  | s-C4H <sub>9</sub> | H              |
| A-393    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | s-C4H <sub>9</sub> | H              |
| A-394    | CH <sub>2</sub> CCH  | s-C4H <sub>9</sub> | H              |
| A-395    | CH <sub>2</sub> CCCH <sub>3</sub>  | s-C4H <sub>9</sub> | H              |
| A-396    | CH <sub>2</sub> CF <sub>3</sub>  | s-C4H <sub>9</sub> | H              |
| A-397    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | s-C4H <sub>9</sub> | H              |
| A-398    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | s-C4H <sub>9</sub> | H              |
| A-399    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | s-C4H <sub>9</sub> | H              |
| A-400    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | s-C4H <sub>9</sub> | H              |

| Compound | R <sup>1</sup>    | R <sup>2</sup> | R <sup>3</sup> |
|----------|-------------------|----------------|----------------|
| A-401    | CH2CH(OCH3)2      | s-C4H9         | H              |
| A-402    | CH2CN             | s-C4H9         | H              |
| A-403    | CH2(cyclo-C3H5)   | s-C4H9         | H              |
| A-404    | CH2(cyclo-C5H9)   | s-C4H9         | H              |
| A-405    | CH2(cyclo-C6H11)  | s-C4H9         | H              |
| A-406    | CH2Ph             | s-C4H9         | H              |
| A-407    | CH2(2-Cl-Ph)      | s-C4H9         | H              |
| A-408    | CH2(3-Cl-Ph)      | s-C4H9         | H              |
| A-409    | CH2(4-Cl-Ph)      | s-C4H9         | H              |
| A-410    | CH2(2-CF3-Ph)     | s-C4H9         | H              |
| A-411    | CH2(3-CF3-Ph)     | s-C4H9         | H              |
| A-412    | CH2(4-CF3-Ph)     | s-C4H9         | H              |
| A-413    | CH2(2-F-Ph)       | s-C4H9         | H              |
| A-414    | CH2(3-F-Ph)       | s-C4H9         | H              |
| A-415    | CH2(4-F-Ph)       | s-C4H9         | H              |
| A-416    | CH2(2-OMe-Ph)     | s-C4H9         | H              |
| A-417    | CH2(3-OMe-Ph)     | s-C4H9         | H              |
| A-418    | CH2(4-OMe-Ph)     | s-C4H9         | H              |
| A-419    | CH(CH3)Ph         | s-C4H9         | H              |
| A-420    | CH(CH3)(2-Cl-Ph)  | s-C4H9         | H              |
| A-421    | CH(CH3)(3-Cl-Ph)  | s-C4H9         | H              |
| A-422    | CH(CH3)(4-Cl-Ph)  | s-C4H9         | H              |
| A-423    | CH(CH3)(2-CF3-Ph) | s-C4H9         | H              |
| A-424    | CH(CH3)(3-CF3-Ph) | s-C4H9         | H              |
| A-425    | CH(CH3)(4-CF3-Ph) | s-C4H9         | H              |
| A-426    | CH2CH2Ph          | s-C4H9         | H              |
| A-427    | CH3               | i-C4H9         | H              |
| A-428    | C2H5              | i-C4H9         | H              |
| A-429    | n-C3H7            | i-C4H9         | H              |
| A-430    | i-C3H7            | i-C4H9         | H              |
| A-431    | n-C4H9            | i-C4H9         | H              |
| A-432    | s-C4H9            | i-C4H9         | H              |
| A-433    | i-C4H9            | i-C4H9         | H              |
| A-434    | t-C4H9            | i-C4H9         | H              |
| A-435    | n-C5H11           | i-C4H9         | H              |
| A-436    | n-C6H13           | i-C4H9         | H              |
| A-437    | CH2CH=CH2         | i-C4H9         | H              |
| A-438    | CH2C(CH3)=CH2     | i-C4H9         | H              |
| A-439    | CH2CH=CHCH3       | i-C4H9         | H              |
| A-440    | CH2CH=C(CH3)2     | i-C4H9         | H              |
| A-441    | CH2CCl=CH2        | i-C4H9         | H              |
| A-442    | CH2CH=CCl2        | i-C4H9         | H              |
| A-443    | CH2CH=CHCF3       | i-C4H9         | H              |

| Compound | R <sup>1</sup>    | R <sup>2</sup> | R <sup>3</sup> |
|----------|-------------------|----------------|----------------|
| A-444    | CH2CH=CHPh        | i-C4H9         | H              |
| A-445    | CH(CH3)CH=CH2     | i-C4H9         | H              |
| A-446    | CH2CCH            | i-C4H9         | H              |
| A-447    | CH2CCCH3          | i-C4H9         | H              |
| A-448    | CH2CF3            | i-C4H9         | H              |
| A-449    | CH2CH2OCH3        | i-C4H9         | H              |
| A-450    | CH2CH2OC2H5       | i-C4H9         | H              |
| A-451    | CH2CH2CH2OCH3     | i-C4H9         | H              |
| A-452    | CH2CH2CH2OC2H5    | i-C4H9         | H              |
| A-453    | CH2CH(OCH3)2      | i-C4H9         | H              |
| A-454    | CH2CN             | i-C4H9         | H              |
| A-455    | CH2(cyclo-C3H5)   | i-C4H9         | H              |
| A-456    | CH2(cyclo-C5H9)   | i-C4H9         | H              |
| A-457    | CH2(cyclo-C6H11)  | i-C4H9         | H              |
| A-458    | CH2Ph             | i-C4H9         | H              |
| A-459    | CH2(2-Cl-Ph)      | i-C4H9         | H              |
| A-460    | CH2(3-Cl-Ph)      | i-C4H9         | H              |
| A-461    | CH2(4-Cl-Ph)      | i-C4H9         | H              |
| A-462    | CH2(2-CF3-Ph)     | i-C4H9         | H              |
| A-463    | CH2(3-CF3-Ph)     | i-C4H9         | H              |
| A-464    | CH2(4-CF3-Ph)     | i-C4H9         | H              |
| A-465    | CH2(2-F-Ph)       | i-C4H9         | H              |
| A-466    | CH2(3-F-Ph)       | i-C4H9         | H              |
| A-467    | CH2(4-F-Ph)       | i-C4H9         | H              |
| A-468    | CH2(2-OMe-Ph)     | i-C4H9         | H              |
| A-469    | CH2(3-OMe-Ph)     | i-C4H9         | H              |
| A-470    | CH2(4-OMe-Ph)     | i-C4H9         | H              |
| A-471    | CH(CH3)Ph         | i-C4H9         | H              |
| A-472    | CH(CH3)(2-Cl-Ph)  | i-C4H9         | H              |
| A-473    | CH(CH3)(3-Cl-Ph)  | i-C4H9         | H              |
| A-474    | CH(CH3)(4-Cl-Ph)  | i-C4H9         | H              |
| A-475    | CH(CH3)(2-CF3-Ph) | i-C4H9         | H              |
| A-476    | CH(CH3)(3-CF3-Ph) | i-C4H9         | H              |
| A-477    | CH(CH3)(4-CF3-Ph) | i-C4H9         | H              |
| A-478    | CH2CH2Ph          | i-C4H9         | H              |
| A-479    | CH3               | t-C4H9         | H              |
| A-480    | C2H5              | t-C4H9         | H              |
| A-481    | n-C3H7            | t-C4H9         | H              |
| A-482    | i-C3H7            | t-C4H9         | H              |
| A-483    | n-C4H9            | t-C4H9         | H              |
| A-484    | s-C4H9            | t-C4H9         | H              |
| A-485    | i-C4H9            | t-C4H9         | H              |
| A-486    | t-C4H9            | t-C4H9         | H              |

| Compound | R <sup>1</sup>   | R <sup>2</sup>                  | R <sup>3</sup> |
|----------|--|---------------------------------|----------------|
| A-487    | n-C <sub>5</sub> H <sub>11</sub>                                 | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-488    | n-C <sub>6</sub> H <sub>13</sub>                                 | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-489    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-490    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-491    | CH <sub>2</sub> CH=CHCH <sub>3</sub>                             | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-492    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-493    | CH <sub>2</sub> CCl=CH <sub>2</sub>                              | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-494    | CH <sub>2</sub> CH=CCl <sub>2</sub>                              | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-495    | CH <sub>2</sub> CH=CHCF <sub>3</sub>                             | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-496    | CH <sub>2</sub> CH=CHPh  | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-497    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>                           | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-498    | CH <sub>2</sub> CCH  | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-499    | CH <sub>2</sub> CCCH <sub>3</sub>                                | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-500    | CH <sub>2</sub> CF <sub>3</sub>                                  | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-501    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                 | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-502    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>   | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-503    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-504    | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-505    | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>               | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-506    | CH <sub>2</sub> CN   | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-507    | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )           | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-508    | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )           | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-509    | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )          | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-510    | CH <sub>2</sub> Ph   | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-511    | CH <sub>2</sub> (2-Cl-Ph)  | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-512    | CH <sub>2</sub> (3-Cl-Ph)  | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-513    | CH <sub>2</sub> (4-Cl-Ph)  | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-514    | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)                          | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-515    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-516    | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)                          | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-517    | CH <sub>2</sub> (2-F-Ph)   | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-518    | CH <sub>2</sub> (3-F-Ph)   | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-519    | CH <sub>2</sub> (4-F-Ph)   | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-520    | CH <sub>2</sub> (2-OMe-Ph)                                       | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-521    | CH <sub>2</sub> (3-OMe-Ph)                                       | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-522    | CH <sub>2</sub> (4-OMe-Ph)                                       | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-523    | CH(CH <sub>3</sub> )Ph   | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-524    | CH(CH <sub>3</sub> )(2-Cl-Ph)                                    | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-525    | CH(CH <sub>3</sub> )(3-Cl-Ph)                                    | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-526    | CH(CH <sub>3</sub> )(4-Cl-Ph)                                    | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-527    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                      | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-528    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                      | t-C <sub>4</sub> H <sub>9</sub> | H              |
| A-529    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                      | t-C <sub>4</sub> H <sub>9</sub> | H              |

| Compound | R <sup>1</sup>   | R <sup>2</sup>  | R <sup>3</sup>  |
|----------|--|---|-----------------|
| A-530    | CH <sub>2</sub> CH <sub>2</sub> Ph                               | t-C <sub>4</sub> H <sub>9</sub>                                     | H               |
| A-531    | n-C <sub>3</sub> H <sub>7</sub>                                  | n-C <sub>5</sub> H <sub>11</sub>                                    | H               |
| A-532    | i-C <sub>3</sub> H <sub>7</sub>                                  | n-C <sub>5</sub> H <sub>11</sub>                                    | H               |
| A-533    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | n-C <sub>5</sub> H <sub>11</sub>                                    | H               |
| A-534    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | n-C <sub>5</sub> H <sub>11</sub>                                    | H               |
| A-535    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | n-C <sub>5</sub> H <sub>11</sub>                                    | H               |
| A-536    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | n-C <sub>5</sub> H <sub>11</sub>                                    | H               |
| A-537    | CH <sub>2</sub> Ph   | n-C <sub>5</sub> H <sub>11</sub>                                    | H               |
| A-538    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | n-C <sub>5</sub> H <sub>11</sub>                                    | H               |
| A-539    | n-C <sub>3</sub> H <sub>7</sub>                                  | C(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub>      | H               |
| A-540    | i-C <sub>3</sub> H <sub>7</sub>                                  | C(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub>      | H               |
| A-541    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | C(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub>      | H               |
| A-542    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | C(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub>      | H               |
| A-543    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | C(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub>      | H               |
| A-544    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | C(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub>      | H               |
| A-545    | CH <sub>2</sub> Ph   | C(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub>      | H               |
| A-546    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | C(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub>      | H               |
| A-547    | n-C <sub>3</sub> H <sub>7</sub>                                  | CH <sub>2</sub> CH <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>3</sub> | H               |
| A-548    | i-C <sub>3</sub> H <sub>7</sub>                                  | CH <sub>2</sub> CH <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>3</sub> | H               |
| A-549    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | CH <sub>2</sub> CH <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>3</sub> | H               |
| A-550    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | CH <sub>2</sub> CH <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>3</sub> | H               |
| A-551    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | CH <sub>2</sub> CH <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>3</sub> | H               |
| A-552    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | CH <sub>2</sub> CH <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>3</sub> | H               |
| A-553    | CH <sub>2</sub> Ph   | CH <sub>2</sub> CH <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>3</sub> | H               |
| A-554    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | CH <sub>2</sub> CH <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>3</sub> | H               |
| A-555    | n-C <sub>3</sub> H <sub>7</sub>                                  | CH <sub>2</sub> CH(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>   | H               |
| A-556    | i-C <sub>3</sub> H <sub>7</sub>                                  | CH <sub>2</sub> CH(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>   | H               |
| A-557    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | CH <sub>2</sub> CH(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>   | H               |
| A-558    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | CH <sub>2</sub> CH(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>   | H               |
| A-559    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | CH <sub>2</sub> CH(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>   | H               |
| A-560    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | CH <sub>2</sub> CH(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>   | H               |
| A-561    | CH <sub>2</sub> Ph   | CH <sub>2</sub> CH(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>   | H               |
| A-562    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | CH <sub>2</sub> CH(CH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>   | H               |
| A-563    | n-C <sub>3</sub> H <sub>7</sub>                                  | n-C <sub>3</sub> H <sub>7</sub>                                     | CH <sub>3</sub> |
| A-564    | i-C <sub>3</sub> H <sub>7</sub>                                  | n-C <sub>3</sub> H <sub>7</sub>                                     | CH <sub>3</sub> |
| A-565    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | n-C <sub>3</sub> H <sub>7</sub>                                     | CH <sub>3</sub> |
| A-566    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | n-C <sub>3</sub> H <sub>7</sub>                                     | CH <sub>3</sub> |
| A-567    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | n-C <sub>3</sub> H <sub>7</sub>                                     | CH <sub>3</sub> |
| A-568    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | n-C <sub>3</sub> H <sub>7</sub>                                     | CH <sub>3</sub> |
| A-569    | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | n-C <sub>3</sub> H <sub>7</sub>                                     | CH <sub>3</sub> |
| A-570    | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>              | n-C <sub>3</sub> H <sub>7</sub>                                     | CH <sub>3</sub> |
| A-571    | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub> | n-C <sub>3</sub> H <sub>7</sub>                                     | CH <sub>3</sub> |
| A-572    | CH <sub>2</sub> Ph   | n-C <sub>3</sub> H <sub>7</sub>                                     | CH <sub>3</sub> |

| Compound | R <sup>1</sup>   | R <sup>2</sup>                  | R <sup>3</sup>                |
|----------|--|---------------------------------|-------------------------------|
| A-573    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | n-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>               |
| A-574    | n-C <sub>3</sub> H <sub>7</sub>                                  | n-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-575    | i-C <sub>3</sub> H <sub>7</sub>                                  | n-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-576    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | n-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-577    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | n-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-578    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | n-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-579    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | n-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-580    | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | n-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-581    | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>              | n-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-582    | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub> | n-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-583    | CH <sub>2</sub> Ph   | n-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-584    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | n-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-585    | n-C <sub>3</sub> H <sub>7</sub>                                  | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>               |
| A-586    | i-C <sub>3</sub> H <sub>7</sub>                                  | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>               |
| A-587    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>               |
| A-588    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>               |
| A-589    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>               |
| A-590    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>               |
| A-591    | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>               |
| A-592    | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>              | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>               |
| A-593    | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub> | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>               |
| A-594    | CH <sub>2</sub> Ph   | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>               |
| A-595    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>               |
| A-596    | n-C <sub>3</sub> H <sub>7</sub>                                  | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-597    | i-C <sub>3</sub> H <sub>7</sub>                                  | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-598    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-599    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-600    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-601    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-602    | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-603    | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>              | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-604    | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub> | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-605    | CH <sub>2</sub> Ph   | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-606    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-607    | n-C <sub>3</sub> H <sub>7</sub>                                  | n-C <sub>4</sub> H <sub>9</sub> | CH <sub>3</sub>               |
| A-608    | i-C <sub>3</sub> H <sub>7</sub>                                  | n-C <sub>4</sub> H <sub>9</sub> | CH <sub>3</sub>               |
| A-609    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | n-C <sub>4</sub> H <sub>9</sub> | CH <sub>3</sub>               |
| A-610    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | n-C <sub>4</sub> H <sub>9</sub> | CH <sub>3</sub>               |
| A-611    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | n-C <sub>4</sub> H <sub>9</sub> | CH <sub>3</sub>               |
| A-612    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | n-C <sub>4</sub> H <sub>9</sub> | CH <sub>3</sub>               |
| A-613    | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | n-C <sub>4</sub> H <sub>9</sub> | CH <sub>3</sub>               |
| A-614    | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>              | n-C <sub>4</sub> H <sub>9</sub> | CH <sub>3</sub>               |
| A-615    | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub> | n-C <sub>4</sub> H <sub>9</sub> | CH <sub>3</sub>               |

| Compound | R <sup>1</sup>  | R <sup>2</sup>  | R <sup>3</sup> |
|----------|---|---|----------------|
| A-616    | CH2Ph   | n-C4H9  | CH3            |
| A-617    | CH2(3-CF <sub>3</sub> -Ph)                            | n-C4H9  | CH3            |
| A-618    | n-C3H7  | CH2CH <sub>2</sub> OCH <sub>3</sub>                               | H              |
| A-619    | i-C3H7  | CH2CH <sub>2</sub> OCH <sub>3</sub>                               | H              |
| A-620    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH2CH <sub>2</sub> OCH <sub>3</sub>                               | H              |
| A-621    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH2CH <sub>2</sub> OCH <sub>3</sub>                               | H              |
| A-622    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH2CH <sub>2</sub> OCH <sub>3</sub>                               | H              |
| A-623    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH2CH <sub>2</sub> OCH <sub>3</sub>                               | H              |
| A-624    | CH2Ph   | CH2CH <sub>2</sub> OCH <sub>3</sub>                               | H              |
| A-625    | CH2(3-CF <sub>3</sub> -Ph)                            | CH2CH <sub>2</sub> OCH <sub>3</sub>                               | H              |
| A-626    | n-C3H7  | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H              |
| A-627    | i-C3H7  | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H              |
| A-628    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H              |
| A-629    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H              |
| A-630    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H              |
| A-631    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H              |
| A-632    | CH2Ph   | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H              |
| A-633    | CH2(3-CF <sub>3</sub> -Ph)                            | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H              |
| A-634    | n-C3H7  | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> CH <sub>3</sub> | H              |
| A-635    | i-C3H7  | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> CH <sub>3</sub> | H              |
| A-636    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> CH <sub>3</sub> | H              |
| A-637    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> CH <sub>3</sub> | H              |
| A-638    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> CH <sub>3</sub> | H              |
| A-639    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> CH <sub>3</sub> | H              |
| A-640    | CH2Ph   | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> CH <sub>3</sub> | H              |
| A-641    | CH2(3-CF <sub>3</sub> -Ph)                            | CH2CH <sub>2</sub> OC <sub>2</sub> H <sub>4</sub> CH <sub>3</sub> | H              |
| A-642    | n-C3H7  | CH2CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> CH <sub>3</sub> | H              |
| A-643    | i-C3H7  | CH2CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> CH <sub>3</sub> | H              |
| A-644    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH2CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> CH <sub>3</sub> | H              |
| A-645    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH2CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> CH <sub>3</sub> | H              |
| A-646    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH2CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> CH <sub>3</sub> | H              |
| A-647    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH2CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> CH <sub>3</sub> | H              |
| A-648    | CH2Ph   | CH2CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> CH <sub>3</sub> | H              |
| A-649    | CH2(3-CF <sub>3</sub> -Ph)                            | CH2CH <sub>2</sub> OC <sub>3</sub> H <sub>7</sub> CH <sub>3</sub> | H              |
| A-650    | n-C3H7  | CH <sub>2</sub> CF <sub>3</sub>                                   | H              |
| A-651    | i-C3H7  | CH <sub>2</sub> CF <sub>3</sub>                                   | H              |
| A-652    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH <sub>2</sub> CF <sub>3</sub>                                   | H              |
| A-653    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH <sub>2</sub> CF <sub>3</sub>                                   | H              |
| A-654    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH <sub>2</sub> CF <sub>3</sub>                                   | H              |
| A-655    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH <sub>2</sub> CF <sub>3</sub>                                   | H              |
| A-656    | CH2Ph   | CH <sub>2</sub> CF <sub>3</sub>                                   | H              |
| A-657    | CH2(3-CF <sub>3</sub> -Ph)                            | CH <sub>2</sub> CF <sub>3</sub>                                   | H              |
| A-658    | n-C3H7  | CH <sub>2</sub> CN  | H              |

| Compound | R <sup>1</sup>  | R <sup>2</sup>  | R <sup>3</sup> |
|----------|---|---|----------------|
| A-659    | i-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> CN                                      | H              |
| A-660    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH <sub>2</sub> CN                                      | H              |
| A-661    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH <sub>2</sub> CN                                      | H              |
| A-662    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH <sub>2</sub> CN                                      | H              |
| A-663    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH <sub>2</sub> CN                                      | H              |
| A-664    | CH <sub>2</sub> Ph                                    | CH <sub>2</sub> CN                                      | H              |
| A-665    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | CH <sub>2</sub> CN                                      | H              |
| A-666    | n-C <sub>3</sub> H <sub>7</sub>                       | C(CH <sub>3</sub> ) <sub>2</sub> CN                     | H              |
| A-667    | i-C <sub>3</sub> H <sub>7</sub>                       | C(CH <sub>3</sub> ) <sub>2</sub> CN                     | H              |
| A-668    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | C(CH <sub>3</sub> ) <sub>2</sub> CN                     | H              |
| A-669    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | C(CH <sub>3</sub> ) <sub>2</sub> CN                     | H              |
| A-670    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | C(CH <sub>3</sub> ) <sub>2</sub> CN                     | H              |
| A-671    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | C(CH <sub>3</sub> ) <sub>2</sub> CN                     | H              |
| A-672    | CH <sub>2</sub> Ph                                    | C(CH <sub>3</sub> ) <sub>2</sub> CN                     | H              |
| A-673    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | C(CH <sub>3</sub> ) <sub>2</sub> CN                     | H              |
| A-674    | n-C <sub>3</sub> H <sub>7</sub>                       | C(CH <sub>3</sub> )(i-C <sub>3</sub> H <sub>7</sub> )CN | H              |
| A-675    | i-C <sub>3</sub> H <sub>7</sub>                       | C(CH <sub>3</sub> )(i-C <sub>3</sub> H <sub>7</sub> )CN | H              |
| A-676    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | C(CH <sub>3</sub> )(i-C <sub>3</sub> H <sub>7</sub> )CN | H              |
| A-677    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | C(CH <sub>3</sub> )(i-C <sub>3</sub> H <sub>7</sub> )CN | H              |
| A-678    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | C(CH <sub>3</sub> )(i-C <sub>3</sub> H <sub>7</sub> )CN | H              |
| A-679    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | C(CH <sub>3</sub> )(i-C <sub>3</sub> H <sub>7</sub> )CN | H              |
| A-680    | CH <sub>2</sub> Ph                                    | C(CH <sub>3</sub> )(i-C <sub>3</sub> H <sub>7</sub> )CN | H              |
| A-681    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | C(CH <sub>3</sub> )(i-C <sub>3</sub> H <sub>7</sub> )CN | H              |
| A-682    | n-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> CH=CH <sub>2</sub>                      | H              |
| A-683    | i-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> CH=CH <sub>2</sub>                      | H              |
| A-684    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH <sub>2</sub> CH=CH <sub>2</sub>                      | H              |
| A-685    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH <sub>2</sub> CH=CH <sub>2</sub>                      | H              |
| A-686    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH <sub>2</sub> CH=CH <sub>2</sub>                      | H              |
| A-687    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH <sub>2</sub> CH=CH <sub>2</sub>                      | H              |
| A-688    | CH <sub>2</sub> Ph                                    | CH <sub>2</sub> CH=CH <sub>2</sub>                      | H              |
| A-689    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | CH <sub>2</sub> CH=CH <sub>2</sub>                      | H              |
| A-690    | n-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>     | H              |
| A-691    | i-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>     | H              |
| A-692    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>     | H              |
| A-693    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>     | H              |
| A-694    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>     | H              |
| A-695    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>     | H              |
| A-696    | CH <sub>2</sub> Ph                                    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>     | H              |
| A-697    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>     | H              |
| A-698    | n-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> CH=CHCH <sub>3</sub>                    | H              |
| A-699    | i-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> CH=CHCH <sub>3</sub>                    | H              |
| A-700    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH <sub>2</sub> CH=CHCH <sub>3</sub>                    | H              |
| A-701    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH <sub>2</sub> CH=CHCH <sub>3</sub>                    | H              |

| Compound | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup> |
|----------|------------------|----------------|----------------|
| A-702    | CH2C(CH3)=CHCH3  | CH2CH=CHCH3    | H              |
| A-703    | CH2CH=C(CH3)2    | CH2CH=CHCH3    | H              |
| A-704    | CH2Ph            | CH2CH=CHCH3    | H              |
| A-705    | CH2(3-CF3-Ph)    | CH2CH=CHCH3    | H              |
| A-706    | n-C3H7           | CH2CCH         | H              |
| A-707    | i-C3H7           | CH2CCH         | H              |
| A-708    | CH2CH=CH2        | CH2CCH         | H              |
| A-709    | CH2C(CH3)=CH2    | CH2CCH         | H              |
| A-710    | CH2C(CH3)=CHCH3  | CH2CCH         | H              |
| A-711    | CH2CH=C(CH3)2    | CH2CCH         | H              |
| A-712    | CH2Ph            | CH2CCH         | H              |
| A-713    | CH2(3-CF3-Ph)    | CH2CCH         | H              |
| A-714    | CH3              | CH2Ph          | H              |
| A-715    | C2H5             | CH2Ph          | H              |
| A-716    | n-C3H7           | CH2Ph          | H              |
| A-717    | i-C3H7           | CH2Ph          | H              |
| A-718    | n-C4H9           | CH2Ph          | H              |
| A-719    | s-C4H9           | CH2Ph          | H              |
| A-720    | i-C4H9           | CH2Ph          | H              |
| A-721    | t-C4H9           | CH2Ph          | H              |
| A-722    | n-C5H11          | CH2Ph          | H              |
| A-723    | n-C6H13          | CH2Ph          | H              |
| A-724    | CH2CH=CH2        | CH2Ph          | H              |
| A-725    | CH2C(CH3)=CH2    | CH2Ph          | H              |
| A-726    | CH2CH=CHCH3      | CH2Ph          | H              |
| A-727    | CH2CH=C(CH3)2    | CH2Ph          | H              |
| A-728    | CH2CCI=CH2       | CH2Ph          | H              |
| A-729    | CH2CH=CCl2       | CH2Ph          | H              |
| A-730    | CH2CH=CHCF3      | CH2Ph          | H              |
| A-731    | CH2CH=CHPh       | CH2Ph          | H              |
| A-732    | CH(CH3)CH=CH2    | CH2Ph          | H              |
| A-733    | CH2CCH           | CH2Ph          | H              |
| A-734    | CH2CCCH3         | CH2Ph          | H              |
| A-735    | CH2CF3           | CH2Ph          | H              |
| A-736    | CH2CH2OCH3       | CH2Ph          | H              |
| A-737    | CH2CH2OC2H5      | CH2Ph          | H              |
| A-738    | CH2CH2CH2OCH3    | CH2Ph          | H              |
| A-739    | CH2CH2CH2OC2H5   | CH2Ph          | H              |
| A-740    | CH2CH(OC2H5)2    | CH2Ph          | H              |
| A-741    | CH2CN            | CH2Ph          | H              |
| A-742    | CH2(cyclo-C3H5)  | CH2Ph          | H              |
| A-743    | CH2(cyclo-C5H9)  | CH2Ph          | H              |
| A-744    | CH2(cyclo-C6H11) | CH2Ph          | H              |

| Compound | R <sup>1</sup>  | R <sup>2</sup> | R <sup>3</sup>  |
|----------|---|----------------|-----------------|
| A-745    | CH2Ph   | CH2Ph          | H               |
| A-746    | CH2(2-Cl-Ph)  | CH2Ph          | H               |
| A-747    | CH2(3-Cl-Ph)  | CH2Ph          | H               |
| A-748    | CH2(4-Cl-Ph)  | CH2Ph          | H               |
| A-749    | CH2(2-CF <sub>3</sub> -Ph)                            | CH2Ph          | H               |
| A-750    | CH2(3-CF <sub>3</sub> -Ph)                            | CH2Ph          | H               |
| A-751    | CH2(4-CF <sub>3</sub> -Ph)                            | CH2Ph          | H               |
| A-752    | CH2(2-F-Ph)   | CH2Ph          | H               |
| A-753    | CH2(3-F-Ph)   | CH2Ph          | H               |
| A-754    | CH2(4-F-Ph)   | CH2Ph          | H               |
| A-755    | CH2(2-OMe-Ph)   | CH2Ph          | H               |
| A-756    | CH2(3-OMe-Ph)   | CH2Ph          | H               |
| A-757    | CH2(4-OMe-Ph)   | CH2Ph          | H               |
| A-758    | CH(CH <sub>3</sub> )Ph                                | CH2Ph          | H               |
| A-759    | CH(CH <sub>3</sub> )(2-Cl-Ph)                         | CH2Ph          | H               |
| A-760    | CH(CH <sub>3</sub> )(3-Cl-Ph)                         | CH2Ph          | H               |
| A-761    | CH(CH <sub>3</sub> )(4-Cl-Ph)                         | CH2Ph          | H               |
| A-762    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)           | CH2Ph          | H               |
| A-763    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)           | CH2Ph          | H               |
| A-764    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)           | CH2Ph          | H               |
| A-765    | CH <sub>2</sub> CH <sub>2</sub> Ph                    | CH2Ph          | H               |
| A-766    | CH <sub>3</sub>                                       | CH2Ph          | CH <sub>3</sub> |
| A-767    | C <sub>2</sub> H <sub>5</sub>                         | CH2Ph          | CH <sub>3</sub> |
| A-768    | n-C <sub>3</sub> H <sub>7</sub>                       | CH2Ph          | CH <sub>3</sub> |
| A-769    | i-C <sub>3</sub> H <sub>7</sub>                       | CH2Ph          | CH <sub>3</sub> |
| A-770    | n-C <sub>4</sub> H <sub>9</sub>                       | CH2Ph          | CH <sub>3</sub> |
| A-771    | s-C <sub>4</sub> H <sub>9</sub>                       | CH2Ph          | CH <sub>3</sub> |
| A-772    | i-C <sub>4</sub> H <sub>9</sub>                       | CH2Ph          | CH <sub>3</sub> |
| A-773    | t-C <sub>4</sub> H <sub>9</sub>                       | CH2Ph          | CH <sub>3</sub> |
| A-774    | n-C <sub>5</sub> H <sub>11</sub>                      | CH2Ph          | CH <sub>3</sub> |
| A-775    | n-C <sub>6</sub> H <sub>13</sub>                      | CH2Ph          | CH <sub>3</sub> |
| A-776    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH2Ph          | CH <sub>3</sub> |
| A-777    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH2Ph          | CH <sub>3</sub> |
| A-778    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH2Ph          | CH <sub>3</sub> |
| A-779    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH2Ph          | CH <sub>3</sub> |
| A-780    | CH <sub>2</sub> CCl=CH <sub>2</sub>                   | CH2Ph          | CH <sub>3</sub> |
| A-781    | CH <sub>2</sub> CH=CCl <sub>2</sub>                   | CH2Ph          | CH <sub>3</sub> |
| A-782    | CH <sub>2</sub> CH=CHCF <sub>3</sub>                  | CH2Ph          | CH <sub>3</sub> |
| A-783    | CH <sub>2</sub> CH=CHPh                               | CH2Ph          | CH <sub>3</sub> |
| A-784    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>                | CH2Ph          | CH <sub>3</sub> |
| A-785    | CH <sub>2</sub> CCH                                   | CH2Ph          | CH <sub>3</sub> |
| A-786    | CH <sub>2</sub> CCCH <sub>3</sub>                     | CH2Ph          | CH <sub>3</sub> |
| A-787    | CH <sub>2</sub> CF <sub>3</sub>                       | CH2Ph          | CH <sub>3</sub> |

| Compound | R <sup>1</sup>   | R <sup>2</sup>             | R <sup>3</sup>  |
|----------|--|----------------------------|-----------------|
| A-788    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-789    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-790    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-791    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-792    | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-793    | CH <sub>2</sub> CN   | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-794    | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-795    | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-796    | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-797    | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-798    | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-799    | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-800    | CH(CH <sub>3</sub> )CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>              | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-801    | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>               | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-802    | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-803    | CH <sub>2</sub> Ph   | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-804    | CH <sub>2</sub> (2-Cl-Ph)  | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-805    | CH <sub>2</sub> (3-Cl-Ph)  | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-806    | CH <sub>2</sub> (4-Cl-Ph)  | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-807    | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-808    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-809    | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-810    | CH <sub>2</sub> (2-F-Ph)   | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-811    | CH <sub>2</sub> (3-F-Ph)   | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-812    | CH <sub>2</sub> (4-F-Ph)   | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-813    | CH <sub>2</sub> (2-OMe-Ph)   | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-814    | CH <sub>2</sub> (3-OMe-Ph)   | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-815    | CH <sub>2</sub> (4-OMe-Ph)   | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-816    | CH(CH <sub>3</sub> )Ph   | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-817    | CH(CH <sub>3</sub> )(2-Cl-Ph)  | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-818    | CH(CH <sub>3</sub> )(3-Cl-Ph)  | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-819    | CH(CH <sub>3</sub> )(4-Cl-Ph)  | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-820    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-821    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-822    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-823    | CH <sub>2</sub> CH <sub>2</sub> Ph   | CH <sub>2</sub> Ph         | CH <sub>3</sub> |
| A-824    | n-C <sub>3</sub> H <sub>7</sub>  | CH <sub>2</sub> (2-OMe-Ph) | H               |
| A-825    | i-C <sub>3</sub> H <sub>7</sub>  | CH <sub>2</sub> (2-OMe-Ph) | H               |
| A-826    | CH <sub>2</sub> CH=CH <sub>2</sub>   | CH <sub>2</sub> (2-OMe-Ph) | H               |
| A-827    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | CH <sub>2</sub> (2-OMe-Ph) | H               |
| A-828    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | CH <sub>2</sub> (2-OMe-Ph) | H               |
| A-829    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | CH <sub>2</sub> (2-OMe-Ph) | H               |
| A-830    | CH <sub>2</sub> Ph   | CH <sub>2</sub> (2-OMe-Ph) | H               |

| Compound | R <sup>1</sup>  | R <sup>2</sup>             | R <sup>3</sup> |
|----------|---|----------------------------|----------------|
| A-831    | CH2(3-CF <sub>3</sub> -Ph)                            | CH2(2-OMe-Ph)              | H              |
| A-832    | n-C <sub>3</sub> H <sub>7</sub>                       | CH2(3-OMe-Ph)              | H              |
| A-833    | i-C <sub>3</sub> H <sub>7</sub>                       | CH2(3-OMe-Ph)              | H              |
| A-834    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH2(3-OMe-Ph)              | H              |
| A-835    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH2(3-OMe-Ph)              | H              |
| A-836    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH2(3-OMe-Ph)              | H              |
| A-837    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH2(3-OMe-Ph)              | H              |
| A-838    | CH <sub>2</sub> Ph                                    | CH2(3-OMe-Ph)              | H              |
| A-839    | CH2(3-CF <sub>3</sub> -Ph)                            | CH2(3-OMe-Ph)              | H              |
| A-840    | n-C <sub>3</sub> H <sub>7</sub>                       | CH2(4-OMe-Ph)              | H              |
| A-841    | i-C <sub>3</sub> H <sub>7</sub>                       | CH2(4-OMe-Ph)              | H              |
| A-842    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH2(4-OMe-Ph)              | H              |
| A-843    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH2(4-OMe-Ph)              | H              |
| A-844    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH2(4-OMe-Ph)              | H              |
| A-845    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH2(4-OMe-Ph)              | H              |
| A-846    | CH <sub>2</sub> Ph                                    | CH2(4-OMe-Ph)              | H              |
| A-847    | CH2(3-CF <sub>3</sub> -Ph)                            | CH2(4-OMe-Ph)              | H              |
| A-848    | n-C <sub>3</sub> H <sub>7</sub>                       | CH2(2-Cl-Ph)               | H              |
| A-849    | i-C <sub>3</sub> H <sub>7</sub>                       | CH2(2-Cl-Ph)               | H              |
| A-850    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH2(2-Cl-Ph)               | H              |
| A-851    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH2(2-Cl-Ph)               | H              |
| A-852    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH2(2-Cl-Ph)               | H              |
| A-853    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH2(2-Cl-Ph)               | H              |
| A-854    | CH <sub>2</sub> Ph                                    | CH2(2-Cl-Ph)               | H              |
| A-855    | CH2(3-CF <sub>3</sub> -Ph)                            | CH2(2-Cl-Ph)               | H              |
| A-856    | n-C <sub>3</sub> H <sub>7</sub>                       | CH2(3-Cl-Ph)               | H              |
| A-857    | i-C <sub>3</sub> H <sub>7</sub>                       | CH2(3-Cl-Ph)               | H              |
| A-858    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH2(3-Cl-Ph)               | H              |
| A-859    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH2(3-Cl-Ph)               | H              |
| A-860    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH2(3-Cl-Ph)               | H              |
| A-861    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH2(3-Cl-Ph)               | H              |
| A-862    | CH <sub>2</sub> Ph                                    | CH2(3-Cl-Ph)               | H              |
| A-863    | CH2(3-CF <sub>3</sub> -Ph)                            | CH2(3-Cl-Ph)               | H              |
| A-864    | n-C <sub>3</sub> H <sub>7</sub>                       | CH2(4-Cl-Ph)               | H              |
| A-865    | i-C <sub>3</sub> H <sub>7</sub>                       | CH2(4-Cl-Ph)               | H              |
| A-866    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH2(4-Cl-Ph)               | H              |
| A-867    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH2(4-Cl-Ph)               | H              |
| A-868    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH2(4-Cl-Ph)               | H              |
| A-869    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH2(4-Cl-Ph)               | H              |
| A-870    | CH <sub>2</sub> Ph                                    | CH2(4-Cl-Ph)               | H              |
| A-871    | CH2(3-CF <sub>3</sub> -Ph)                            | CH2(4-Cl-Ph)               | H              |
| A-872    | n-C <sub>3</sub> H <sub>7</sub>                       | CH2(2-CF <sub>3</sub> -Ph) | H              |
| A-873    | i-C <sub>3</sub> H <sub>7</sub>                       | CH2(2-CF <sub>3</sub> -Ph) | H              |

| Compound | R <sup>1</sup>   | R <sup>2</sup>                          | R <sup>3</sup> |
|----------|--|---|----------------|
| A-874    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph) | H              |
| A-875    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph) | H              |
| A-876    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph) | H              |
| A-877    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph) | H              |
| A-878    | CH <sub>2</sub> Ph   | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph) | H              |
| A-879    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph) | H              |
| A-880    | n-C <sub>3</sub> H <sub>7</sub>                                  | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph) | H              |
| A-881    | i-C <sub>3</sub> H <sub>7</sub>                                  | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph) | H              |
| A-882    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph) | H              |
| A-883    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph) | H              |
| A-884    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph) | H              |
| A-885    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph) | H              |
| A-886    | CH <sub>2</sub> Ph   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph) | H              |
| A-887    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph) | H              |
| A-888    | n-C <sub>3</sub> H <sub>7</sub>                                  | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph) | H              |
| A-889    | i-C <sub>3</sub> H <sub>7</sub>                                  | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph) | H              |
| A-890    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph) | H              |
| A-891    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph) | H              |
| A-892    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph) | H              |
| A-893    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph) | H              |
| A-894    | CH <sub>2</sub> Ph   | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph) | H              |
| A-895    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph) | H              |
| A-896    | CH <sub>3</sub>  | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-897    | C <sub>2</sub> H <sub>5</sub>                                    | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-898    | n-C <sub>3</sub> H <sub>7</sub>                                  | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-899    | i-C <sub>3</sub> H <sub>7</sub>                                  | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-900    | n-C <sub>4</sub> H <sub>9</sub>                                  | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-901    | s-C <sub>4</sub> H <sub>9</sub>                                  | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-902    | n-C <sub>5</sub> H <sub>11</sub>                                 | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-903    | n-C <sub>6</sub> H <sub>13</sub>                                 | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-904    | CH <sub>2</sub> CH=CH <sub>2</sub>                               | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-905    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-906    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-907    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-908    | CH <sub>2</sub> CCl=CH <sub>2</sub>                              | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-909    | CH <sub>2</sub> CH=CCl <sub>2</sub>                              | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-910    | CH <sub>2</sub> CH=CHCF <sub>3</sub>                             | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-911    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>                           | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-912    | CH <sub>2</sub> CCCH <sub>3</sub>                                | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-913    | CH <sub>2</sub> CF <sub>3</sub>                                  | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-914    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                 | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-915    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>   | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |
| A-916    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub> | cyclo-C <sub>3</sub> H <sub>5</sub>     | H              |

| Compound | R <sup>1</sup>  | R <sup>2</sup> | R <sup>3</sup> |
|----------|-----------------|----------------|----------------|
| A-917    | CH2CH2CH2OC2H5  | cyclo-C3H5     | H              |
| A-918    | CH2CH(OCH3)2    | cyclo-C3H5     | H              |
| A-919    | CH2Ph           | cyclo-C3H5     | H              |
| A-920    | CH2(2-Cl-Ph)    | cyclo-C3H5     | H              |
| A-921    | CH2(3-Cl-Ph)    | cyclo-C3H5     | H              |
| A-922    | CH2(4-Cl-Ph)    | cyclo-C3H5     | H              |
| A-923    | CH2(2-CF3-Ph)   | cyclo-C3H5     | H              |
| A-924    | CH2(3-CF3-Ph)   | cyclo-C3H5     | H              |
| A-925    | CH2(4-CF3-Ph)   | cyclo-C3H5     | H              |
| A-926    | CH(CH3)Ph       | cyclo-C3H5     | H              |
| A-927    | CH3             | cyclo-C5H9     | H              |
| A-928    | C2H5            | cyclo-C5H9     | H              |
| A-929    | n-C3H7          | cyclo-C5H9     | H              |
| A-930    | i-C3H7          | cyclo-C5H9     | H              |
| A-931    | n-C4H9          | cyclo-C5H9     | H              |
| A-932    | s-C4H9          | cyclo-C5H9     | H              |
| A-933    | n-C5H11         | cyclo-C5H9     | H              |
| A-934    | n-C6H13         | cyclo-C5H9     | H              |
| A-935    | CH2CH=CH2       | cyclo-C5H9     | H              |
| A-936    | CH2C(CH3)=CH2   | cyclo-C5H9     | H              |
| A-937    | CH2C(CH3)=CHCH3 | cyclo-C5H9     | H              |
| A-938    | CH2CH=C(CH3)2   | cyclo-C5H9     | H              |
| A-939    | CH2CCI=CH2      | cyclo-C5H9     | H              |
| A-940    | CH2CH=CCl2      | cyclo-C5H9     | H              |
| A-941    | CH2CH=CHCF3     | cyclo-C5H9     | H              |
| A-942    | CH(CH3)CH=CH2   | cyclo-C5H9     | H              |
| A-943    | CH2CCCH3        | cyclo-C5H9     | H              |
| A-944    | CH2CF3          | cyclo-C5H9     | H              |
| A-945    | CH2CH2OCH3      | cyclo-C5H9     | H              |
| A-946    | CH2CH2OC2H5     | cyclo-C5H9     | H              |
| A-947    | CH2CH2CH2OCH3   | cyclo-C5H9     | H              |
| A-948    | CH2CH2CH2OC2H5  | cyclo-C5H9     | H              |
| A-949    | CH2CH(OCH3)2    | cyclo-C5H9     | H              |
| A-950    | CH2Ph           | cyclo-C5H9     | H              |
| A-951    | CH2(2-Cl-Ph)    | cyclo-C5H9     | H              |
| A-952    | CH2(3-Cl-Ph)    | cyclo-C5H9     | H              |
| A-953    | CH2(4-Cl-Ph)    | cyclo-C5H9     | H              |
| A-954    | CH2(2-CF3-Ph)   | cyclo-C5H9     | H              |
| A-955    | CH2(3-CF3-Ph)   | cyclo-C5H9     | H              |
| A-956    | CH2(4-CF3-Ph)   | cyclo-C5H9     | H              |
| A-957    | CH(CH3)Ph       | cyclo-C5H9     | H              |
| A-958    | CH3             | cyclo-C6H11    | H              |
| A-959    | C2H5            | cyclo-C6H11    | H              |

| Compound | R <sup>1</sup>  | R <sup>2</sup> | R <sup>3</sup> |
|----------|-----------------|----------------|----------------|
| A-960    | n-C3H7          | cyclo-C6H11    | H              |
| A-961    | i-C3H7          | cyclo-C6H11    | H              |
| A-962    | n-C4H9          | cyclo-C6H11    | H              |
| A-963    | s-C4H9          | cyclo-C6H11    | H              |
| A-964    | n-C5H11         | cyclo-C6H11    | H              |
| A-965    | n-C6H13         | cyclo-C6H11    | H              |
| A-966    | CH2CH=CH2       | cyclo-C6H11    | H              |
| A-967    | CH2C(CH3)=CH2   | cyclo-C6H11    | H              |
| A-968    | CH2C(CH3)=CHCH3 | cyclo-C6H11    | H              |
| A-969    | CH2CH=C(CH3)2   | cyclo-C6H11    | H              |
| A-970    | CH2CCl=CH2      | cyclo-C6H11    | H              |
| A-971    | CH2CH=CCl2      | cyclo-C6H11    | H              |
| A-972    | CH2CH=CHCF3     | cyclo-C6H11    | H              |
| A-973    | CH(CH3)CH=CH2   | cyclo-C6H11    | H              |
| A-974    | CH2CCCH3        | cyclo-C6H11    | H              |
| A-975    | CH2CF3          | cyclo-C6H11    | H              |
| A-976    | CH2CH2OCH3      | cyclo-C6H11    | H              |
| A-977    | CH2CH2OC2H5     | cyclo-C6H11    | H              |
| A-978    | CH2CH2CH2OCH3   | cyclo-C6H11    | H              |
| A-979    | CH2CH2CH2OC2H5  | cyclo-C6H11    | H              |
| A-980    | CH2CH(OCH3)2    | cyclo-C6H11    | H              |
| A-981    | CH2Ph           | cyclo-C6H11    | H              |
| A-982    | CH2(2-Cl-Ph)    | cyclo-C6H11    | H              |
| A-983    | CH2(3-Cl-Ph)    | cyclo-C6H11    | H              |
| A-984    | CH2(4-Cl-Ph)    | cyclo-C6H11    | H              |
| A-985    | CH2(2-CF3-Ph)   | cyclo-C6H11    | H              |
| A-986    | CH2(3-CF3-Ph)   | cyclo-C6H11    | H              |
| A-987    | CH2(4-CF3-Ph)   | cyclo-C6H11    | H              |
| A-988    | CH(CH3)Ph       | cyclo-C6H11    | H              |
| A-989    | CH3             | cyclo-C6H11    | CH3            |
| A-990    | C2H5            | cyclo-C6H11    | CH3            |
| A-991    | n-C3H7          | cyclo-C6H11    | CH3            |
| A-992    | i-C3H7          | cyclo-C6H11    | CH3            |
| A-993    | n-C4H9          | cyclo-C6H11    | CH3            |
| A-994    | s-C4H9          | cyclo-C6H11    | CH3            |
| A-995    | n-C5H11         | cyclo-C6H11    | CH3            |
| A-996    | n-C6H13         | cyclo-C6H11    | CH3            |
| A-997    | CH2CH=CH2       | cyclo-C6H11    | CH3            |
| A-998    | CH2C(CH3)=CH2   | cyclo-C6H11    | CH3            |
| A-999    | CH2C(CH3)=CHCH3 | cyclo-C6H11    | CH3            |
| A-1000   | CH2CH=C(CH3)2   | cyclo-C6H11    | CH3            |
| A-1001   | CH2CCl=CH2      | cyclo-C6H11    | CH3            |
| A-1002   | CH2CH=CCl2      | cyclo-C6H11    | CH3            |

| Compound | R <sup>1</sup>   | R <sup>2</sup>                       | R <sup>3</sup>                |
|----------|--|--------------------------------------|-------------------------------|
| A-1003   | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1004   | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1005   | CH <sub>2</sub> CCCH <sub>3</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1006   | CH <sub>2</sub> CF <sub>3</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1007   | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1008   | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1009   | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1010   | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1011   | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1012   | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1013   | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1014   | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1015   | CH(CH <sub>3</sub> )CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>              | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1016   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>               | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1017   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1018   | CH <sub>2</sub> Ph   | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1019   | CH <sub>2</sub> (2-Cl-Ph)  | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1020   | CH <sub>2</sub> (3-Cl-Ph)  | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1021   | CH <sub>2</sub> (4-Cl-Ph)  | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1022   | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1023   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1024   | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1025   | CH(CH <sub>3</sub> )Ph   | cyclo-C <sub>6</sub> H <sub>11</sub> | CH <sub>3</sub>               |
| A-1026   | CH <sub>3</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1027   | C <sub>2</sub> H <sub>5</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1028   | n-C <sub>3</sub> H <sub>7</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1029   | i-C <sub>3</sub> H <sub>7</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1030   | n-C <sub>4</sub> H <sub>9</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1031   | s-C <sub>4</sub> H <sub>9</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1032   | n-C <sub>5</sub> H <sub>11</sub>   | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1033   | n-C <sub>6</sub> H <sub>13</sub>   | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1034   | CH <sub>2</sub> CH=CH <sub>2</sub>   | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1035   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1036   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1037   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1038   | CH <sub>2</sub> CCI=CH <sub>2</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1039   | CH <sub>2</sub> CH=CCl <sub>2</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1040   | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1041   | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1042   | CH <sub>2</sub> CCCH <sub>3</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1043   | CH <sub>2</sub> CF <sub>3</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1044   | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |
| A-1045   | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | cyclo-C <sub>6</sub> H <sub>11</sub> | C <sub>2</sub> H <sub>5</sub> |

| Compound | R <sup>1</sup>  | R <sup>2</sup> | R <sup>3</sup> |
|----------|-----------------|----------------|----------------|
| A-1046   | CH2CH2CH2OCH3   | cyclo-C6H11    | C2H5           |
| A-1047   | CH2CH2CH2OC2H5  | cyclo-C6H11    | C2H5           |
| A-1048   | CH2CH(OCH3)2    | cyclo-C6H11    | C2H5           |
| A-1049   | CH2CO2CH3       | cyclo-C6H11    | C2H5           |
| A-1050   | CH2CO2C2H5      | cyclo-C6H11    | C2H5           |
| A-1051   | CH(CH3)CO2CH3   | cyclo-C6H11    | C2H5           |
| A-1052   | CH(CH3)CO2C2H5  | cyclo-C6H11    | C2H5           |
| A-1053   | C(CH3)2CO2CH3   | cyclo-C6H11    | C2H5           |
| A-1054   | C(CH3)2CO2C2H5  | cyclo-C6H11    | C2H5           |
| A-1055   | CH2Ph           | cyclo-C6H11    | C2H5           |
| A-1056   | CH2(2-Cl-Ph)    | cyclo-C6H11    | C2H5           |
| A-1057   | CH2(3-Cl-Ph)    | cyclo-C6H11    | C2H5           |
| A-1058   | CH2(4-Cl-Ph)    | cyclo-C6H11    | C2H5           |
| A-1059   | CH2(2-CF3-Ph)   | cyclo-C6H11    | C2H5           |
| A-1060   | CH2(3-CF3-Ph)   | cyclo-C6H11    | C2H5           |
| A-1061   | CH2(4-CF3-Ph)   | cyclo-C6H11    | C2H5           |
| A-1062   | CH(CH3)Ph       | cyclo-C6H11    | C2H5           |
| A-1063   | CH3             | (CH2)4         |                |
| A-1064   | C2H5            | (CH2)4         |                |
| A-1065   | n-C3H7          | (CH2)4         |                |
| A-1066   | i-C3H7          | (CH2)4         |                |
| A-1067   | n-C4H9          | (CH2)4         |                |
| A-1068   | s-C4H9          | (CH2)4         |                |
| A-1069   | n-C5H11         | (CH2)4         |                |
| A-1070   | n-C6H13         | (CH2)4         |                |
| A-1071   | CH2CH=CH2       | (CH2)4         |                |
| A-1072   | CH2C(CH3)=CH2   | (CH2)4         |                |
| A-1073   | CH2C(CH3)=CHCH3 | (CH2)4         |                |
| A-1074   | CH2CH=C(CH3)2   | (CH2)4         |                |
| A-1075   | CH2CCl=CH2      | (CH2)4         |                |
| A-1076   | CH2CH=CCl2      | (CH2)4         |                |
| A-1077   | CH2CH=CHCF3     | (CH2)4         |                |
| A-1078   | CH(CH3)CH=CH2   | (CH2)4         |                |
| A-1079   | CH2CCCC3        | (CH2)4         |                |
| A-1080   | CH2CF3          | (CH2)4         |                |
| A-1081   | CH2CH2OCH3      | (CH2)4         |                |
| A-1082   | CH2CH2OC2H5     | (CH2)4         |                |
| A-1083   | CH2CH2CH2OCH3   | (CH2)4         |                |
| A-1084   | CH2CH2CH2OC2H5  | (CH2)4         |                |
| A-1085   | CH2CH(OCH3)2    | (CH2)4         |                |
| A-1086   | CH2CO2CH3       | (CH2)4         |                |
| A-1087   | CH2CO2C2H5      | (CH2)4         |                |
| A-1088   | CH(CH3)CO2CH3   | (CH2)4         |                |

| Compound | R <sup>1</sup>   | R <sup>2</sup> | R <sup>3</sup>                  |
|----------|--|----------------|---------------------------------|
| A-1089   | CH(CH <sub>3</sub> )CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>              |                | (CH <sub>2</sub> ) <sub>4</sub> |
| A-1090   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>               |                | (CH <sub>2</sub> ) <sub>4</sub> |
| A-1091   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> |                | (CH <sub>2</sub> ) <sub>4</sub> |
| A-1092   | CH <sub>2</sub> Ph   |                | (CH <sub>2</sub> ) <sub>4</sub> |
| A-1093   | CH <sub>2</sub> (2-Cl-Ph)  |                | (CH <sub>2</sub> ) <sub>4</sub> |
| A-1094   | CH <sub>2</sub> (3-Cl-Ph)  |                | (CH <sub>2</sub> ) <sub>4</sub> |
| A-1095   | CH <sub>2</sub> (4-Cl-Ph)  |                | (CH <sub>2</sub> ) <sub>4</sub> |
| A-1096   | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  |                | (CH <sub>2</sub> ) <sub>4</sub> |
| A-1097   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  |                | (CH <sub>2</sub> ) <sub>4</sub> |
| A-1098   | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  |                | (CH <sub>2</sub> ) <sub>4</sub> |
| A-1099   | CH(CH <sub>3</sub> )Ph   |                | (CH <sub>2</sub> ) <sub>4</sub> |
| A-1100   | CH <sub>3</sub>  |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1101   | C <sub>2</sub> H <sub>5</sub>  |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1102   | n-C <sub>3</sub> H <sub>7</sub>  |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1103   | i-C <sub>3</sub> H <sub>7</sub>  |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1104   | n-C <sub>4</sub> H <sub>9</sub>  |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1105   | s-C <sub>4</sub> H <sub>9</sub>  |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1106   | n-C <sub>5</sub> H <sub>11</sub>   |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1107   | n-C <sub>6</sub> H <sub>13</sub>   |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1108   | CH <sub>2</sub> CH=CH <sub>2</sub>   |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1109   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1110   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1111   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1112   | CH <sub>2</sub> CCl=CH <sub>2</sub>  |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1113   | CH <sub>2</sub> CH=CCl <sub>2</sub>  |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1114   | CH <sub>2</sub> CH=CHCF <sub>3</sub>   |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1115   | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1116   | CH <sub>2</sub> CCCCH <sub>3</sub>   |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1117   | CH <sub>2</sub> CF <sub>3</sub>  |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1118   | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1119   | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1120   | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1121   | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1122   | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1123   | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1124   | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1125   | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1126   | CH(CH <sub>3</sub> )CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>              |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1127   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>               |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1128   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1129   | CH <sub>2</sub> Ph   |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1130   | CH <sub>2</sub> (2-Cl-Ph)  |                | (CH <sub>2</sub> ) <sub>5</sub> |
| A-1131   | CH <sub>2</sub> (3-Cl-Ph)  |                | (CH <sub>2</sub> ) <sub>5</sub> |

| Compound | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup> |
|----------|--|--|----------------|
| A-1132   | CH2(4-Cl-Ph)   | (CH2)5   |                |
| A-1133   | CH2(2-CF <sub>3</sub> -Ph)   | (CH2)5   |                |
| A-1134   | CH2(3-CF <sub>3</sub> -Ph)   | (CH2)5   |                |
| A-1135   | CH2(4-CF <sub>3</sub> -Ph)   | (CH2)5   |                |
| A-1136   | CH(CH <sub>3</sub> )Ph   | (CH2)5   |                |
| A-1137   | CH <sub>3</sub>  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1138   | C <sub>2</sub> H <sub>5</sub>  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1139   | n-C <sub>3</sub> H <sub>7</sub>  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1140   | i-C <sub>3</sub> H <sub>7</sub>  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1141   | n-C <sub>4</sub> H <sub>9</sub>  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1142   | s-C <sub>4</sub> H <sub>9</sub>  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1143   | n-C <sub>5</sub> H <sub>11</sub>   | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1144   | n-C <sub>6</sub> H <sub>13</sub>   | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1145   | CH <sub>2</sub> CH=CH <sub>2</sub>   | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1146   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1147   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1148   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1149   | CH <sub>2</sub> CCl=CH <sub>2</sub>  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1150   | CH <sub>2</sub> CH=CCl <sub>2</sub>  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1151   | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1152   | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1153   | CH <sub>2</sub> CCCH <sub>3</sub>  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1154   | CH <sub>2</sub> CF <sub>3</sub>  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1155   | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1156   | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1157   | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1158   | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1159   | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1160   | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1161   | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1162   | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1163   | CH(CH <sub>3</sub> )CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>              | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1164   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>               | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1165   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1166   | CH <sub>2</sub> Ph   | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1167   | CH <sub>2</sub> (2-Cl-Ph)  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1168   | CH <sub>2</sub> (3-Cl-Ph)  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1169   | CH <sub>2</sub> (4-Cl-Ph)  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1170   | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1171   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1172   | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1173   | CH(CH <sub>3</sub> )Ph   | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1174   | CH <sub>3</sub>  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |

| Compound | R <sup>1</sup>   | R <sup>2</sup>   | R <sup>3</sup> |
|----------|--|--|----------------|
| A-1175   | C <sub>2</sub> H <sub>5</sub>  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1176   | n-C <sub>3</sub> H <sub>7</sub>  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1177   | i-C <sub>3</sub> H <sub>7</sub>  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1178   | n-C <sub>4</sub> H <sub>9</sub>  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1179   | s-C <sub>4</sub> H <sub>9</sub>  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1180   | n-C <sub>5</sub> H <sub>11</sub>   | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1181   | n-C <sub>6</sub> H <sub>13</sub>   | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1182   | CH <sub>2</sub> CH=CH <sub>2</sub>   | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1183   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1184   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1185   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1186   | CH <sub>2</sub> CCl=CH <sub>2</sub>  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1187   | CH <sub>2</sub> CH=CCl <sub>2</sub>  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1188   | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1189   | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1190   | CH <sub>2</sub> CCCH <sub>3</sub>  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1191   | CH <sub>2</sub> CF <sub>3</sub>  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1192   | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1193   | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1194   | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1195   | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1196   | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1197   | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1198   | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1199   | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1200   | CH(CH <sub>3</sub> )CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>              | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1201   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>               | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1202   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1203   | CH <sub>2</sub> Ph   | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1204   | CH <sub>2</sub> (2-Cl-Ph)  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1205   | CH <sub>2</sub> (3-Cl-Ph)  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1206   | CH <sub>2</sub> (4-Cl-Ph)  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1207   | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1208   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1209   | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1210   | CH(CH <sub>3</sub> )Ph   | CH <sub>2</sub> CH <sub>2</sub> SCH <sub>2</sub> CH <sub>2</sub> |                |
| A-1211   | n-C <sub>3</sub> H <sub>7</sub>  | OCH <sub>3</sub>   | H              |
| A-1212   | i-C <sub>3</sub> H <sub>7</sub>  | OCH <sub>3</sub>   | H              |
| A-1213   | CH <sub>2</sub> CH=CH <sub>2</sub>   | OCH <sub>3</sub>   | H              |
| A-1214   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | OCH <sub>3</sub>   | H              |
| A-1215   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | OCH <sub>3</sub>   | H              |
| A-1216   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | OCH <sub>3</sub>   | H              |
| A-1217   | CH <sub>2</sub> Ph   | OCH <sub>3</sub>   | H              |

| Compound | R <sup>1</sup>   | R <sup>2</sup>                      | R <sup>3</sup>  |
|----------|--|-------------------------------------|-----------------|
| A-1218   | CH2(3-CF <sub>3</sub> -Ph)                                       | OCH <sub>3</sub>                    | H               |
| A-1219   | n-C <sub>3</sub> H <sub>7</sub>                                  | OC <sub>2</sub> H <sub>5</sub>      | H               |
| A-1220   | i-C <sub>3</sub> H <sub>7</sub>                                  | OC <sub>2</sub> H <sub>5</sub>      | H               |
| A-1221   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | OC <sub>2</sub> H <sub>5</sub>      | H               |
| A-1222   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | OC <sub>2</sub> H <sub>5</sub>      | H               |
| A-1223   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | OC <sub>2</sub> H <sub>5</sub>      | H               |
| A-1224   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | OC <sub>2</sub> H <sub>5</sub>      | H               |
| A-1225   | CH <sub>2</sub> Ph   | OC <sub>2</sub> H <sub>5</sub>      | H               |
| A-1226   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | OC <sub>2</sub> H <sub>5</sub>      | H               |
| A-1227   | n-C <sub>3</sub> H <sub>7</sub>                                  | O(i-C <sub>3</sub> H <sub>7</sub> ) | H               |
| A-1228   | i-C <sub>3</sub> H <sub>7</sub>                                  | O(i-C <sub>3</sub> H <sub>7</sub> ) | H               |
| A-1229   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | O(i-C <sub>3</sub> H <sub>7</sub> ) | H               |
| A-1230   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | O(i-C <sub>3</sub> H <sub>7</sub> ) | H               |
| A-1231   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | O(i-C <sub>3</sub> H <sub>7</sub> ) | H               |
| A-1232   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | O(i-C <sub>3</sub> H <sub>7</sub> ) | H               |
| A-1233   | CH <sub>2</sub> Ph   | O(i-C <sub>3</sub> H <sub>7</sub> ) | H               |
| A-1234   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | O(i-C <sub>3</sub> H <sub>7</sub> ) | H               |
| A-1235   | n-C <sub>3</sub> H <sub>7</sub>                                  | O(t-C <sub>4</sub> H <sub>9</sub> ) | H               |
| A-1236   | i-C <sub>3</sub> H <sub>7</sub>                                  | O(t-C <sub>4</sub> H <sub>9</sub> ) | H               |
| A-1237   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | O(t-C <sub>4</sub> H <sub>9</sub> ) | H               |
| A-1238   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | O(t-C <sub>4</sub> H <sub>9</sub> ) | H               |
| A-1239   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | O(t-C <sub>4</sub> H <sub>9</sub> ) | H               |
| A-1240   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | O(t-C <sub>4</sub> H <sub>9</sub> ) | H               |
| A-1241   | CH <sub>2</sub> Ph   | O(t-C <sub>4</sub> H <sub>9</sub> ) | H               |
| A-1242   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | O(t-C <sub>4</sub> H <sub>9</sub> ) | H               |
| A-1243   | n-C <sub>3</sub> H <sub>7</sub>                                  | OCH <sub>3</sub>                    | CH <sub>3</sub> |
| A-1244   | i-C <sub>3</sub> H <sub>7</sub>                                  | OCH <sub>3</sub>                    | CH <sub>3</sub> |
| A-1245   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | OCH <sub>3</sub>                    | CH <sub>3</sub> |
| A-1246   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | OCH <sub>3</sub>                    | CH <sub>3</sub> |
| A-1247   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | OCH <sub>3</sub>                    | CH <sub>3</sub> |
| A-1248   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | OCH <sub>3</sub>                    | CH <sub>3</sub> |
| A-1249   | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | OCH <sub>3</sub>                    | CH <sub>3</sub> |
| A-1250   | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>              | OCH <sub>3</sub>                    | CH <sub>3</sub> |
| A-1251   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub> | OCH <sub>3</sub>                    | CH <sub>3</sub> |
| A-1252   | CH <sub>2</sub> Ph   | OCH <sub>3</sub>                    | CH <sub>3</sub> |
| A-1253   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | OCH <sub>3</sub>                    | CH <sub>3</sub> |
| A-1254   | n-C <sub>3</sub> H <sub>7</sub>                                  | OCH <sub>2</sub> Ph                 | H               |
| A-1255   | i-C <sub>3</sub> H <sub>7</sub>                                  | OCH <sub>2</sub> Ph                 | H               |
| A-1256   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | OCH <sub>2</sub> Ph                 | H               |
| A-1257   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | OCH <sub>2</sub> Ph                 | H               |
| A-1258   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | OCH <sub>2</sub> Ph                 | H               |
| A-1259   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | OCH <sub>2</sub> Ph                 | H               |
| A-1260   | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | OCH <sub>2</sub> Ph                 | H               |

| Compound | R <sup>1</sup>   | R <sup>2</sup>                         | R <sup>3</sup> |
|----------|--|--|----------------|
| A-1261   | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>              | OCH <sub>2</sub> Ph                    | H              |
| A-1262   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub> | OCH <sub>2</sub> Ph                    | H              |
| A-1263   | CH <sub>2</sub> Ph   | OCH <sub>2</sub> Ph                    | H              |
| A-1264   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | OCH <sub>2</sub> Ph                    | H              |
| A-1265   | n-C <sub>3</sub> H <sub>7</sub>                                  | Ph                                     | H              |
| A-1266   | i-C <sub>3</sub> H <sub>7</sub>                                  | Ph                                     | H              |
| A-1267   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | Ph                                     | H              |
| A-1268   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | Ph                                     | H              |
| A-1269   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | Ph                                     | H              |
| A-1270   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | Ph                                     | H              |
| A-1271   | CH <sub>2</sub> Ph   | Ph                                     | H              |
| A-1272   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | Ph                                     | H              |
| A-1273   | n-C <sub>3</sub> H <sub>7</sub>                                  | 4-Cl-Ph                                | H              |
| A-1274   | i-C <sub>3</sub> H <sub>7</sub>                                  | 4-Cl-Ph                                | H              |
| A-1275   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-Cl-Ph                                | H              |
| A-1276   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | 4-Cl-Ph                                | H              |
| A-1277   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | 4-Cl-Ph                                | H              |
| A-1278   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | 4-Cl-Ph                                | H              |
| A-1279   | CH <sub>2</sub> Ph   | 4-Cl-Ph                                | H              |
| A-1280   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | 4-Cl-Ph                                | H              |
| A-1281   | n-C <sub>3</sub> H <sub>7</sub>                                  | 4-CH <sub>3</sub> O-Ph                 | H              |
| A-1282   | i-C <sub>3</sub> H <sub>7</sub>                                  | 4-CH <sub>3</sub> O-Ph                 | H              |
| A-1283   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-CH <sub>3</sub> O-Ph                 | H              |
| A-1284   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | 4-CH <sub>3</sub> O-Ph                 | H              |
| A-1285   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | 4-CH <sub>3</sub> O-Ph                 | H              |
| A-1286   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | 4-CH <sub>3</sub> O-Ph                 | H              |
| A-1287   | CH <sub>2</sub> Ph   | 4-CH <sub>3</sub> O-Ph                 | H              |
| A-1288   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | 4-CH <sub>3</sub> O-Ph                 | H              |
| A-1289   | n-C <sub>3</sub> H <sub>7</sub>                                  | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph | H              |
| A-1290   | i-C <sub>3</sub> H <sub>7</sub>                                  | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph | H              |
| A-1291   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph | H              |
| A-1292   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph | H              |
| A-1293   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph | H              |
| A-1294   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph | H              |
| A-1295   | CH <sub>2</sub> Ph   | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph | H              |
| A-1296   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph | H              |
| A-1297   | n-C <sub>3</sub> H <sub>7</sub>                                  | 4-PhO-Ph                               | H              |
| A-1298   | i-C <sub>3</sub> H <sub>7</sub>                                  | 4-PhO-Ph                               | H              |
| A-1299   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-PhO-Ph                               | H              |
| A-1300   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | 4-PhO-Ph                               | H              |
| A-1301   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | 4-PhO-Ph                               | H              |
| A-1302   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | 4-PhO-Ph                               | H              |
| A-1303   | CH <sub>2</sub> Ph   | 4-PhO-Ph                               | H              |

| Compound | R <sup>1</sup>   | R <sup>2</sup>                | R <sup>3</sup>                  |
|----------|--|-------------------------------|---------------------------------|
| A-1304   | CH2(3-CF <sub>3</sub> -Ph)                                       | 4-PhO-Ph                      | H                               |
| A-1305   | n-C <sub>3</sub> H <sub>7</sub>                                  | 4-(4-Cl-Ph)O-Ph               | H                               |
| A-1306   | i-C <sub>3</sub> H <sub>7</sub>                                  | 4-(4-Cl-Ph)O-Ph               | H                               |
| A-1307   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-(4-Cl-Ph)O-Ph               | H                               |
| A-1308   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | 4-(4-Cl-Ph)O-Ph               | H                               |
| A-1309   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | 4-(4-Cl-Ph)O-Ph               | H                               |
| A-1310   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | 4-(4-Cl-Ph)O-Ph               | H                               |
| A-1311   | CH <sub>2</sub> Ph   | 4-(4-Cl-Ph)O-Ph               | H                               |
| A-1312   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | 4-(4-Cl-Ph)O-Ph               | H                               |
| A-1313   | n-C <sub>3</sub> H <sub>7</sub>                                  | 4-(4-CF <sub>3</sub> -Ph)O-Ph | H                               |
| A-1314   | i-C <sub>3</sub> H <sub>7</sub>                                  | 4-(4-CF <sub>3</sub> -Ph)O-Ph | H                               |
| A-1315   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-(4-CF <sub>3</sub> -Ph)O-Ph | H                               |
| A-1316   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | 4-(4-CF <sub>3</sub> -Ph)O-Ph | H                               |
| A-1317   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | 4-(4-CF <sub>3</sub> -Ph)O-Ph | H                               |
| A-1318   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | 4-(4-CF <sub>3</sub> -Ph)O-Ph | H                               |
| A-1319   | CH <sub>2</sub> Ph   | 4-(4-CF <sub>3</sub> -Ph)O-Ph | H                               |
| A-1320   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | 4-(4-CF <sub>3</sub> -Ph)O-Ph | H                               |
| A-1321   | CH <sub>3</sub>  | Ph                            | CH <sub>3</sub>                 |
| A-1322   | C <sub>2</sub> H <sub>5</sub>                                    | Ph                            | CH <sub>3</sub>                 |
| A-1323   | n-C <sub>3</sub> H <sub>7</sub>                                  | Ph                            | CH <sub>3</sub>                 |
| A-1324   | i-C <sub>3</sub> H <sub>7</sub>                                  | Ph                            | CH <sub>3</sub>                 |
| A-1325   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | Ph                            | CH <sub>3</sub>                 |
| A-1326   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | Ph                            | CH <sub>3</sub>                 |
| A-1327   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | Ph                            | CH <sub>3</sub>                 |
| A-1328   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | Ph                            | CH <sub>3</sub>                 |
| A-1329   | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | Ph                            | CH <sub>3</sub>                 |
| A-1330   | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>              | Ph                            | CH <sub>3</sub>                 |
| A-1331   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub> | Ph                            | CH <sub>3</sub>                 |
| A-1332   | CH <sub>2</sub> Ph   | Ph                            | CH <sub>3</sub>                 |
| A-1333   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | Ph                            | CH <sub>3</sub>                 |
| A-1334   | n-C <sub>3</sub> H <sub>7</sub>                                  | Ph                            | C <sub>2</sub> H <sub>5</sub>   |
| A-1335   | i-C <sub>3</sub> H <sub>7</sub>                                  | Ph                            | C <sub>2</sub> H <sub>5</sub>   |
| A-1336   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | Ph                            | C <sub>2</sub> H <sub>5</sub>   |
| A-1337   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | Ph                            | C <sub>2</sub> H <sub>5</sub>   |
| A-1338   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | Ph                            | C <sub>2</sub> H <sub>5</sub>   |
| A-1339   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | Ph                            | C <sub>2</sub> H <sub>5</sub>   |
| A-1340   | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | Ph                            | C <sub>2</sub> H <sub>5</sub>   |
| A-1341   | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>              | Ph                            | C <sub>2</sub> H <sub>5</sub>   |
| A-1342   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub> | Ph                            | C <sub>2</sub> H <sub>5</sub>   |
| A-1343   | CH <sub>2</sub> Ph   | Ph                            | C <sub>2</sub> H <sub>5</sub>   |
| A-1344   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | Ph                            | C <sub>2</sub> H <sub>5</sub>   |
| A-1345   | n-C <sub>3</sub> H <sub>7</sub>                                  | Ph                            | i-C <sub>3</sub> H <sub>7</sub> |
| A-1346   | i-C <sub>3</sub> H <sub>7</sub>                                  | Ph                            | i-C <sub>3</sub> H <sub>7</sub> |

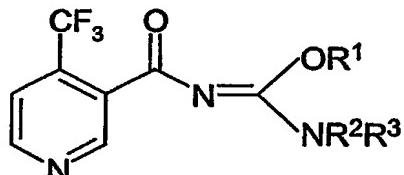
| Compound | R <sup>1</sup>   | R <sup>2</sup>                         | R <sup>3</sup>                  |
|----------|--|--|---------------------------------|
| A-1347   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | Ph                                     | i-C <sub>3</sub> H <sub>7</sub> |
| A-1348   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | Ph                                     | i-C <sub>3</sub> H <sub>7</sub> |
| A-1349   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | Ph                                     | i-C <sub>3</sub> H <sub>7</sub> |
| A-1350   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | Ph                                     | i-C <sub>3</sub> H <sub>7</sub> |
| A-1351   | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | Ph                                     | i-C <sub>3</sub> H <sub>7</sub> |
| A-1352   | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>              | Ph                                     | i-C <sub>3</sub> H <sub>7</sub> |
| A-1353   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub> | Ph                                     | i-C <sub>3</sub> H <sub>7</sub> |
| A-1354   | CH <sub>2</sub> Ph   | Ph                                     | i-C <sub>3</sub> H <sub>7</sub> |
| A-1355   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | Ph                                     | i-C <sub>3</sub> H <sub>7</sub> |
| A-1356   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-Cl-Ph                                | CH <sub>3</sub>                 |
| A-1357   | CH <sub>2</sub> Ph   | 4-Cl-Ph                                | CH <sub>3</sub>                 |
| A-1358   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-CH <sub>3</sub> O-Ph                 | CH <sub>3</sub>                 |
| A-1359   | CH <sub>2</sub> Ph   | 4-CH <sub>3</sub> O-Ph                 | CH <sub>3</sub>                 |
| A-1360   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-(4-Cl-Ph)O-Ph                        | CH <sub>3</sub>                 |
| A-1361   | CH <sub>2</sub> Ph   | 4-(4-Cl-Ph)O-Ph                        | CH <sub>3</sub>                 |
| A-1362   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-(4-CF <sub>3</sub> -Ph)O-Ph          | CH <sub>3</sub>                 |
| A-1363   | CH <sub>2</sub> Ph   | 4-(4-CF <sub>3</sub> -Ph)O-Ph          | CH <sub>3</sub>                 |
| A-1364   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-CF <sub>3</sub> -Ph                  | CH <sub>3</sub>                 |
| A-1365   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-CF <sub>3</sub> O-Ph                 | CH <sub>3</sub>                 |
| A-1366   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-CF <sub>3</sub> S-Ph                 | CH <sub>3</sub>                 |
| A-1367   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph | CH <sub>3</sub>                 |
| A-1368   | n-C <sub>3</sub> H <sub>7</sub>                                  | 2-thiazolyl                            | H                               |
| A-1369   | i-C <sub>3</sub> H <sub>7</sub>                                  | 2-thiazolyl                            | H                               |
| A-1370   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 2-thiazolyl                            | H                               |
| A-1371   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | 2-thiazolyl                            | H                               |
| A-1372   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | 2-thiazolyl                            | H                               |
| A-1373   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | 2-thiazolyl                            | H                               |
| A-1374   | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | 2-thiazolyl                            | H                               |
| A-1375   | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>              | 2-thiazolyl                            | H                               |
| A-1376   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub> | 2-thiazolyl                            | H                               |
| A-1377   | CH <sub>2</sub> Ph   | 2-thiazolyl                            | H                               |
| A-1378   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | 2-thiazolyl                            | H                               |
| A-1379   | n-C <sub>3</sub> H <sub>7</sub>                                  | 1,2,3-thiadiazol-2yl                   | H                               |
| A-1380   | i-C <sub>3</sub> H <sub>7</sub>                                  | 1,2,3-thiadiazol-2yl                   | H                               |
| A-1381   | CH <sub>2</sub> CH=CH <sub>2</sub>                               | 1,2,3-thiadiazol-2yl                   | H                               |
| A-1382   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>              | 1,2,3-thiadiazol-2yl                   | H                               |
| A-1383   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>            | 1,2,3-thiadiazol-2yl                   | H                               |
| A-1384   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>              | 1,2,3-thiadiazol-2yl                   | H                               |
| A-1385   | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                  | 1,2,3-thiadiazol-2yl                   | H                               |
| A-1386   | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>              | 1,2,3-thiadiazol-2yl                   | H                               |
| A-1387   | C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub> | 1,2,3-thiadiazol-2yl                   | H                               |
| A-1388   | CH <sub>2</sub> Ph   | 1,2,3-thiadiazol-2yl                   | H                               |
| A-1389   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | 1,2,3-thiadiazol-2yl                   | H                               |

| Compound | R <sup>1</sup>  | R <sup>2</sup>                     | R <sup>3</sup>                  |
|----------|---|------------------------------------|---------------------------------|
| A-1390   | n-C <sub>3</sub> H <sub>7</sub>                       | NH <sub>2</sub>                    | CH <sub>3</sub>                 |
| A-1391   | i-C <sub>3</sub> H <sub>7</sub>                       | NH <sub>2</sub>                    | CH <sub>3</sub>                 |
| A-1392   | CH <sub>2</sub> CH=CH <sub>2</sub>                    | NH <sub>2</sub>                    | CH <sub>3</sub>                 |
| A-1393   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | NH <sub>2</sub>                    | CH <sub>3</sub>                 |
| A-1394   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | NH <sub>2</sub>                    | CH <sub>3</sub>                 |
| A-1395   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | NH <sub>2</sub>                    | CH <sub>3</sub>                 |
| A-1396   | CH <sub>2</sub> Ph                                    | NH <sub>2</sub>                    | CH <sub>3</sub>                 |
| A-1397   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | NH <sub>2</sub>                    | CH <sub>3</sub>                 |
| A-1398   | n-C <sub>3</sub> H <sub>7</sub>                       | NH <sub>2</sub>                    | i-C <sub>3</sub> H <sub>7</sub> |
| A-1399   | i-C <sub>3</sub> H <sub>7</sub>                       | NH <sub>2</sub>                    | i-C <sub>3</sub> H <sub>7</sub> |
| A-1400   | CH <sub>2</sub> CH=CH <sub>2</sub>                    | NH <sub>2</sub>                    | i-C <sub>3</sub> H <sub>7</sub> |
| A-1401   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | NH <sub>2</sub>                    | i-C <sub>3</sub> H <sub>7</sub> |
| A-1402   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | NH <sub>2</sub>                    | i-C <sub>3</sub> H <sub>7</sub> |
| A-1403   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | NH <sub>2</sub>                    | i-C <sub>3</sub> H <sub>7</sub> |
| A-1404   | CH <sub>2</sub> Ph                                    | NH <sub>2</sub>                    | i-C <sub>3</sub> H <sub>7</sub> |
| A-1405   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | NH <sub>2</sub>                    | i-C <sub>3</sub> H <sub>7</sub> |
| A-1406   | n-C <sub>3</sub> H <sub>7</sub>                       | NH <sub>2</sub>                    | CH <sub>2</sub> Ph              |
| A-1407   | i-C <sub>3</sub> H <sub>7</sub>                       | NH <sub>2</sub>                    | CH <sub>2</sub> Ph              |
| A-1408   | CH <sub>2</sub> CH=CH <sub>2</sub>                    | NH <sub>2</sub>                    | CH <sub>2</sub> Ph              |
| A-1409   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | NH <sub>2</sub>                    | CH <sub>2</sub> Ph              |
| A-1410   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | NH <sub>2</sub>                    | CH <sub>2</sub> Ph              |
| A-1411   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | NH <sub>2</sub>                    | CH <sub>2</sub> Ph              |
| A-1412   | CH <sub>2</sub> Ph                                    | NH <sub>2</sub>                    | CH <sub>2</sub> Ph              |
| A-1413   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | NH <sub>2</sub>                    | CH <sub>2</sub> Ph              |
| A-1414   | n-C <sub>3</sub> H <sub>7</sub>                       | NHCOC <sub>3</sub>                 | CH <sub>3</sub>                 |
| A-1415   | i-C <sub>3</sub> H <sub>7</sub>                       | NHCOC <sub>3</sub>                 | CH <sub>3</sub>                 |
| A-1416   | CH <sub>2</sub> CH=CH <sub>2</sub>                    | NHCOC <sub>3</sub>                 | CH <sub>3</sub>                 |
| A-1417   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | NHCOC <sub>3</sub>                 | CH <sub>3</sub>                 |
| A-1418   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | NHCOC <sub>3</sub>                 | CH <sub>3</sub>                 |
| A-1419   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | NHCOC <sub>3</sub>                 | CH <sub>3</sub>                 |
| A-1420   | CH <sub>2</sub> Ph                                    | NHCOC <sub>3</sub>                 | CH <sub>3</sub>                 |
| A-1421   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | NHCOC <sub>3</sub>                 | CH <sub>3</sub>                 |
| A-1422   | n-C <sub>3</sub> H <sub>7</sub>                       | NHCOPh                             | CH <sub>3</sub>                 |
| A-1423   | i-C <sub>3</sub> H <sub>7</sub>                       | NHCOPh                             | CH <sub>3</sub>                 |
| A-1424   | CH <sub>2</sub> CH=CH <sub>2</sub>                    | NHCOPh                             | CH <sub>3</sub>                 |
| A-1425   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | NHCOPh                             | CH <sub>3</sub>                 |
| A-1426   | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | NHCOPh                             | CH <sub>3</sub>                 |
| A-1427   | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | NHCOPh                             | CH <sub>3</sub>                 |
| A-1428   | CH <sub>2</sub> Ph                                    | NHCOPh                             | CH <sub>3</sub>                 |
| A-1429   | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | NHCOPh                             | CH <sub>3</sub>                 |
| A-1430   | CH <sub>3</sub>                                       | N=C(CH <sub>3</sub> ) <sub>2</sub> | Ph                              |
| A-1431   | i-C <sub>3</sub> H <sub>7</sub>                       | N=C(CH <sub>3</sub> ) <sub>2</sub> | Ph                              |
| A-1432   | CH <sub>2</sub> CH=CH <sub>2</sub>                    | N=C(CH <sub>3</sub> ) <sub>2</sub> | Ph                              |

| Compound | R <sup>1</sup>                                      | R <sup>2</sup>                     | R <sup>3</sup> |
|----------|---|------------------------------------|----------------|
| A-1433   | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub> | N=C(CH <sub>3</sub> ) <sub>2</sub> | Ph             |
| A-1434   | CH <sub>2</sub> CCH                                 | N=C(CH <sub>3</sub> ) <sub>2</sub> | Ph             |
| A-1435   | CH <sub>2</sub> Ph                                  | N=C(CH <sub>3</sub> ) <sub>2</sub> | Ph             |
| A-1436   | CH <sub>3</sub>                                     | 3-CF <sub>3</sub> Ph               | H              |
| A-1437   | CH <sub>2</sub> CH=CH <sub>2</sub>                  | 3-CF <sub>3</sub> Ph               | H              |
| A-1438   | CH <sub>2</sub> Ph                                  | 3-CF <sub>3</sub> Ph               | H              |

Table 2

Compounds of formula (lb):



(lb)

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| Compound | R <sup>1</sup>  | R <sup>2</sup>  | R <sup>3</sup>                |
|----------|-----------------|---|-------------------------------|
| B-1      | CH <sub>3</sub> | CH <sub>3</sub>   | H                             |
| B-2      | CH <sub>3</sub> | CH <sub>3</sub>   | CH <sub>3</sub>               |
| B-3      | CH <sub>3</sub> | C <sub>2</sub> H <sub>5</sub>   | H                             |
| B-4      | CH <sub>3</sub> | C <sub>2</sub> H <sub>5</sub>   | C <sub>2</sub> H <sub>5</sub> |
| B-5      | CH <sub>3</sub> | n-C <sub>3</sub> H <sub>7</sub>   | H                             |
| B-6      | CH <sub>3</sub> | i-C <sub>3</sub> H <sub>7</sub>   | H                             |
| B-7      | CH <sub>3</sub> | i-C <sub>3</sub> H <sub>7</sub>   | CH <sub>3</sub>               |
| B-8      | CH <sub>3</sub> | i-C <sub>3</sub> H <sub>7</sub>   | C <sub>2</sub> H <sub>5</sub> |
| B-9      | CH <sub>3</sub> | n-C <sub>4</sub> H <sub>9</sub>   | H                             |
| B-10     | CH <sub>3</sub> | n-C <sub>4</sub> H <sub>9</sub>   | CH <sub>3</sub>               |
| B-11     | CH <sub>3</sub> | s-C <sub>4</sub> H <sub>9</sub>   | H                             |
| B-12     | CH <sub>3</sub> | i-C <sub>4</sub> H <sub>9</sub>   | H                             |
| B-13     | CH <sub>3</sub> | t-C <sub>4</sub> H <sub>9</sub>   | H                             |
| B-14     | CH <sub>3</sub> | n-C <sub>5</sub> H <sub>11</sub>  | H                             |
| B-15     | CH <sub>3</sub> | n-C <sub>6</sub> H <sub>13</sub>  | H                             |
| B-16     | CH <sub>3</sub> | CH <sub>2</sub> CH(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>                         | H                             |
| B-17     | CH <sub>3</sub> | CH(CH <sub>3</sub> )CH <sub>2</sub> CH <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>3</sub> | H                             |
| B-18     | CH <sub>3</sub> | CH <sub>2</sub> CH=CH <sub>2</sub>  | H                             |
| B-19     | CH <sub>3</sub> | CH <sub>2</sub> CH=CH <sub>2</sub>  | CH <sub>3</sub>               |
| B-20     | CH <sub>3</sub> | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                                     | H                             |
| B-21     | CH <sub>3</sub> | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                                   | H                             |
| B-22     | CH <sub>3</sub> | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                                     | H                             |
| B-23     | CH <sub>3</sub> | CH <sub>2</sub> CCl=CH <sub>2</sub>   | H                             |
| B-24     | CH <sub>3</sub> | CH <sub>2</sub> CH=CCl <sub>2</sub>   | H                             |
| B-25     | CH <sub>3</sub> | CH <sub>2</sub> CH=CHCF <sub>3</sub>  | H                             |

| Compound | R <sup>1</sup> | R <sup>2</sup>   | R <sup>3</sup> |
|----------|----------------|------------------|----------------|
| B-26     | CH3            | CH2CH=CHPh       | H              |
| B-27     | CH3            | CH(CH3)CH=CH2    | H              |
| B-28     | CH3            | CH2CCH           | H              |
| B-29     | CH3            | CH2CCCH3         | H              |
| B-30     | CH3            | CH2CF3           | H              |
| B-31     | CH3            | CH2OCH3          | H              |
| B-32     | CH3            | CH2CH2OC2H5      | H              |
| B-33     | CH3            | CH2CH2CH2OCH3    | H              |
| B-34     | CH3            | CH2CH2OPh        | H              |
| B-35     | CH3            | CH(OCH3)C2H5     | H              |
| B-36     | CH3            | CH2CH(OCH3)2     | H              |
| B-37     | CH3            | CH2CH(OCH3)2     | CH3            |
| B-38     | CH3            | (CH2)5CN         | H              |
| B-39     | CH3            | CH2CH2CN         | H              |
| B-40     | CH3            | CH2CH2CN         | CH3            |
| B-41     | CH3            | cyclo-C3H5       | H              |
| B-42     | CH3            | cyclo-C6H11      | H              |
| B-43     | CH3            | cyclo-C6H11      | CH3            |
| B-44     | CH3            | CH2(cyclo-C3H5)  | H              |
| B-45     | CH3            | CH2(cyclo-C5H9)  | H              |
| B-46     | CH3            | CH2(cyclo-C6H11) | H              |
| B-47     | CH3            | CH2Ph            | H              |
| B-48     | CH3            | CH2Ph            | CH3            |
| B-49     | CH3            | CH2Ph            | i-C3H7         |
| B-50     | CH3            | CH2(2-Cl-Ph)     | H              |
| B-51     | CH3            | CH2(3-Cl-Ph)     | H              |
| B-52     | CH3            | CH2(4-Cl-Ph)     | H              |
| B-53     | CH3            | CH2(2-CF3-Ph)    | H              |
| B-54     | CH3            | CH2(3-CF3-Ph)    | H              |
| B-55     | CH3            | CH2(4-CF3-Ph)    | H              |
| B-56     | CH3            | CH2(2-F-Ph)      | H              |
| B-57     | CH3            | CH2(3-F-Ph)      | H              |
| B-58     | CH3            | CH2(4-F-Ph)      | H              |
| B-59     | CH3            | CH2(3-Me-Ph)     | H              |
| B-60     | CH3            | CH2(4-Me-Ph)     | H              |
| B-61     | CH3            | CH2(4-t-C4H9-Ph) | H              |
| B-62     | CH3            | CH2(4-t-C4H9-Ph) | CH3            |
| B-63     | CH3            | CH(CH3)Ph        | H              |
| B-64     | CH3            | CH(CH3)(2-Cl-Ph) | H              |
| B-65     | CH3            | CH(CH3)(3-Cl-Ph) | H              |
| B-66     | CH3            | CH(CH3)(4-Br-Ph) | H              |
| B-67     | CH3            | CH(CH3)(4-Br-Ph) | H              |

| Compound | R <sup>1</sup>                | R <sup>2</sup>  | R <sup>3</sup>                |
|----------|-------------------------------|---|-------------------------------|
| B-68     | CH3                           | CH2(2-thienyl)  | H                             |
| B-69     | CH3                           | CH2(2-pyridyl)  | H                             |
| B-70     | CH3                           | CH2CH2Ph  | H                             |
| B-71     | CH3                           | CH2CH2CH2CH2  |                               |
| B-72     | CH3                           | CH2CH2CH2CH2CH2   |                               |
| B-73     | CH3                           | CH2CH2CH(CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> )CH2CH2                          |                               |
| B-74     | CH3                           | O(i-C <sub>3</sub> H <sub>7</sub> )   | H                             |
| B-75     | CH3                           | OCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                                    | H                             |
| B-76     | CH3                           | O(2-tetrahydropyranyl)  | H                             |
| B-77     | CH3                           | OCH <sub>2</sub> (3,4-CI <sub>2</sub> -Ph)  | H                             |
| B-78     | CH3                           | OCH <sub>2</sub> (2,4-CI <sub>2</sub> -Ph)  | H                             |
| B-79     | CH3                           | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | H                             |
| B-80     | CH3                           | OCH <sub>2</sub> (4-F-2-OCF <sub>3</sub> -Ph)   | H                             |
| B-81     | CH3                           | OCH <sub>2</sub> (2,5-(CF <sub>3</sub> ) <sub>2</sub> -Ph)                              | H                             |
| B-82     | CH3                           | (CH <sub>2</sub> ) <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>                        | H                             |
| B-83     | CH3                           | (CH <sub>2</sub> ) <sub>3</sub> N(CH <sub>3</sub> ) <sub>2</sub>                        | H                             |
| B-84     | CH3                           | (CH <sub>2</sub> ) <sub>3</sub> (1-imidazolyl)  | H                             |
| B-85     | CH3                           | CH2(1-C <sub>2</sub> H <sub>5</sub> -2-pyrrolidinyl)                                    | H                             |
| B-86     | CH3                           | NH(2-CF <sub>3</sub> -Ph)   | H                             |
| B-87     | CH3                           | NH(4-Cl-Ph)   | H                             |
| B-88     | CH3                           | N(CH <sub>3</sub> ) <sub>2</sub>  | H                             |
| B-89     | C <sub>2</sub> H <sub>5</sub> | CH3   | H                             |
| B-90     | C <sub>2</sub> H <sub>5</sub> | CH3   | CH3                           |
| B-91     | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub>   | H                             |
| B-92     | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub>   | C <sub>2</sub> H <sub>5</sub> |
| B-93     | C <sub>2</sub> H <sub>5</sub> | n-C <sub>3</sub> H <sub>7</sub>   | H                             |
| B-94     | C <sub>2</sub> H <sub>5</sub> | i-C <sub>3</sub> H <sub>7</sub>   | H                             |
| B-95     | C <sub>2</sub> H <sub>5</sub> | n-C <sub>4</sub> H <sub>9</sub>   | H                             |
| B-96     | C <sub>2</sub> H <sub>5</sub> | s-C <sub>4</sub> H <sub>9</sub>   | H                             |
| B-97     | C <sub>2</sub> H <sub>5</sub> | i-C <sub>4</sub> H <sub>9</sub>   | H                             |
| B-98     | C <sub>2</sub> H <sub>5</sub> | t-C <sub>4</sub> H <sub>9</sub>   | H                             |
| B-99     | C <sub>2</sub> H <sub>5</sub> | n-C <sub>5</sub> H <sub>11</sub>  | H                             |
| B-100    | C <sub>2</sub> H <sub>5</sub> | n-C <sub>6</sub> H <sub>13</sub>  | H                             |
| B-101    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>                         | H                             |
| B-102    | C <sub>2</sub> H <sub>5</sub> | CH(CH <sub>3</sub> )CH <sub>2</sub> CH <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>3</sub> | H                             |
| B-103    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH=CH <sub>2</sub>  | H                             |
| B-104    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH=CH <sub>2</sub>  | CH3                           |
| B-105    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                                     | H                             |
| B-106    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                                   | H                             |
| B-107    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                                     | H                             |
| B-108    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CCl=CH <sub>2</sub>   | H                             |
| B-109    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH=CCl <sub>2</sub>   | H                             |

| Compound | R <sup>1</sup>                | R <sup>2</sup>   | R <sup>3</sup>  |
|----------|-------------------------------|--|-----------------|
| B-110    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | H               |
| B-111    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH=CHPh  | H               |
| B-112    | C <sub>2</sub> H <sub>5</sub> | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | H               |
| B-113    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CCH  | H               |
| B-114    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CCH  | CH <sub>3</sub> |
| B-115    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CCCH <sub>3</sub>  | H               |
| B-116    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CF <sub>3</sub>  | H               |
| B-117    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | H               |
| B-118    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H               |
| B-119    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | H               |
| B-120    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | H               |
| B-121    | C <sub>2</sub> H <sub>5</sub> | CH(OCH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>                             | H               |
| B-122    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | H               |
| B-123    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | CH <sub>3</sub> |
| B-124    | C <sub>2</sub> H <sub>5</sub> | (CH <sub>2</sub> ) <sub>5</sub> CN   | H               |
| B-125    | C <sub>2</sub> H <sub>5</sub> | cyclo-C <sub>3</sub> H <sub>5</sub>  | H               |
| B-126    | C <sub>2</sub> H <sub>5</sub> | cyclo-C <sub>6</sub> H <sub>11</sub>   | H               |
| B-127    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | H               |
| B-128    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | H               |
| B-129    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | H               |
| B-130    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> Ph   | H               |
| B-131    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (2-Cl-Ph)  | H               |
| B-132    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (3-Cl-Ph)  | H               |
| B-133    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (4-Cl-Ph)  | H               |
| B-134    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | H               |
| B-135    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | H               |
| B-136    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | H               |
| B-137    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (2-F-Ph)   | H               |
| B-138    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (3-F-Ph)   | H               |
| B-139    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (4-F-Ph)   | H               |
| B-140    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (3-Me-Ph)  | H               |
| B-141    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (4-Me-Ph)  | H               |
| B-142    | C <sub>2</sub> H <sub>5</sub> | CH(CH <sub>3</sub> )Ph   | H               |
| B-143    | C <sub>2</sub> H <sub>5</sub> | CH(CH <sub>3</sub> )(2-Cl-Ph)  | H               |
| B-144    | C <sub>2</sub> H <sub>5</sub> | CH(CH <sub>3</sub> )(3-Cl-Ph)  | H               |
| B-145    | C <sub>2</sub> H <sub>5</sub> | CH(CH <sub>3</sub> )(4-Cl-Ph)  | H               |
| B-146    | C <sub>2</sub> H <sub>5</sub> | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | H               |
| B-147    | C <sub>2</sub> H <sub>5</sub> | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | H               |
| B-148    | C <sub>2</sub> H <sub>5</sub> | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | H               |
| B-149    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> CH <sub>2</sub> Ph   | H               |
| B-150    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (2-thienyl)  | H               |
| B-151    | C <sub>2</sub> H <sub>5</sub> | CH <sub>2</sub> (2-furanyl)  | H               |

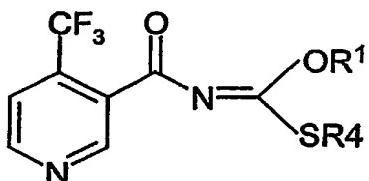
| Compound | R <sup>1</sup>                  | R <sup>2</sup>  | R <sup>3</sup>                |
|----------|---------------------------------|---|-------------------------------|
| B-152    | C <sub>2</sub> H <sub>5</sub>   | OCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                                    | H                             |
| B-153    | C <sub>2</sub> H <sub>5</sub>   | O(2-tetrahydropyranyl)  | H                             |
| B-154    | C <sub>2</sub> H <sub>5</sub>   | OCH <sub>2</sub> (3,4-Cl <sub>2</sub> -Ph)  | H                             |
| B-155    | C <sub>2</sub> H <sub>5</sub>   | OCH <sub>2</sub> (2,4-Cl <sub>2</sub> -Ph)  | H                             |
| B-156    | C <sub>2</sub> H <sub>5</sub>   | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | H                             |
| B-157    | C <sub>2</sub> H <sub>5</sub>   | OCH <sub>2</sub> (4-F-2-CF <sub>3</sub> -Ph)  | H                             |
| B-158    | C <sub>2</sub> H <sub>5</sub>   | OCH <sub>2</sub> (2,5-(CF <sub>3</sub> ) <sub>2</sub> -Ph)                              | H                             |
| B-159    | C <sub>2</sub> H <sub>5</sub>   | (CH <sub>2</sub> ) <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>                        | H                             |
| B-160    | C <sub>2</sub> H <sub>5</sub>   | (CH <sub>2</sub> ) <sub>3</sub> N(CH <sub>3</sub> ) <sub>2</sub>                        | H                             |
| B-161    | C <sub>2</sub> H <sub>5</sub>   | (CH <sub>2</sub> ) <sub>3</sub> (1-imidazolyl)  | H                             |
| B-162    | C <sub>2</sub> H <sub>5</sub>   | CH <sub>2</sub> (1-C <sub>2</sub> H <sub>5</sub> -2-pyrrolidinyl)                       | H                             |
| B-163    | C <sub>2</sub> H <sub>5</sub>   | NH(2-CF <sub>3</sub> -Ph)   | H                             |
| B-164    | C <sub>2</sub> H <sub>5</sub>   | NH(4-Cl-Ph)   | H                             |
| B-165    | C <sub>2</sub> H <sub>5</sub>   | N(CH <sub>3</sub> ) <sub>2</sub>  | H                             |
| B-166    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>   | H                             |
| B-167    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub>   | CH <sub>3</sub>               |
| B-168    | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub>   | H                             |
| B-169    | i-C <sub>3</sub> H <sub>7</sub> | C <sub>2</sub> H <sub>5</sub>   | C <sub>2</sub> H <sub>5</sub> |
| B-170    | i-C <sub>3</sub> H <sub>7</sub> | n-C <sub>3</sub> H <sub>7</sub>   | H                             |
| B-171    | i-C <sub>3</sub> H <sub>7</sub> | i-C <sub>3</sub> H <sub>7</sub>   | H                             |
| B-172    | i-C <sub>3</sub> H <sub>7</sub> | n-C <sub>4</sub> H <sub>9</sub>   | H                             |
| B-173    | i-C <sub>3</sub> H <sub>7</sub> | s-C <sub>4</sub> H <sub>9</sub>   | H                             |
| B-174    | i-C <sub>3</sub> H <sub>7</sub> | i-C <sub>4</sub> H <sub>9</sub>   | H                             |
| B-175    | i-C <sub>3</sub> H <sub>7</sub> | t-C <sub>4</sub> H <sub>9</sub>   | H                             |
| B-176    | i-C <sub>3</sub> H <sub>7</sub> | n-C <sub>5</sub> H <sub>11</sub>  | H                             |
| B-177    | i-C <sub>3</sub> H <sub>7</sub> | n-C <sub>6</sub> H <sub>13</sub>  | H                             |
| B-178    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>                         | H                             |
| B-179    | i-C <sub>3</sub> H <sub>7</sub> | CH(CH <sub>3</sub> )CH <sub>2</sub> CH <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>3</sub> | H                             |
| B-180    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH=CH <sub>2</sub>  | H                             |
| B-181    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH=CH <sub>2</sub>  | CH <sub>3</sub>               |
| B-182    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                                     | H                             |
| B-183    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                                   | H                             |
| B-184    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                                     | H                             |
| B-185    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CCl=CH <sub>2</sub>   | H                             |
| B-186    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH=CCl <sub>2</sub>   | H                             |
| B-187    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH=CHCF <sub>3</sub>  | H                             |
| B-188    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH=CHPh   | H                             |
| B-189    | i-C <sub>3</sub> H <sub>7</sub> | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>  | H                             |
| B-190    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CCH   | H                             |
| B-191    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CCH   | CH <sub>3</sub>               |
| B-192    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CCCH <sub>3</sub>   | H                             |
| B-193    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CF <sub>3</sub>   | H                             |

| Compound | R <sup>1</sup>                  | R <sup>2</sup>   | R <sup>3</sup>                |
|----------|---------------------------------|--|-------------------------------|
| B-194    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | H                             |
| B-195    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H                             |
| B-196    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | H                             |
| B-197    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | H                             |
| B-198    | i-C <sub>3</sub> H <sub>7</sub> | CH(OCH <sub>3</sub> )C <sub>2</sub> H <sub>5</sub>                             | H                             |
| B-199    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | H                             |
| B-200    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | CH <sub>3</sub>               |
| B-201    | i-C <sub>3</sub> H <sub>7</sub> | (CH <sub>2</sub> ) <sub>5</sub> CN   | H                             |
| B-202    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH <sub>2</sub> CN   | H                             |
| B-203    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH <sub>2</sub> CN   | CH <sub>3</sub>               |
| B-204    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH <sub>2</sub> CN   | C <sub>2</sub> H <sub>5</sub> |
| B-205    | i-C <sub>3</sub> H <sub>7</sub> | cyclo-C <sub>3</sub> H <sub>5</sub>  | H                             |
| B-206    | i-C <sub>3</sub> H <sub>7</sub> | cyclo-C <sub>6</sub> H <sub>11</sub>   | H                             |
| B-207    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | H                             |
| B-208    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | H                             |
| B-209    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | H                             |
| B-210    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> Ph   | H                             |
| B-211    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (2-Cl-Ph)  | H                             |
| B-212    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (3-Cl-Ph)  | H                             |
| B-213    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (4-Cl-Ph)  | H                             |
| B-214    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | H                             |
| B-215    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | H                             |
| B-216    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | H                             |
| B-217    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (2-F-Ph)   | H                             |
| B-218    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (3-F-Ph)   | H                             |
| B-219    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (4-F-Ph)   | H                             |
| B-220    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (3-Me-Ph)  | H                             |
| B-221    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (4-Me-Ph)  | H                             |
| B-222    | i-C <sub>3</sub> H <sub>7</sub> | CH(CH <sub>3</sub> )Ph   | H                             |
| B-223    | i-C <sub>3</sub> H <sub>7</sub> | CH(CH <sub>3</sub> )(2-Cl-Ph)  | H                             |
| B-224    | i-C <sub>3</sub> H <sub>7</sub> | CH(CH <sub>3</sub> )(3-Cl-Ph)  | H                             |
| B-225    | i-C <sub>3</sub> H <sub>7</sub> | CH(CH <sub>3</sub> )(4-Cl-Ph)  | H                             |
| B-226    | i-C <sub>3</sub> H <sub>7</sub> | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | H                             |
| B-227    | i-C <sub>3</sub> H <sub>7</sub> | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | H                             |
| B-228    | i-C <sub>3</sub> H <sub>7</sub> | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | H                             |
| B-229    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> CH <sub>2</sub> Ph   | H                             |
| B-230    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (2-thienyl)  | H                             |
| B-231    | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>2</sub> (2-furanyl)  | H                             |
| B-232    | i-C <sub>3</sub> H <sub>7</sub> | OCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                           | H                             |
| B-233    | i-C <sub>3</sub> H <sub>7</sub> | O(2-tetrahydropyranyl)   | H                             |
| B-234    | i-C <sub>3</sub> H <sub>7</sub> | OCH <sub>2</sub> (3,4-Cl <sub>2</sub> -Ph)                                     | H                             |
| B-235    | i-C <sub>3</sub> H <sub>7</sub> | OCH <sub>2</sub> (2,4-Cl <sub>2</sub> -Ph)                                     | H                             |

| Compound | R <sup>1</sup>                                   | R <sup>2</sup>  | R <sup>3</sup>  |
|----------|--|---|-----------------|
| B-236    | i-C <sub>3</sub> H <sub>7</sub>                  | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                          | H               |
| B-237    | i-C <sub>3</sub> H <sub>7</sub>                  | OCH <sub>2</sub> (4-F-2-CF <sub>3</sub> -Ph)                      | H               |
| B-238    | i-C <sub>3</sub> H <sub>7</sub>                  | OCH <sub>2</sub> ((CF <sub>3</sub> ) <sub>2</sub> -Ph)            | H               |
| B-239    | i-C <sub>3</sub> H <sub>7</sub>                  | (CH <sub>2</sub> ) <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>  | H               |
| B-240    | i-C <sub>3</sub> H <sub>7</sub>                  | (CH <sub>2</sub> ) <sub>3</sub> N(CH <sub>3</sub> ) <sub>2</sub>  | H               |
| B-241    | i-C <sub>3</sub> H <sub>7</sub>                  | (CH <sub>2</sub> ) <sub>3</sub> (1-imidazolyl)                    | H               |
| B-242    | i-C <sub>3</sub> H <sub>7</sub>                  | CH <sub>2</sub> (1-C <sub>2</sub> H <sub>5</sub> -2-pyrrolidinyl) | H               |
| B-243    | i-C <sub>3</sub> H <sub>7</sub>                  | NH(2-CF <sub>3</sub> -Ph)   | H               |
| B-244    | i-C <sub>3</sub> H <sub>7</sub>                  | NH(4-Cl-Ph)   | H               |
| B-245    | i-C <sub>3</sub> H <sub>7</sub>                  | N(CH <sub>3</sub> ) <sub>2</sub>                                  | H               |
| B-246    | CH <sub>3</sub>                                  | NH(3-CF <sub>3</sub> -Ph)   | H               |
| B-247    | (CH <sub>2</sub> ) <sub>3</sub> SCH <sub>3</sub> | CH <sub>2</sub> CH <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>  | H               |
| B-248    | (CH <sub>2</sub> ) <sub>3</sub> SCH <sub>3</sub> | CH <sub>2</sub> CCH   | H               |
| B-249    | CH <sub>3</sub>                                  | OCH <sub>2</sub> (6-F-benzo-1,3-dioxan-4-yl)                      | H               |
| B-250    | CH <sub>3</sub>                                  | OCH <sub>2</sub> (4-F-2-CF <sub>3</sub> -Ph)                      | H               |
| B-251    | CH <sub>3</sub>                                  | OCH <sub>2</sub> (2-OCF <sub>3</sub> -Ph)                         | H               |
| B-252    | CH <sub>3</sub>                                  | CH(CH <sub>3</sub> )(4-Cl-Ph)                                     | H               |
| B-253    | CH <sub>3</sub>                                  | CH <sub>2</sub> CCH   | CH <sub>3</sub> |
| B-254    | CH <sub>3</sub>                                  | CH <sub>2</sub> (1,3-benzodioxolan-5-yl)                          | H               |
| B-255    | CH <sub>3</sub>                                  | CH <sub>2</sub> CH(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>   | H               |
| B-256    | CH <sub>3</sub>                                  | CH <sub>2</sub> (2-furyl)   | H               |

Table 3

Compounds of formula (Ic):



5

| Compound | R <sup>4</sup>  | R <sup>1</sup>  |
|----------|---|-----------------|
| C-1      | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH <sub>3</sub> |
| C-2      | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH <sub>3</sub> |
| C-3      | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH <sub>3</sub> |
| C-4      | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH <sub>3</sub> |
| C-5      | CH <sub>2</sub> CCl=CH <sub>2</sub>                   | CH <sub>3</sub> |
| C-6      | CH <sub>2</sub> CH=CCl <sub>2</sub>                   | CH <sub>3</sub> |
| C-7      | CH <sub>2</sub> CH=CHCF <sub>3</sub>                  | CH <sub>3</sub> |
| C-8      | CH <sub>2</sub> CH=CHPh                               | CH <sub>3</sub> |
| C-9      | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>                | CH <sub>3</sub> |
| C-10     | CH <sub>2</sub> CCH                                   | CH <sub>3</sub> |

| Compound | R <sup>4</sup>   | R <sup>1</sup>                |
|----------|--|-------------------------------|
| C-11     | CH <sub>2</sub> CCCH <sub>3</sub>  | CH <sub>3</sub>               |
| C-12     | CH <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub>               |
| C-13     | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | CH <sub>3</sub>               |
| C-14     | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | CH <sub>3</sub>               |
| C-15     | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | CH <sub>3</sub>               |
| C-16     | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | CH <sub>3</sub>               |
| C-17     | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | CH <sub>3</sub>               |
| C-18     | CH <sub>2</sub> CN   | CH <sub>3</sub>               |
| C-19     | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | CH <sub>3</sub>               |
| C-20     | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | CH <sub>3</sub>               |
| C-21     | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | CH <sub>3</sub>               |
| C-22     | CH <sub>2</sub> Ph   | CH <sub>3</sub>               |
| C-23     | CH <sub>2</sub> (2-Cl-Ph)  | CH <sub>3</sub>               |
| C-24     | CH <sub>2</sub> (3-Cl-Ph)  | CH <sub>3</sub>               |
| C-25     | CH <sub>2</sub> (4-Cl-Ph)  | CH <sub>3</sub>               |
| C-26     | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | CH <sub>3</sub>               |
| C-27     | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | CH <sub>3</sub>               |
| C-28     | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | CH <sub>3</sub>               |
| C-29     | CH <sub>2</sub> (2-F-Ph)   | CH <sub>3</sub>               |
| C-30     | CH <sub>2</sub> (3-F-Ph)   | CH <sub>3</sub>               |
| C-31     | CH <sub>2</sub> (4-F-Ph)   | CH <sub>3</sub>               |
| C-32     | CH <sub>2</sub> (2-OMe-Ph)   | CH <sub>3</sub>               |
| C-33     | CH <sub>2</sub> (3-OMe-Ph)   | CH <sub>3</sub>               |
| C-34     | CH <sub>2</sub> (4-OMe-Ph)   | CH <sub>3</sub>               |
| C-35     | CH(CH <sub>3</sub> )Ph   | CH <sub>3</sub>               |
| C-36     | CH(CH <sub>3</sub> )(2-Cl-Ph)  | CH <sub>3</sub>               |
| C-37     | CH(CH <sub>3</sub> )(3-Cl-Ph)  | CH <sub>3</sub>               |
| C-38     | CH(CH <sub>3</sub> )(4-Cl-Ph)  | CH <sub>3</sub>               |
| C-39     | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | CH <sub>3</sub>               |
| C-40     | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | CH <sub>3</sub>               |
| C-41     | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | CH <sub>3</sub>               |
| C-42     | CH <sub>2</sub> CH <sub>2</sub> Ph   | CH <sub>3</sub>               |
| C-43     | CH <sub>2</sub> CH=CH <sub>2</sub>   | C <sub>2</sub> H <sub>5</sub> |
| C-44     | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | C <sub>2</sub> H <sub>5</sub> |
| C-45     | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | C <sub>2</sub> H <sub>5</sub> |
| C-46     | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | C <sub>2</sub> H <sub>5</sub> |
| C-47     | CH <sub>2</sub> CCl=CH <sub>2</sub>  | C <sub>2</sub> H <sub>5</sub> |
| C-48     | CH <sub>2</sub> CH=CCl <sub>2</sub>  | C <sub>2</sub> H <sub>5</sub> |
| C-49     | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | C <sub>2</sub> H <sub>5</sub> |
| C-50     | CH <sub>2</sub> CH=CHPh  | C <sub>2</sub> H <sub>5</sub> |
| C-51     | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | C <sub>2</sub> H <sub>5</sub> |
| C-52     | CH <sub>2</sub> CCH  | C <sub>2</sub> H <sub>5</sub> |

| Compound | R <sup>4</sup>   | R <sup>1</sup>                  |
|----------|--|---------------------------------|
| C-53     | CH <sub>2</sub> CCCH <sub>3</sub>  | C <sub>2</sub> H <sub>5</sub>   |
| C-54     | CH <sub>2</sub> CF <sub>3</sub>  | C <sub>2</sub> H <sub>5</sub>   |
| C-55     | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | C <sub>2</sub> H <sub>5</sub>   |
| C-56     | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | C <sub>2</sub> H <sub>5</sub>   |
| C-57     | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | C <sub>2</sub> H <sub>5</sub>   |
| C-58     | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub>   |
| C-59     | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | C <sub>2</sub> H <sub>5</sub>   |
| C-60     | CH <sub>2</sub> CN   | C <sub>2</sub> H <sub>5</sub>   |
| C-61     | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | C <sub>2</sub> H <sub>5</sub>   |
| C-62     | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | C <sub>2</sub> H <sub>5</sub>   |
| C-63     | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | C <sub>2</sub> H <sub>5</sub>   |
| C-64     | CH <sub>2</sub> Ph   | C <sub>2</sub> H <sub>5</sub>   |
| C-65     | CH <sub>2</sub> (2-Cl-Ph)  | C <sub>2</sub> H <sub>5</sub>   |
| C-66     | CH <sub>2</sub> (3-Cl-Ph)  | C <sub>2</sub> H <sub>5</sub>   |
| C-67     | CH <sub>2</sub> (4-Cl-Ph)  | C <sub>2</sub> H <sub>5</sub>   |
| C-68     | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | C <sub>2</sub> H <sub>5</sub>   |
| C-69     | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | C <sub>2</sub> H <sub>5</sub>   |
| C-70     | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | C <sub>2</sub> H <sub>5</sub>   |
| C-71     | CH <sub>2</sub> (2-F-Ph)   | C <sub>2</sub> H <sub>5</sub>   |
| C-72     | CH <sub>2</sub> (3-F-Ph)   | C <sub>2</sub> H <sub>5</sub>   |
| C-73     | CH <sub>2</sub> (4-F-Ph)   | C <sub>2</sub> H <sub>5</sub>   |
| C-74     | CH <sub>2</sub> (2-OMe-Ph)   | C <sub>2</sub> H <sub>5</sub>   |
| C-75     | CH <sub>2</sub> (3-OMe-Ph)   | C <sub>2</sub> H <sub>5</sub>   |
| C-76     | CH <sub>2</sub> (4-OMe-Ph)   | C <sub>2</sub> H <sub>5</sub>   |
| C-77     | CH(CH <sub>3</sub> )Ph   | C <sub>2</sub> H <sub>5</sub>   |
| C-78     | CH(CH <sub>3</sub> )(2-Cl-Ph)  | C <sub>2</sub> H <sub>5</sub>   |
| C-79     | CH(CH <sub>3</sub> )(3-Cl-Ph)  | C <sub>2</sub> H <sub>5</sub>   |
| C-80     | CH(CH <sub>3</sub> )(4-Cl-Ph)  | C <sub>2</sub> H <sub>5</sub>   |
| C-81     | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | C <sub>2</sub> H <sub>5</sub>   |
| C-82     | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | C <sub>2</sub> H <sub>5</sub>   |
| C-83     | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | C <sub>2</sub> H <sub>5</sub>   |
| C-84     | CH <sub>2</sub> CH <sub>2</sub> Ph   | C <sub>2</sub> H <sub>5</sub>   |
| C-85     | CH <sub>2</sub> CH=CH <sub>2</sub>   | n-C <sub>3</sub> H <sub>7</sub> |
| C-86     | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | n-C <sub>3</sub> H <sub>7</sub> |
| C-87     | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | n-C <sub>3</sub> H <sub>7</sub> |
| C-88     | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | n-C <sub>3</sub> H <sub>7</sub> |
| C-89     | CH <sub>2</sub> CCl=CH <sub>2</sub>  | n-C <sub>3</sub> H <sub>7</sub> |
| C-90     | CH <sub>2</sub> CH=CCl <sub>2</sub>  | n-C <sub>3</sub> H <sub>7</sub> |
| C-91     | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | n-C <sub>3</sub> H <sub>7</sub> |
| C-92     | CH <sub>2</sub> CH=CHPh  | n-C <sub>3</sub> H <sub>7</sub> |
| C-93     | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | n-C <sub>3</sub> H <sub>7</sub> |
| C-94     | CH <sub>2</sub> CCH  | n-C <sub>3</sub> H <sub>7</sub> |

| Compound | R <sup>4</sup>   | R <sup>1</sup>                  |
|----------|--|---------------------------------|
| C-95     | CH <sub>2</sub> CCCH <sub>3</sub>  | n-C <sub>3</sub> H <sub>7</sub> |
| C-96     | CH <sub>2</sub> CF <sub>3</sub>  | n-C <sub>3</sub> H <sub>7</sub> |
| C-97     | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | n-C <sub>3</sub> H <sub>7</sub> |
| C-98     | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | n-C <sub>3</sub> H <sub>7</sub> |
| C-99     | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | n-C <sub>3</sub> H <sub>7</sub> |
| C-100    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | n-C <sub>3</sub> H <sub>7</sub> |
| C-101    | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | n-C <sub>3</sub> H <sub>7</sub> |
| C-102    | CH <sub>2</sub> CN   | n-C <sub>3</sub> H <sub>7</sub> |
| C-103    | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | n-C <sub>3</sub> H <sub>7</sub> |
| C-104    | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | n-C <sub>3</sub> H <sub>7</sub> |
| C-105    | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | n-C <sub>3</sub> H <sub>7</sub> |
| C-106    | CH <sub>2</sub> Ph   | n-C <sub>3</sub> H <sub>7</sub> |
| C-107    | CH <sub>2</sub> (2-Cl-Ph)  | n-C <sub>3</sub> H <sub>7</sub> |
| C-108    | CH <sub>2</sub> (3-Cl-Ph)  | n-C <sub>3</sub> H <sub>7</sub> |
| C-109    | CH <sub>2</sub> (4-Cl-Ph)  | n-C <sub>3</sub> H <sub>7</sub> |
| C-110    | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | n-C <sub>3</sub> H <sub>7</sub> |
| C-111    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | n-C <sub>3</sub> H <sub>7</sub> |
| C-112    | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | n-C <sub>3</sub> H <sub>7</sub> |
| C-113    | CH <sub>2</sub> (2-F-Ph)   | n-C <sub>3</sub> H <sub>7</sub> |
| C-114    | CH <sub>2</sub> (3-F-Ph)   | n-C <sub>3</sub> H <sub>7</sub> |
| C-115    | CH <sub>2</sub> (4-F-Ph)   | n-C <sub>3</sub> H <sub>7</sub> |
| C-116    | CH <sub>2</sub> (2-OMe-Ph)   | n-C <sub>3</sub> H <sub>7</sub> |
| C-117    | CH <sub>2</sub> (3-OMe-Ph)   | n-C <sub>3</sub> H <sub>7</sub> |
| C-118    | CH <sub>2</sub> (4-OMe-Ph)   | n-C <sub>3</sub> H <sub>7</sub> |
| C-119    | CH(CH <sub>3</sub> )Ph   | n-C <sub>3</sub> H <sub>7</sub> |
| C-120    | CH(CH <sub>3</sub> )(2-Cl-Ph)  | n-C <sub>3</sub> H <sub>7</sub> |
| C-121    | CH(CH <sub>3</sub> )(3-Cl-Ph)  | n-C <sub>3</sub> H <sub>7</sub> |
| C-122    | CH(CH <sub>3</sub> )(4-Cl-Ph)  | n-C <sub>3</sub> H <sub>7</sub> |
| C-123    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | n-C <sub>3</sub> H <sub>7</sub> |
| C-124    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | n-C <sub>3</sub> H <sub>7</sub> |
| C-125    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | n-C <sub>3</sub> H <sub>7</sub> |
| C-126    | CH <sub>2</sub> CH <sub>2</sub> Ph   | n-C <sub>3</sub> H <sub>7</sub> |
| C-127    | CH <sub>2</sub> CH=CH <sub>2</sub>   | i-C <sub>3</sub> H <sub>7</sub> |
| C-128    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | i-C <sub>3</sub> H <sub>7</sub> |
| C-129    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | i-C <sub>3</sub> H <sub>7</sub> |
| C-130    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | i-C <sub>3</sub> H <sub>7</sub> |
| C-131    | CH <sub>2</sub> CCI=CH <sub>2</sub>  | i-C <sub>3</sub> H <sub>7</sub> |
| C-132    | CH <sub>2</sub> CH=CCl <sub>2</sub>  | i-C <sub>3</sub> H <sub>7</sub> |
| C-133    | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | i-C <sub>3</sub> H <sub>7</sub> |
| C-134    | CH <sub>2</sub> CH=CHPh  | i-C <sub>3</sub> H <sub>7</sub> |
| C-135    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | i-C <sub>3</sub> H <sub>7</sub> |
| C-136    | CH <sub>2</sub> CCH  | i-C <sub>3</sub> H <sub>7</sub> |

| Compound | R <sup>4</sup>   | R <sup>1</sup>                  |
|----------|--|---------------------------------|
| C-137    | CH <sub>2</sub> CCCH <sub>3</sub>  | i-C <sub>3</sub> H <sub>7</sub> |
| C-138    | CH <sub>2</sub> CF <sub>3</sub>  | i-C <sub>3</sub> H <sub>7</sub> |
| C-139    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | i-C <sub>3</sub> H <sub>7</sub> |
| C-140    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | i-C <sub>3</sub> H <sub>7</sub> |
| C-141    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | i-C <sub>3</sub> H <sub>7</sub> |
| C-142    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | i-C <sub>3</sub> H <sub>7</sub> |
| C-143    | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | i-C <sub>3</sub> H <sub>7</sub> |
| C-144    | CH <sub>2</sub> CN   | i-C <sub>3</sub> H <sub>7</sub> |
| C-145    | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | i-C <sub>3</sub> H <sub>7</sub> |
| C-146    | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | i-C <sub>3</sub> H <sub>7</sub> |
| C-147    | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | i-C <sub>3</sub> H <sub>7</sub> |
| C-148    | CH <sub>2</sub> Ph   | i-C <sub>3</sub> H <sub>7</sub> |
| C-149    | CH <sub>2</sub> (2-Cl-Ph)  | i-C <sub>3</sub> H <sub>7</sub> |
| C-150    | CH <sub>2</sub> (3-Cl-Ph)  | i-C <sub>3</sub> H <sub>7</sub> |
| C-151    | CH <sub>2</sub> (4-Cl-Ph)  | i-C <sub>3</sub> H <sub>7</sub> |
| C-152    | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | i-C <sub>3</sub> H <sub>7</sub> |
| C-153    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | i-C <sub>3</sub> H <sub>7</sub> |
| C-154    | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | i-C <sub>3</sub> H <sub>7</sub> |
| C-155    | CH <sub>2</sub> (2-F-Ph)   | i-C <sub>3</sub> H <sub>7</sub> |
| C-156    | CH <sub>2</sub> (3-F-Ph)   | i-C <sub>3</sub> H <sub>7</sub> |
| C-157    | CH <sub>2</sub> (4-F-Ph)   | i-C <sub>3</sub> H <sub>7</sub> |
| C-158    | CH <sub>2</sub> (2-OMe-Ph)   | i-C <sub>3</sub> H <sub>7</sub> |
| C-159    | CH <sub>2</sub> (3-OMe-Ph)   | i-C <sub>3</sub> H <sub>7</sub> |
| C-160    | CH <sub>2</sub> (4-OMe-Ph)   | i-C <sub>3</sub> H <sub>7</sub> |
| C-161    | CH(CH <sub>3</sub> )Ph   | i-C <sub>3</sub> H <sub>7</sub> |
| C-162    | CH(CH <sub>3</sub> )(2-Cl-Ph)  | i-C <sub>3</sub> H <sub>7</sub> |
| C-163    | CH(CH <sub>3</sub> )(3-Cl-Ph)  | i-C <sub>3</sub> H <sub>7</sub> |
| C-164    | CH(CH <sub>3</sub> )(4-Cl-Ph)  | i-C <sub>3</sub> H <sub>7</sub> |
| C-165    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | i-C <sub>3</sub> H <sub>7</sub> |
| C-166    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | i-C <sub>3</sub> H <sub>7</sub> |
| C-167    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | i-C <sub>3</sub> H <sub>7</sub> |
| C-168    | CH <sub>2</sub> CH <sub>2</sub> Ph   | i-C <sub>3</sub> H <sub>7</sub> |
| C-169    | CH <sub>2</sub> CH=CH <sub>2</sub>   | n-C <sub>4</sub> H <sub>9</sub> |
| C-170    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | n-C <sub>4</sub> H <sub>9</sub> |
| C-171    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | n-C <sub>4</sub> H <sub>9</sub> |
| C-172    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | n-C <sub>4</sub> H <sub>9</sub> |
| C-173    | CH <sub>2</sub> CCl=CH <sub>2</sub>  | n-C <sub>4</sub> H <sub>9</sub> |
| C-174    | CH <sub>2</sub> CH=CCl <sub>2</sub>  | n-C <sub>4</sub> H <sub>9</sub> |
| C-175    | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | n-C <sub>4</sub> H <sub>9</sub> |
| C-176    | CH <sub>2</sub> CH=CHPh  | n-C <sub>4</sub> H <sub>9</sub> |
| C-177    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | n-C <sub>4</sub> H <sub>9</sub> |
| C-178    | CH <sub>2</sub> CCH  | n-C <sub>4</sub> H <sub>9</sub> |

| Compound | R <sup>4</sup>    | R <sup>1</sup> |
|----------|-------------------|----------------|
| C-179    | CH2CCCH3          | n-C4H9         |
| C-180    | CH2CF3            | n-C4H9         |
| C-181    | CH2CH2OCH3        | n-C4H9         |
| C-182    | CH2CH2OC2H5       | n-C4H9         |
| C-183    | CH2CH2CH2OCH3     | n-C4H9         |
| C-184    | CH2CH2CH2OC2H5    | n-C4H9         |
| C-185    | CH2CH(OCH3)2      | n-C4H9         |
| C-186    | CH2CN             | n-C4H9         |
| C-187    | CH2(cyclo-C3H5)   | n-C4H9         |
| C-188    | CH2(cyclo-C5H9)   | n-C4H9         |
| C-189    | CH2(cyclo-C6H11)  | n-C4H9         |
| C-190    | CH2Ph             | n-C4H9         |
| C-191    | CH2(2-Cl-Ph)      | n-C4H9         |
| C-192    | CH2(3-Cl-Ph)      | n-C4H9         |
| C-193    | CH2(4-Cl-Ph)      | n-C4H9         |
| C-194    | CH2(2-CF3-Ph)     | n-C4H9         |
| C-195    | CH2(3-CF3-Ph)     | n-C4H9         |
| C-196    | CH2(4-CF3-Ph)     | n-C4H9         |
| C-197    | CH2(2-F-Ph)       | n-C4H9         |
| C-198    | CH2(3-F-Ph)       | n-C4H9         |
| C-199    | CH2(4-F-Ph)       | n-C4H9         |
| C-200    | CH2(2-OMe-Ph)     | n-C4H9         |
| C-201    | CH2(3-OMe-Ph)     | n-C4H9         |
| C-202    | CH2(4-OMe-Ph)     | n-C4H9         |
| C-203    | CH(CH3)Ph         | n-C4H9         |
| C-204    | CH(CH3)(2-Cl-Ph)  | n-C4H9         |
| C-205    | CH(CH3)(3-Cl-Ph)  | n-C4H9         |
| C-206    | CH(CH3)(4-Cl-Ph)  | n-C4H9         |
| C-207    | CH(CH3)(2-CF3-Ph) | n-C4H9         |
| C-208    | CH(CH3)(3-CF3-Ph) | n-C4H9         |
| C-209    | CH(CH3)(4-CF3-Ph) | n-C4H9         |
| C-210    | CH2CH2Ph          | n-C4H9         |
| C-211    | CH2CH=CH2         | i-C4H9         |
| C-212    | CH2C(CH3)=CH2     | i-C4H9         |
| C-213    | CH2C(CH3)=CHCH3   | i-C4H9         |
| C-214    | CH2CH=C(CH3)2     | i-C4H9         |
| C-215    | CH2CCI=CH2        | i-C4H9         |
| C-216    | CH2CH=CCl2        | i-C4H9         |
| C-217    | CH2CH=CHCF3       | i-C4H9         |
| C-218    | CH2CH=CHPh        | i-C4H9         |
| C-219    | CH(CH3)CH=CH2     | i-C4H9         |
| C-220    | CH2CCH            | i-C4H9         |

| Compound | R <sup>4</sup>   | R <sup>1</sup>                    |
|----------|--|-----------------------------------|
| C-221    | CH <sub>2</sub> CCCH <sub>3</sub>  | i-C <sub>4</sub> H <sub>9</sub>   |
| C-222    | CH <sub>2</sub> CF <sub>3</sub>  | i-C <sub>4</sub> H <sub>9</sub>   |
| C-223    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | i-C <sub>4</sub> H <sub>9</sub>   |
| C-224    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | i-C <sub>4</sub> H <sub>9</sub>   |
| C-225    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | i-C <sub>4</sub> H <sub>9</sub>   |
| C-226    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | i-C <sub>4</sub> H <sub>9</sub>   |
| C-227    | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | i-C <sub>4</sub> H <sub>9</sub>   |
| C-228    | CH <sub>2</sub> CN   | i-C <sub>4</sub> H <sub>9</sub>   |
| C-229    | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | i-C <sub>4</sub> H <sub>9</sub>   |
| C-230    | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | i-C <sub>4</sub> H <sub>9</sub>   |
| C-231    | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | i-C <sub>4</sub> H <sub>9</sub>   |
| C-232    | CH <sub>2</sub> Ph   | i-C <sub>4</sub> H <sub>9</sub>   |
| C-233    | CH <sub>2</sub> (2-Cl-Ph)  | i-C <sub>4</sub> H <sub>9</sub>   |
| C-234    | CH <sub>2</sub> (3-Cl-Ph)  | i-C <sub>4</sub> H <sub>9</sub>   |
| C-235    | CH <sub>2</sub> (4-Cl-Ph)  | i-C <sub>4</sub> H <sub>9</sub>   |
| C-236    | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | i-C <sub>4</sub> H <sub>9</sub>   |
| C-237    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | i-C <sub>4</sub> H <sub>9</sub>   |
| C-238    | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | i-C <sub>4</sub> H <sub>9</sub>   |
| C-239    | CH <sub>2</sub> (2-F-Ph)   | i-C <sub>4</sub> H <sub>9</sub>   |
| C-240    | CH <sub>2</sub> (3-F-Ph)   | i-C <sub>4</sub> H <sub>9</sub>   |
| C-241    | CH <sub>2</sub> (4-F-Ph)   | i-C <sub>4</sub> H <sub>9</sub>   |
| C-242    | CH <sub>2</sub> (2-OMe-Ph)   | i-C <sub>4</sub> H <sub>9</sub>   |
| C-243    | CH <sub>2</sub> (3-OMe-Ph)   | i-C <sub>4</sub> H <sub>9</sub>   |
| C-244    | CH <sub>2</sub> (4-OMe-Ph)   | i-C <sub>4</sub> H <sub>9</sub>   |
| C-245    | CH(CH <sub>3</sub> )Ph   | i-C <sub>4</sub> H <sub>9</sub>   |
| C-246    | CH(CH <sub>3</sub> )(2-Cl-Ph)  | i-C <sub>4</sub> H <sub>9</sub>   |
| C-247    | CH(CH <sub>3</sub> )(3-Cl-Ph)  | i-C <sub>4</sub> H <sub>9</sub>   |
| C-248    | CH(CH <sub>3</sub> )(4-Cl-Ph)  | i-C <sub>4</sub> H <sub>9</sub>   |
| C-249    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | i-C <sub>4</sub> H <sub>9</sub>   |
| C-250    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | i-C <sub>4</sub> H <sub>9</sub>   |
| C-251    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | i-C <sub>4</sub> H <sub>9</sub>   |
| C-252    | CH <sub>2</sub> CH <sub>2</sub> Ph   | i-C <sub>4</sub> H <sub>9</sub>   |
| C-253    | CH <sub>2</sub> CH=CH <sub>2</sub>   | sec-C <sub>4</sub> H <sub>9</sub> |
| C-254    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | sec-C <sub>4</sub> H <sub>9</sub> |
| C-255    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | sec-C <sub>4</sub> H <sub>9</sub> |
| C-256    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | sec-C <sub>4</sub> H <sub>9</sub> |
| C-257    | CH <sub>2</sub> CCl=CH <sub>2</sub>  | sec-C <sub>4</sub> H <sub>9</sub> |
| C-258    | CH <sub>2</sub> CH=CCl <sub>2</sub>  | sec-C <sub>4</sub> H <sub>9</sub> |
| C-259    | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | sec-C <sub>4</sub> H <sub>9</sub> |
| C-260    | CH <sub>2</sub> CH=CHPh  | sec-C <sub>4</sub> H <sub>9</sub> |
| C-261    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | sec-C <sub>4</sub> H <sub>9</sub> |
| C-262    | CH <sub>2</sub> CCH  | sec-C <sub>4</sub> H <sub>9</sub> |

| Compound | R <sup>4</sup>    | R <sup>1</sup> |
|----------|-------------------|----------------|
| C-263    | CH2CCCH3          | sec-C4H9       |
| C-264    | CH2CF3            | sec-C4H9       |
| C-265    | CH2CH2OCH3        | sec-C4H9       |
| C-266    | CH2CH2OC2H5       | sec-C4H9       |
| C-267    | CH2CH2CH2OCH3     | sec-C4H9       |
| C-268    | CH2CH2CH2OC2H5    | sec-C4H9       |
| C-269    | CH2CH(OCH3)2      | sec-C4H9       |
| C-270    | CH2CN             | sec-C4H9       |
| C-271    | CH2(cyclo-C3H5)   | sec-C4H9       |
| C-272    | CH2(cyclo-C5H9)   | sec-C4H9       |
| C-273    | CH2(cyclo-C6H11)  | sec-C4H9       |
| C-274    | CH2Ph             | sec-C4H9       |
| C-275    | CH2(2-Cl-Ph)      | sec-C4H9       |
| C-276    | CH2(3-Cl-Ph)      | sec-C4H9       |
| C-277    | CH2(4-Cl-Ph)      | sec-C4H9       |
| C-278    | CH2(2-CF3-Ph)     | sec-C4H9       |
| C-279    | CH2(3-CF3-Ph)     | sec-C4H9       |
| C-280    | CH2(4-CF3-Ph)     | sec-C4H9       |
| C-281    | CH2(2-F-Ph)       | sec-C4H9       |
| C-282    | CH2(3-F-Ph)       | sec-C4H9       |
| C-283    | CH2(4-F-Ph)       | sec-C4H9       |
| C-284    | CH2(2-OMe-Ph)     | sec-C4H9       |
| C-285    | CH2(3-OMe-Ph)     | sec-C4H9       |
| C-286    | CH2(4-OMe-Ph)     | sec-C4H9       |
| C-287    | CH(CH3)Ph         | sec-C4H9       |
| C-288    | CH(CH3)(2-Cl-Ph)  | sec-C4H9       |
| C-289    | CH(CH3)(3-Cl-Ph)  | sec-C4H9       |
| C-290    | CH(CH3)(4-Cl-Ph)  | sec-C4H9       |
| C-291    | CH(CH3)(2-CF3-Ph) | sec-C4H9       |
| C-292    | CH(CH3)(3-CF3-Ph) | sec-C4H9       |
| C-293    | CH(CH3)(4-CF3-Ph) | sec-C4H9       |
| C-294    | CH2CH2Ph          | sec-C4H9       |
| C-295    | CH2CH=CH2         | t-C4H9         |
| C-296    | CH2C(CH3)=CH2     | t-C4H9         |
| C-297    | CH2C(CH3)=CHCH3   | t-C4H9         |
| C-298    | CH2CH=C(CH3)2     | t-C4H9         |
| C-299    | CH2CCI=CH2        | t-C4H9         |
| C-300    | CH2CH=CCl2        | t-C4H9         |
| C-301    | CH2CH=CHCF3       | t-C4H9         |
| C-302    | CH2CH=CHPh        | t-C4H9         |
| C-303    | CH(CH3)CH=CH2     | t-C4H9         |
| C-304    | CH2CCH            | t-C4H9         |

| Compound | R <sup>4</sup>   | R <sup>1</sup>                   |
|----------|--|----------------------------------|
| C-305    | CH <sub>2</sub> CCCH <sub>3</sub>  | t-C <sub>4</sub> H <sub>9</sub>  |
| C-306    | CH <sub>2</sub> CF <sub>3</sub>  | t-C <sub>4</sub> H <sub>9</sub>  |
| C-307    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | t-C <sub>4</sub> H <sub>9</sub>  |
| C-308    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | t-C <sub>4</sub> H <sub>9</sub>  |
| C-309    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | t-C <sub>4</sub> H <sub>9</sub>  |
| C-310    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | t-C <sub>4</sub> H <sub>9</sub>  |
| C-311    | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | t-C <sub>4</sub> H <sub>9</sub>  |
| C-312    | CH <sub>2</sub> CN   | t-C <sub>4</sub> H <sub>9</sub>  |
| C-313    | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | t-C <sub>4</sub> H <sub>9</sub>  |
| C-314    | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | t-C <sub>4</sub> H <sub>9</sub>  |
| C-315    | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | t-C <sub>4</sub> H <sub>9</sub>  |
| C-316    | CH <sub>2</sub> Ph   | t-C <sub>4</sub> H <sub>9</sub>  |
| C-317    | CH <sub>2</sub> (2-Cl-Ph)  | t-C <sub>4</sub> H <sub>9</sub>  |
| C-318    | CH <sub>2</sub> (3-Cl-Ph)  | t-C <sub>4</sub> H <sub>9</sub>  |
| C-319    | CH <sub>2</sub> (4-Cl-Ph)  | t-C <sub>4</sub> H <sub>9</sub>  |
| C-320    | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | t-C <sub>4</sub> H <sub>9</sub>  |
| C-321    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | t-C <sub>4</sub> H <sub>9</sub>  |
| C-322    | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | t-C <sub>4</sub> H <sub>9</sub>  |
| C-323    | CH <sub>2</sub> (2-F-Ph)   | t-C <sub>4</sub> H <sub>9</sub>  |
| C-324    | CH <sub>2</sub> (3-F-Ph)   | t-C <sub>4</sub> H <sub>9</sub>  |
| C-325    | CH <sub>2</sub> (4-F-Ph)   | t-C <sub>4</sub> H <sub>9</sub>  |
| C-326    | CH <sub>2</sub> (2-OMe-Ph)   | t-C <sub>4</sub> H <sub>9</sub>  |
| C-327    | CH <sub>2</sub> (3-OMe-Ph)   | t-C <sub>4</sub> H <sub>9</sub>  |
| C-328    | CH <sub>2</sub> (4-OMe-Ph)   | t-C <sub>4</sub> H <sub>9</sub>  |
| C-329    | CH(CH <sub>3</sub> )Ph   | t-C <sub>4</sub> H <sub>9</sub>  |
| C-330    | CH(CH <sub>3</sub> )(2-Cl-Ph)  | t-C <sub>4</sub> H <sub>9</sub>  |
| C-331    | CH(CH <sub>3</sub> )(3-Cl-Ph)  | t-C <sub>4</sub> H <sub>9</sub>  |
| C-332    | CH(CH <sub>3</sub> )(4-Cl-Ph)  | t-C <sub>4</sub> H <sub>9</sub>  |
| C-333    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | t-C <sub>4</sub> H <sub>9</sub>  |
| C-334    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | t-C <sub>4</sub> H <sub>9</sub>  |
| C-335    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | t-C <sub>4</sub> H <sub>9</sub>  |
| C-336    | CH <sub>2</sub> CH <sub>2</sub> Ph   | t-C <sub>4</sub> H <sub>9</sub>  |
| C-337    | CH <sub>2</sub> CH=CH <sub>2</sub>   | n-C <sub>5</sub> H <sub>11</sub> |
| C-338    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | n-C <sub>5</sub> H <sub>11</sub> |
| C-339    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | n-C <sub>5</sub> H <sub>11</sub> |
| C-340    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | n-C <sub>5</sub> H <sub>11</sub> |
| C-341    | CH <sub>2</sub> CCI=CH <sub>2</sub>  | n-C <sub>5</sub> H <sub>11</sub> |
| C-342    | CH <sub>2</sub> CH=CCl <sub>2</sub>  | n-C <sub>5</sub> H <sub>11</sub> |
| C-343    | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | n-C <sub>5</sub> H <sub>11</sub> |
| C-344    | CH <sub>2</sub> CH=CHPh  | n-C <sub>5</sub> H <sub>11</sub> |
| C-345    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | n-C <sub>5</sub> H <sub>11</sub> |
| C-346    | CH <sub>2</sub> CCH  | n-C <sub>5</sub> H <sub>11</sub> |

| Compound | R <sup>4</sup>   | R <sup>1</sup>                   |
|----------|--|----------------------------------|
| C-347    | CH <sub>2</sub> CCCH <sub>3</sub>  | n-C <sub>5</sub> H <sub>11</sub> |
| C-348    | CH <sub>2</sub> CF <sub>3</sub>  | n-C <sub>5</sub> H <sub>11</sub> |
| C-349    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | n-C <sub>5</sub> H <sub>11</sub> |
| C-350    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | n-C <sub>5</sub> H <sub>11</sub> |
| C-351    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | n-C <sub>5</sub> H <sub>11</sub> |
| C-352    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | n-C <sub>5</sub> H <sub>11</sub> |
| C-353    | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | n-C <sub>5</sub> H <sub>11</sub> |
| C-354    | CH <sub>2</sub> CN   | n-C <sub>5</sub> H <sub>11</sub> |
| C-355    | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | n-C <sub>5</sub> H <sub>11</sub> |
| C-356    | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | n-C <sub>5</sub> H <sub>11</sub> |
| C-357    | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | n-C <sub>5</sub> H <sub>11</sub> |
| C-358    | CH <sub>2</sub> Ph   | n-C <sub>5</sub> H <sub>11</sub> |
| C-359    | CH <sub>2</sub> (2-Cl-Ph)  | n-C <sub>5</sub> H <sub>11</sub> |
| C-360    | CH <sub>2</sub> (3-Cl-Ph)  | n-C <sub>5</sub> H <sub>11</sub> |
| C-361    | CH <sub>2</sub> (4-Cl-Ph)  | n-C <sub>5</sub> H <sub>11</sub> |
| C-362    | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | n-C <sub>5</sub> H <sub>11</sub> |
| C-363    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | n-C <sub>5</sub> H <sub>11</sub> |
| C-364    | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | n-C <sub>5</sub> H <sub>11</sub> |
| C-365    | CH <sub>2</sub> (2-F-Ph)   | n-C <sub>5</sub> H <sub>11</sub> |
| C-366    | CH <sub>2</sub> (3-F-Ph)   | n-C <sub>5</sub> H <sub>11</sub> |
| C-367    | CH <sub>2</sub> (4-F-Ph)   | n-C <sub>5</sub> H <sub>11</sub> |
| C-368    | CH <sub>2</sub> (2-OMe-Ph)   | n-C <sub>5</sub> H <sub>11</sub> |
| C-369    | CH <sub>2</sub> (3-OMe-Ph)   | n-C <sub>5</sub> H <sub>11</sub> |
| C-370    | CH <sub>2</sub> (4-OMe-Ph)   | n-C <sub>5</sub> H <sub>11</sub> |
| C-371    | CH(CH <sub>3</sub> )Ph   | n-C <sub>5</sub> H <sub>11</sub> |
| C-372    | CH(CH <sub>3</sub> )(2-Cl-Ph)  | n-C <sub>5</sub> H <sub>11</sub> |
| C-373    | CH(CH <sub>3</sub> )(3-Cl-Ph)  | n-C <sub>5</sub> H <sub>11</sub> |
| C-374    | CH(CH <sub>3</sub> )(4-Cl-Ph)  | n-C <sub>5</sub> H <sub>11</sub> |
| C-375    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | n-C <sub>5</sub> H <sub>11</sub> |
| C-376    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | n-C <sub>5</sub> H <sub>11</sub> |
| C-377    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | n-C <sub>5</sub> H <sub>11</sub> |
| C-378    | CH <sub>2</sub> CH <sub>2</sub> Ph   | n-C <sub>5</sub> H <sub>11</sub> |
| C-379    | CH <sub>2</sub> CH=CH <sub>2</sub>   | n-C <sub>6</sub> H <sub>13</sub> |
| C-380    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | n-C <sub>6</sub> H <sub>13</sub> |
| C-381    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | n-C <sub>6</sub> H <sub>13</sub> |
| C-382    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | n-C <sub>6</sub> H <sub>13</sub> |
| C-383    | CH <sub>2</sub> CCl=CH <sub>2</sub>  | n-C <sub>6</sub> H <sub>13</sub> |
| C-384    | CH <sub>2</sub> CH=CCl <sub>2</sub>  | n-C <sub>6</sub> H <sub>13</sub> |
| C-385    | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | n-C <sub>6</sub> H <sub>13</sub> |
| C-386    | CH <sub>2</sub> CH=CHPh  | n-C <sub>6</sub> H <sub>13</sub> |
| C-387    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | n-C <sub>6</sub> H <sub>13</sub> |
| C-388    | CH <sub>2</sub> CCH  | n-C <sub>6</sub> H <sub>13</sub> |

| Compound | R <sup>4</sup>   | R <sup>1</sup>                      |
|----------|--|-------------------------------------|
| C-389    | CH <sub>2</sub> CCCH <sub>3</sub>  | n-C <sub>6</sub> H <sub>13</sub>    |
| C-390    | CH <sub>2</sub> CF <sub>3</sub>  | n-C <sub>6</sub> H <sub>13</sub>    |
| C-391    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | n-C <sub>6</sub> H <sub>13</sub>    |
| C-392    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | n-C <sub>6</sub> H <sub>13</sub>    |
| C-393    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | n-C <sub>6</sub> H <sub>13</sub>    |
| C-394    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | n-C <sub>6</sub> H <sub>13</sub>    |
| C-395    | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | n-C <sub>6</sub> H <sub>13</sub>    |
| C-396    | CH <sub>2</sub> CN   | n-C <sub>6</sub> H <sub>13</sub>    |
| C-397    | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | n-C <sub>6</sub> H <sub>13</sub>    |
| C-398    | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | n-C <sub>6</sub> H <sub>13</sub>    |
| C-399    | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | n-C <sub>6</sub> H <sub>13</sub>    |
| C-400    | CH <sub>2</sub> Ph   | n-C <sub>6</sub> H <sub>13</sub>    |
| C-401    | CH <sub>2</sub> (2-Cl-Ph)  | n-C <sub>6</sub> H <sub>13</sub>    |
| C-402    | CH <sub>2</sub> (3-Cl-Ph)  | n-C <sub>6</sub> H <sub>13</sub>    |
| C-403    | CH <sub>2</sub> (4-Cl-Ph)  | n-C <sub>6</sub> H <sub>13</sub>    |
| C-404    | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | n-C <sub>6</sub> H <sub>13</sub>    |
| C-405    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | n-C <sub>6</sub> H <sub>13</sub>    |
| C-406    | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | n-C <sub>6</sub> H <sub>13</sub>    |
| C-407    | CH <sub>2</sub> (2-F-Ph)   | n-C <sub>6</sub> H <sub>13</sub>    |
| C-408    | CH <sub>2</sub> (3-F-Ph)   | n-C <sub>6</sub> H <sub>13</sub>    |
| C-409    | CH <sub>2</sub> (4-F-Ph)   | n-C <sub>6</sub> H <sub>13</sub>    |
| C-410    | CH <sub>2</sub> (2-OMe-Ph)   | n-C <sub>6</sub> H <sub>13</sub>    |
| C-411    | CH <sub>2</sub> (3-OMe-Ph)   | n-C <sub>6</sub> H <sub>13</sub>    |
| C-412    | CH <sub>2</sub> (4-OMe-Ph)   | n-C <sub>6</sub> H <sub>13</sub>    |
| C-413    | CH(CH <sub>3</sub> )Ph   | n-C <sub>6</sub> H <sub>13</sub>    |
| C-414    | CH(CH <sub>3</sub> )(2-Cl-Ph)  | n-C <sub>6</sub> H <sub>13</sub>    |
| C-415    | CH(CH <sub>3</sub> )(3-Cl-Ph)  | n-C <sub>6</sub> H <sub>13</sub>    |
| C-416    | CH(CH <sub>3</sub> )(4-Cl-Ph)  | n-C <sub>6</sub> H <sub>13</sub>    |
| C-417    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | n-C <sub>6</sub> H <sub>13</sub>    |
| C-418    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | n-C <sub>6</sub> H <sub>13</sub>    |
| C-419    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | n-C <sub>6</sub> H <sub>13</sub>    |
| C-420    | CH <sub>2</sub> CH <sub>2</sub> Ph   | n-C <sub>6</sub> H <sub>13</sub>    |
| C-421    | CH <sub>2</sub> CH=CH <sub>2</sub>   | cyclo-C <sub>5</sub> H <sub>9</sub> |
| C-422    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | cyclo-C <sub>5</sub> H <sub>9</sub> |
| C-423    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | cyclo-C <sub>5</sub> H <sub>9</sub> |
| C-424    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | cyclo-C <sub>5</sub> H <sub>9</sub> |
| C-425    | CH <sub>2</sub> CCI=CH <sub>2</sub>  | cyclo-C <sub>5</sub> H <sub>9</sub> |
| C-426    | CH <sub>2</sub> CH=CCl <sub>2</sub>  | cyclo-C <sub>5</sub> H <sub>9</sub> |
| C-427    | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | cyclo-C <sub>5</sub> H <sub>9</sub> |
| C-428    | CH <sub>2</sub> CH=CHPh  | cyclo-C <sub>5</sub> H <sub>9</sub> |
| C-429    | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | cyclo-C <sub>5</sub> H <sub>9</sub> |
| C-430    | CH <sub>2</sub> CCH  | cyclo-C <sub>5</sub> H <sub>9</sub> |

| Compound | R <sup>4</sup>   | R <sup>1</sup>                       |
|----------|--|--------------------------------------|
| C-431    | CH <sub>2</sub> CCCH <sub>3</sub>  | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-432    | CH <sub>2</sub> CF <sub>3</sub>  | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-433    | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-434    | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-435    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-436    | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-437    | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-438    | CH <sub>2</sub> CN   | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-439    | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-440    | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-441    | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-442    | CH <sub>2</sub> Ph   | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-443    | CH <sub>2</sub> (2-Cl-Ph)  | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-444    | CH <sub>2</sub> (3-Cl-Ph)  | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-445    | CH <sub>2</sub> (4-Cl-Ph)  | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-446    | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-447    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-448    | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-449    | CH <sub>2</sub> (2-F-Ph)   | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-450    | CH <sub>2</sub> (3-F-Ph)   | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-451    | CH <sub>2</sub> (4-F-Ph)   | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-452    | CH <sub>2</sub> (2-OMe-Ph)   | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-453    | CH <sub>2</sub> (3-OMe-Ph)   | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-454    | CH <sub>2</sub> (4-OMe-Ph)   | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-455    | CH(CH <sub>3</sub> )Ph   | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-456    | CH(CH <sub>3</sub> )(2-Cl-Ph)  | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-457    | CH(CH <sub>3</sub> )(3-Cl-Ph)  | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-458    | CH(CH <sub>3</sub> )(4-Cl-Ph)  | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-459    | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-460    | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-461    | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-462    | CH <sub>2</sub> CH <sub>2</sub> Ph   | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| C-463    | CH <sub>3</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> |
| C-464    | C <sub>2</sub> H <sub>5</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> |
| C-465    | n-C <sub>3</sub> H <sub>7</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> |
| C-466    | i-C <sub>3</sub> H <sub>7</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> |
| C-467    | n-C <sub>4</sub> H <sub>9</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> |
| C-468    | s-C <sub>4</sub> H <sub>9</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> |
| C-469    | i-C <sub>4</sub> H <sub>9</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> |
| C-470    | t-C <sub>4</sub> H <sub>9</sub>  | cyclo-C <sub>6</sub> H <sub>11</sub> |
| C-471    | n-C <sub>5</sub> H <sub>11</sub>   | cyclo-C <sub>6</sub> H <sub>11</sub> |
| C-472    | n-C <sub>6</sub> H <sub>13</sub>   | cyclo-C <sub>6</sub> H <sub>11</sub> |

| Compound | R <sup>4</sup>    | R <sup>1</sup> |
|----------|-------------------|----------------|
| C-473    | CH2CH=CH2         | cyclo-C6H11    |
| C-474    | CH2C(CH3)=CH2     | cyclo-C6H11    |
| C-475    | CH2C(CH3)=CHCH3   | cyclo-C6H11    |
| C-476    | CH2CH=C(CH3)2     | cyclo-C6H11    |
| C-477    | CH2CCl=CH2        | cyclo-C6H11    |
| C-478    | CH2CH=CCl2        | cyclo-C6H11    |
| C-479    | CH2CH=CHCF3       | cyclo-C6H11    |
| C-480    | CH2CH=CHPh        | cyclo-C6H11    |
| C-481    | CH(CH3)CH=CH2     | cyclo-C6H11    |
| C-482    | CH2CCH            | cyclo-C6H11    |
| C-483    | CH2CCCH3          | cyclo-C6H11    |
| C-484    | CH2CF3            | cyclo-C6H11    |
| C-485    | CH2CH2OCH3        | cyclo-C6H11    |
| C-486    | CH2CH2OC2H5       | cyclo-C6H11    |
| C-487    | CH2CH2CH2OCH3     | cyclo-C6H11    |
| C-488    | CH2CH2CH2OC2H5    | cyclo-C6H11    |
| C-489    | CH2CH(OCH3)2      | cyclo-C6H11    |
| C-490    | CH2CN             | cyclo-C6H11    |
| C-491    | CH2(cyclo-C3H5)   | cyclo-C6H11    |
| C-492    | CH2(cyclo-C5H9)   | cyclo-C6H11    |
| C-493    | CH2(cyclo-C6H11)  | cyclo-C6H11    |
| C-494    | CH2Ph             | cyclo-C6H11    |
| C-495    | CH2(2-Cl-Ph)      | cyclo-C6H11    |
| C-496    | CH2(3-Cl-Ph)      | cyclo-C6H11    |
| C-497    | CH2(4-Cl-Ph)      | cyclo-C6H11    |
| C-498    | CH2(2-CF3-Ph)     | cyclo-C6H11    |
| C-499    | CH2(3-CF3-Ph)     | cyclo-C6H11    |
| C-500    | CH2(4-CF3-Ph)     | cyclo-C6H11    |
| C-501    | CH2(2-F-Ph)       | cyclo-C6H11    |
| C-502    | CH2(3-F-Ph)       | cyclo-C6H11    |
| C-503    | CH2(4-F-Ph)       | cyclo-C6H11    |
| C-504    | CH2(2-OMe-Ph)     | cyclo-C6H11    |
| C-505    | CH2(3-OMe-Ph)     | cyclo-C6H11    |
| C-506    | CH2(4-OMe-Ph)     | cyclo-C6H11    |
| C-507    | CH(CH3)Ph         | cyclo-C6H11    |
| C-508    | CH(CH3)(2-Cl-Ph)  | cyclo-C6H11    |
| C-509    | CH(CH3)(3-Cl-Ph)  | cyclo-C6H11    |
| C-510    | CH(CH3)(4-Cl-Ph)  | cyclo-C6H11    |
| C-511    | CH(CH3)(2-CF3-Ph) | cyclo-C6H11    |
| C-512    | CH(CH3)(3-CF3-Ph) | cyclo-C6H11    |
| C-513    | CH(CH3)(4-CF3-Ph) | cyclo-C6H11    |
| C-514    | CH2CH2Ph          | cyclo-C6H11    |

| Compound | R <sup>4</sup>   | R <sup>1</sup> |
|----------|------------------|----------------|
| C-515    | CH3              | CH2Ph          |
| C-516    | C2H5             | CH2Ph          |
| C-517    | n-C3H7           | CH2Ph          |
| C-518    | i-C3H7           | CH2Ph          |
| C-519    | n-C4H9           | CH2Ph          |
| C-520    | s-C4H9           | CH2Ph          |
| C-521    | i-C4H9           | CH2Ph          |
| C-522    | t-C4H9           | CH2Ph          |
| C-523    | n-C5H11          | CH2Ph          |
| C-524    | n-C6H13          | CH2Ph          |
| C-525    | CH2CH=CH2        | CH2Ph          |
| C-526    | CH2C(CH3)=CH2    | CH2Ph          |
| C-527    | CH2C(CH3)=CHCH3  | CH2Ph          |
| C-528    | CH2CH=C(CH3)2    | CH2Ph          |
| C-529    | CH2CCl=CH2       | CH2Ph          |
| C-530    | CH2CH=CCl2       | CH2Ph          |
| C-531    | CH2CH=CHCF3      | CH2Ph          |
| C-532    | CH2CH=CHPh       | CH2Ph          |
| C-533    | CH(CH3)CH=CH2    | CH2Ph          |
| C-534    | CH2CCH           | CH2Ph          |
| C-535    | CH2CCCC3         | CH2Ph          |
| C-536    | CH2CF3           | CH2Ph          |
| C-537    | CH2CH2OCH3       | CH2Ph          |
| C-538    | CH2CH2OC2H5      | CH2Ph          |
| C-539    | CH2CH2CH2OCH3    | CH2Ph          |
| C-540    | CH2CH2CH2OC2H5   | CH2Ph          |
| C-541    | CH2CH(OCH3)2     | CH2Ph          |
| C-542    | CH2CN            | CH2Ph          |
| C-543    | CH2(cyclo-C3H5)  | CH2Ph          |
| C-544    | CH2(cyclo-C5H9)  | CH2Ph          |
| C-545    | CH2(cyclo-C6H11) | CH2Ph          |
| C-546    | CH2Ph            | CH2Ph          |
| C-547    | CH2(2-Cl-Ph)     | CH2Ph          |
| C-548    | CH2(3-Cl-Ph)     | CH2Ph          |
| C-549    | CH2(4-Cl-Ph)     | CH2Ph          |
| C-550    | CH2(2-CF3-Ph)    | CH2Ph          |
| C-551    | CH2(3-CF3-Ph)    | CH2Ph          |
| C-552    | CH2(4-CF3-Ph)    | CH2Ph          |
| C-553    | CH2(2-F-Ph)      | CH2Ph          |
| C-554    | CH2(3-F-Ph)      | CH2Ph          |
| C-555    | CH2(4-F-Ph)      | CH2Ph          |
| C-556    | CH2(2-OMe-Ph)    | CH2Ph          |

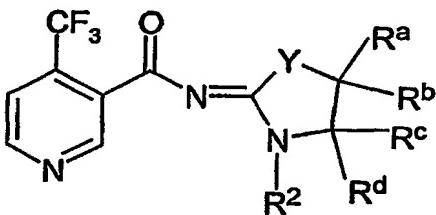
| Compound | R <sup>4</sup>  | R <sup>1</sup>            |
|----------|---|---------------------------|
| C-557    | CH2(3-OMe-Ph)   | CH2Ph                     |
| C-558    | CH2(4-OMe-Ph)   | CH2Ph                     |
| C-559    | CH(CH3)Ph   | CH2Ph                     |
| C-560    | CH(CH3)(2-Cl-Ph)                                      | CH2Ph                     |
| C-561    | CH(CH3)(3-Cl-Ph)                                      | CH2Ph                     |
| C-562    | CH(CH3)(4-Cl-Ph)                                      | CH2Ph                     |
| C-563    | CH(CH3)(2-CF <sub>3</sub> -Ph)                        | CH2Ph                     |
| C-564    | CH(CH3)(3-CF <sub>3</sub> -Ph)                        | CH2Ph                     |
| C-565    | CH(CH3)(4-CF <sub>3</sub> -Ph)                        | CH2Ph                     |
| C-566    | CH <sub>2</sub> CH <sub>2</sub> Ph                    | CH2Ph                     |
| C-567    | n-C <sub>3</sub> H <sub>7</sub>                       | CH(CH3)Ph                 |
| C-568    | i-C <sub>3</sub> H <sub>7</sub>                       | CH(CH3)Ph                 |
| C-569    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH(CH3)Ph                 |
| C-570    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH(CH3)Ph                 |
| C-571    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH(CH3)Ph                 |
| C-572    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH(CH3)Ph                 |
| C-573    | CH <sub>2</sub> Ph                                    | CH(CH3)Ph                 |
| C-574    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | CH(CH3)Ph                 |
| C-575    | n-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> (2-Cl-Ph) |
| C-576    | i-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> (2-Cl-Ph) |
| C-577    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH <sub>2</sub> (2-Cl-Ph) |
| C-578    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH <sub>2</sub> (2-Cl-Ph) |
| C-579    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH <sub>2</sub> (2-Cl-Ph) |
| C-580    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH <sub>2</sub> (2-Cl-Ph) |
| C-581    | CH <sub>2</sub> Ph                                    | CH <sub>2</sub> (2-Cl-Ph) |
| C-582    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | CH <sub>2</sub> (2-Cl-Ph) |
| C-583    | n-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> (3-Cl-Ph) |
| C-584    | i-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> (3-Cl-Ph) |
| C-585    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH <sub>2</sub> (3-Cl-Ph) |
| C-586    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH <sub>2</sub> (3-Cl-Ph) |
| C-587    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH <sub>2</sub> (3-Cl-Ph) |
| C-588    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH <sub>2</sub> (3-Cl-Ph) |
| C-589    | CH <sub>2</sub> Ph                                    | CH <sub>2</sub> (3-Cl-Ph) |
| C-590    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | CH <sub>2</sub> (3-Cl-Ph) |
| C-591    | n-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> (4-Cl-Ph) |
| C-592    | i-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> (4-Cl-Ph) |
| C-593    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH <sub>2</sub> (4-Cl-Ph) |
| C-594    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH <sub>2</sub> (4-Cl-Ph) |
| C-595    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH <sub>2</sub> (4-Cl-Ph) |
| C-596    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH <sub>2</sub> (4-Cl-Ph) |
| C-597    | CH <sub>2</sub> Ph                                    | CH <sub>2</sub> (4-Cl-Ph) |
| C-598    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | CH <sub>2</sub> (4-Cl-Ph) |

| Compound | R <sup>4</sup>  | R <sup>1</sup>   |
|----------|---|--|
| C-599    | n-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> (4-MeO-Ph)   |
| C-600    | i-C <sub>3</sub> H <sub>7</sub>                       | CH <sub>2</sub> (4-MeO-Ph)   |
| C-601    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | CH <sub>2</sub> (4-MeO-Ph)   |
| C-602    | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | CH <sub>2</sub> (4-MeO-Ph)   |
| C-603    | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | CH <sub>2</sub> (4-MeO-Ph)   |
| C-604    | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | CH <sub>2</sub> (4-MeO-Ph)   |
| C-605    | CH <sub>2</sub> Ph                                    | CH <sub>2</sub> (4-MeO-Ph)   |
| C-606    | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)               | CH <sub>2</sub> (4-MeO-Ph)   |
| C-607    | CH <sub>2</sub> CCH                                   | CH <sub>2</sub> CH <sub>2</sub> CH(OCH <sub>3</sub> )CH <sub>3</sub> |
| C-608    | CH <sub>3</sub>                                       | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> SCH <sub>3</sub>     |
| C-609    | CH <sub>3</sub>                                       | NHCH <sub>3</sub>  |
| C-610    | C <sub>2</sub> H <sub>5</sub>                         | NHCH <sub>3</sub>  |
| C-611    | i-C <sub>3</sub> H <sub>7</sub>                       | NHCH <sub>3</sub>  |
| C-612    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | NHCH <sub>3</sub>  |
| C-613    | CH <sub>2</sub> CCH                                   | NHCH <sub>3</sub>  |
| C-614    | CH <sub>2</sub> Ph                                    | NHCH <sub>3</sub>  |
| C-615    | CH <sub>3</sub>                                       | NHC <sub>2</sub> H <sub>5</sub>                                      |
| C-616    | C <sub>2</sub> H <sub>5</sub>                         | NHC <sub>2</sub> H <sub>5</sub>                                      |
| C-617    | i-C <sub>3</sub> H <sub>7</sub>                       | NHC <sub>2</sub> H <sub>5</sub>                                      |
| C-618    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | NHC <sub>2</sub> H <sub>5</sub>                                      |
| C-619    | CH <sub>2</sub> CCH                                   | NHC <sub>2</sub> H <sub>5</sub>                                      |
| C-620    | CH <sub>2</sub> Ph                                    | NHC <sub>2</sub> H <sub>5</sub>                                      |
| C-621    | CH <sub>3</sub>                                       | NH(i-C <sub>3</sub> H <sub>7</sub> )                                 |
| C-622    | C <sub>2</sub> H <sub>5</sub>                         | NH(i-C <sub>3</sub> H <sub>7</sub> )                                 |
| C-623    | i-C <sub>3</sub> H <sub>7</sub>                       | NH(i-C <sub>3</sub> H <sub>7</sub> )                                 |
| C-624    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | NH(i-C <sub>3</sub> H <sub>7</sub> )                                 |
| C-625    | CH <sub>2</sub> CCH                                   | NH(i-C <sub>3</sub> H <sub>7</sub> )                                 |
| C-626    | CH <sub>2</sub> Ph                                    | NH(i-C <sub>3</sub> H <sub>7</sub> )                                 |
| C-627    | CH <sub>3</sub>                                       | NH(t-C <sub>4</sub> H <sub>9</sub> )                                 |
| C-628    | C <sub>2</sub> H <sub>5</sub>                         | NH(t-C <sub>4</sub> H <sub>9</sub> )                                 |
| C-629    | i-C <sub>3</sub> H <sub>7</sub>                       | NH(t-C <sub>4</sub> H <sub>9</sub> )                                 |
| C-630    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | NH(t-C <sub>4</sub> H <sub>9</sub> )                                 |
| C-631    | CH <sub>2</sub> CCH                                   | NH(t-C <sub>4</sub> H <sub>9</sub> )                                 |
| C-632    | CH <sub>2</sub> Ph                                    | NH(t-C <sub>4</sub> H <sub>9</sub> )                                 |
| C-633    | CH <sub>3</sub>                                       | NH(cyclo-C <sub>6</sub> H <sub>11</sub> )                            |
| C-634    | C <sub>2</sub> H <sub>5</sub>                         | NH(cyclo-C <sub>6</sub> H <sub>11</sub> )                            |
| C-635    | i-C <sub>3</sub> H <sub>7</sub>                       | NH(cyclo-C <sub>6</sub> H <sub>11</sub> )                            |
| C-636    | CH <sub>2</sub> CH=CH <sub>2</sub>                    | NH(cyclo-C <sub>6</sub> H <sub>11</sub> )                            |
| C-637    | CH <sub>2</sub> CCH                                   | NH(cyclo-C <sub>6</sub> H <sub>11</sub> )                            |
| C-638    | CH <sub>2</sub> Ph                                    | NH(cyclo-C <sub>6</sub> H <sub>11</sub> )                            |
| C-639    | CH <sub>3</sub>                                       | NHCH <sub>2</sub> Ph   |
| C-640    | C <sub>2</sub> H <sub>5</sub>                         | NHCH <sub>2</sub> Ph   |

| Compound | R <sup>4</sup>                     | R <sup>1</sup>   |
|----------|------------------------------------|--|
| C-641    | i-C <sub>3</sub> H <sub>7</sub>    | NHCH <sub>2</sub> Ph   |
| C-642    | CH <sub>2</sub> CH=CH <sub>2</sub> | NHCH <sub>2</sub> Ph   |
| C-643    | CH <sub>2</sub> CCH                | NHCH <sub>2</sub> Ph   |
| C-644    | CH <sub>2</sub> Ph                 | NHCH <sub>2</sub> Ph   |
| C-645    | CH <sub>3</sub>                    | NHPh   |
| C-646    | C <sub>2</sub> H <sub>5</sub>      | NHPh   |
| C-647    | i-C <sub>3</sub> H <sub>7</sub>    | NHPh   |
| C-648    | t-C <sub>4</sub> H <sub>9</sub>    | NHPh   |
| C-649    | CH <sub>2</sub> CCH                | NHPh   |
| C-650    | CH <sub>2</sub> Ph                 | NHPh   |
| C-651    | CH <sub>2</sub> CCH                | CH <sub>2</sub> CH <sub>2</sub> CH(CH <sub>3</sub> )OCH <sub>3</sub> |

Table 4

Compounds of formula (Id):



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(Id)

| Compound | Y | R <sup>2</sup>  | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|---|----------------|----------------|----------------|----------------|
| D-1      | S | H   | H              | H              | H              | H              |
| D-2      | S | CH <sub>3</sub>                                       | H              | H              | H              | H              |
| D-3      | S | C <sub>2</sub> H <sub>5</sub>                         | H              | H              | H              | H              |
| D-4      | S | n-C <sub>3</sub> H <sub>7</sub>                       | H              | H              | H              | H              |
| D-5      | S | i-C <sub>3</sub> H <sub>7</sub>                       | H              | H              | H              | H              |
| D-6      | S | n-C <sub>4</sub> H <sub>9</sub>                       | H              | H              | H              | H              |
| D-7      | S | s-C <sub>4</sub> H <sub>9</sub>                       | H              | H              | H              | H              |
| D-8      | S | i-C <sub>4</sub> H <sub>9</sub>                       | H              | H              | H              | H              |
| D-9      | S | t-C <sub>4</sub> H <sub>9</sub>                       | H              | H              | H              | H              |
| D-10     | S | n-C <sub>5</sub> H <sub>11</sub>                      | H              | H              | H              | H              |
| D-11     | S | n-C <sub>6</sub> H <sub>13</sub>                      | H              | H              | H              | H              |
| D-12     | S | CH <sub>2</sub> CH=CH <sub>2</sub>                    | H              | H              | H              | H              |
| D-13     | S | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   | H              | H              | H              | H              |
| D-14     | S | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> | H              | H              | H              | H              |
| D-15     | S | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   | H              | H              | H              | H              |
| D-16     | S | CH <sub>2</sub> CCl=CH <sub>2</sub>                   | H              | H              | H              | H              |
| D-17     | S | CH <sub>2</sub> CH=CCl <sub>2</sub>                   | H              | H              | H              | H              |
| D-18     | S | CH <sub>2</sub> CH=CHCF <sub>3</sub>                  | H              | H              | H              | H              |
| D-19     | S | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>                | H              | H              | H              | H              |

| Compound | Y | R <sup>2</sup>   | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|--|----------------|----------------|----------------|----------------|
| D-20     | S | CH <sub>2</sub> CCH  | H              | H              | H              | H              |
| D-21     | S | CH <sub>2</sub> CCCH <sub>3</sub>  | H              | H              | H              | H              |
| D-22     | S | CH <sub>2</sub> CF <sub>3</sub>  | H              | H              | H              | H              |
| D-23     | S | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | H              | H              | H              | H              |
| D-24     | S | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H              | H              | H              | H              |
| D-25     | S | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | H              | H              | H              | H              |
| D-26     | S | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | H              | H              | H              | H              |
| D-27     | S | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | H              | H              | H              | H              |
| D-28     | S | CH <sub>2</sub> CN   | H              | H              | H              | H              |
| D-29     | S | C(CH <sub>3</sub> ) <sub>2</sub> CN  | H              | H              | H              | H              |
| D-30     | S | C(CH <sub>3</sub> )(i-C <sub>3</sub> H <sub>7</sub> )CN                        | H              | H              | H              | H              |
| D-31     | S | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                | H              | H              | H              | H              |
| D-32     | S | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  | H              | H              | H              | H              |
| D-33     | S | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            | H              | H              | H              | H              |
| D-34     | S | cyclo-C <sub>3</sub> H <sub>7</sub>  | H              | H              | H              | H              |
| D-35     | S | cyclo-C <sub>5</sub> H <sub>9</sub>  | H              | H              | H              | H              |
| D-36     | S | cyclo-C <sub>6</sub> H <sub>11</sub>   | H              | H              | H              | H              |
| D-37     | S | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | H              | H              | H              | H              |
| D-38     | S | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | H              | H              | H              | H              |
| D-39     | S | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | H              | H              | H              | H              |
| D-40     | S | CH <sub>2</sub> Ph   | H              | H              | H              | H              |
| D-41     | S | CH <sub>2</sub> (2-Cl-Ph)  | H              | H              | H              | H              |
| D-42     | S | CH <sub>2</sub> (3-Cl-Ph)  | H              | H              | H              | H              |
| D-43     | S | CH <sub>2</sub> (4-Cl-Ph)  | H              | H              | H              | H              |
| D-44     | S | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | H              | H              | H              | H              |
| D-45     | S | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | H              | H              | H              | H              |
| D-46     | S | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | H              | H              | H              | H              |
| D-47     | S | CH <sub>2</sub> (2-F-Ph)   | H              | H              | H              | H              |
| D-48     | S | CH <sub>2</sub> (3-F-Ph)   | H              | H              | H              | H              |
| D-49     | S | CH <sub>2</sub> (4-F-Ph)   | H              | H              | H              | H              |
| D-50     | S | CH <sub>2</sub> (2-OMe-Ph)   | H              | H              | H              | H              |
| D-51     | S | CH <sub>2</sub> (3-OMe-Ph)   | H              | H              | H              | H              |
| D-52     | S | CH <sub>2</sub> (4-OMe-Ph)   | H              | H              | H              | H              |
| D-53     | S | CH(CH <sub>3</sub> )Ph   | H              | H              | H              | H              |
| D-54     | S | CH(CH <sub>3</sub> )(2-Cl-Ph)  | H              | H              | H              | H              |
| D-55     | S | CH(CH <sub>3</sub> )(3-Cl-Ph)  | H              | H              | H              | H              |
| D-56     | S | CH(CH <sub>3</sub> )(4-Cl-Ph)  | H              | H              | H              | H              |
| D-57     | S | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | H              | H              | H              | H              |
| D-58     | S | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | H              | H              | H              | H              |
| D-59     | S | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | H              | H              | H              | H              |
| D-60     | S | Ph   | H              | H              | H              | H              |
| D-61     | S | 2-Cl-Ph  | H              | H              | H              | H              |

| Compound | Y | R <sup>2</sup>                                       | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|--|----------------|----------------|----------------|----------------|
| D-62     | S | 3-Cl-Ph  | H              | H              | H              | H              |
| D-63     | S | 4-Cl-Ph  | H              | H              | H              | H              |
| D-64     | S | 2-CF <sub>3</sub> -Ph                                | H              | H              | H              | H              |
| D-65     | S | 3-CF <sub>3</sub> -Ph                                | H              | H              | H              | H              |
| D-66     | S | 4-CF <sub>3</sub> -Ph                                | H              | H              | H              | H              |
| D-67     | S | 2-CH <sub>3</sub> O-Ph                               | H              | H              | H              | H              |
| D-68     | S | 3-CH <sub>3</sub> O-Ph                               | H              | H              | H              | H              |
| D-69     | S | 4-CH <sub>3</sub> O-Ph                               | H              | H              | H              | H              |
| D-70     | S | 4-CF <sub>3</sub> O-Ph                               | H              | H              | H              | H              |
| D-71     | S | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph               | H              | H              | H              | H              |
| D-72     | S | 4-PhO-Ph   | H              | H              | H              | H              |
| D-73     | S | 4-(4-Cl-Ph)O-Ph                                      | H              | H              | H              | H              |
| D-74     | S | 4-(4-CF <sub>3</sub> -Ph)O-Ph                        | H              | H              | H              | H              |
| D-75     | S | OCH <sub>3</sub>                                     | H              | H              | H              | H              |
| D-76     | S | OC <sub>2</sub> H <sub>5</sub>                       | H              | H              | H              | H              |
| D-77     | S | O-n-C <sub>3</sub> H <sub>7</sub>                    | H              | H              | H              | H              |
| D-78     | S | O-i-C <sub>3</sub> H <sub>7</sub>                    | H              | H              | H              | H              |
| D-79     | S | O-n-C <sub>4</sub> H <sub>9</sub>                    | H              | H              | H              | H              |
| D-80     | S | O-i-C <sub>4</sub> H <sub>7</sub>                    | H              | H              | H              | H              |
| D-81     | S | O-sec-C <sub>4</sub> H <sub>9</sub>                  | H              | H              | H              | H              |
| D-82     | S | O-t-C <sub>4</sub> H <sub>9</sub>                    | H              | H              | H              | H              |
| D-83     | S | O-n-C <sub>5</sub> H <sub>11</sub>                   | H              | H              | H              | H              |
| D-84     | S | OCH <sub>2</sub> CH=CH <sub>2</sub>                  | H              | H              | H              | H              |
| D-85     | S | OCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub> | H              | H              | H              | H              |
| D-86     | S | OCH <sub>2</sub> CH=CHCH <sub>3</sub>                | H              | H              | H              | H              |
| D-87     | S | OCH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub> | H              | H              | H              | H              |
| D-88     | S | OCH <sub>2</sub> CCH                                 | H              | H              | H              | H              |
| D-89     | S | OCH <sub>2</sub> CCCH <sub>3</sub>                   | H              | H              | H              | H              |
| D-90     | S | OCH <sub>2</sub> Ph                                  | H              | H              | H              | H              |
| D-91     | S | OCH(CH <sub>3</sub> )Ph                              | H              | H              | H              | H              |
| D-92     | S | OCH <sub>2</sub> (2-Cl-Ph)                           | H              | H              | H              | H              |
| D-93     | S | OCH <sub>2</sub> (3-Cl-Ph)                           | H              | H              | H              | H              |
| D-94     | S | OCH <sub>2</sub> (4-Cl-Ph)                           | H              | H              | H              | H              |
| D-95     | S | OCH <sub>2</sub> (2-OCH <sub>3</sub> -Ph)            | H              | H              | H              | H              |
| D-96     | S | OCH <sub>2</sub> (3-OCH <sub>3</sub> -Ph)            | H              | H              | H              | H              |
| D-97     | S | OCH <sub>2</sub> (4-OCH <sub>3</sub> -Ph)            | H              | H              | H              | H              |
| D-98     | S | OCH <sub>2</sub> (2-CF <sub>3</sub> -Ph)             | H              | H              | H              | H              |
| D-99     | S | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)             | H              | H              | H              | H              |
| D-100    | S | OCH <sub>2</sub> (4-CF <sub>3</sub> -Ph)             | H              | H              | H              | H              |
| D-101    | S | OCH <sub>2</sub> (2-NO <sub>2</sub> -Ph)             | H              | H              | H              | H              |
| D-102    | S | OCH <sub>2</sub> (3-NO <sub>2</sub> -Ph)             | H              | H              | H              | H              |
| D-103    | S | OCH <sub>2</sub> (4-NO <sub>2</sub> -Ph)             | H              | H              | H              | H              |

| Compound | Y | R <sup>2</sup>   | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|------------------|----------------|----------------|----------------|----------------|
| D-104    | S | CH3              |                | O              | H              | H              |
| D-105    | S | C2H5             |                | O              | H              | H              |
| D-106    | S | n-C3H7           |                | O              | H              | H              |
| D-107    | S | i-C3H7           |                | O              | H              | H              |
| D-108    | S | n-C4H9           |                | O              | H              | H              |
| D-109    | S | s-C4H9           |                | O              | H              | H              |
| D-110    | S | i-C4H9           |                | O              | H              | H              |
| D-111    | S | t-C4H9           |                | O              | H              | H              |
| D-112    | S | n-C5H11          |                | O              | H              | H              |
| D-113    | S | n-C6H13          |                | O              | H              | H              |
| D-114    | S | CH2CH=CH2        |                | O              | H              | H              |
| D-115    | S | CH2C(CH3)=CH2    |                | O              | H              | H              |
| D-116    | S | CH2C(CH3)=CHCH3  |                | O              | H              | H              |
| D-117    | S | CH2CH=C(CH3)2    |                | O              | H              | H              |
| D-118    | S | CH2CCl=CH2       |                | O              | H              | H              |
| D-119    | S | CH2CH=CCl2       |                | O              | H              | H              |
| D-120    | S | CH2CH=CHCF3      |                | O              | H              | H              |
| D-121    | S | CH2CH=CHPh       |                | O              | H              | H              |
| D-122    | S | CH(CH3)CH=CH2    |                | O              | H              | H              |
| D-123    | S | CH2CCH           |                | O              | H              | H              |
| D-124    | S | CH2CCCCH3        |                | O              | H              | H              |
| D-125    | S | CH2CF3           |                | O              | H              | H              |
| D-126    | S | CH2CH2OCH3       |                | O              | H              | H              |
| D-127    | S | CH2CH2OC2H5      |                | O              | H              | H              |
| D-128    | S | CH2CH2CH2OCH3    |                | O              | H              | H              |
| D-129    | S | CH2CH2CH2OC2H5   |                | O              | H              | H              |
| D-130    | S | CH2CH(OCH3)2     |                | O              | H              | H              |
| D-131    | S | CH2CN            |                | O              | H              | H              |
| D-132    | S | C(CH3)2CN        |                | O              | H              | H              |
| D-133    | S | C(CH3)(i-C3H7)CN |                | O              | H              | H              |
| D-134    | S | CH2CO2CH3        |                | O              | H              | H              |
| D-135    | S | CH2CO2C2H5       |                | O              | H              | H              |
| D-136    | S | CH(CH3)CO2CH3    |                | O              | H              | H              |
| D-137    | S | cyclo-C3H7       |                | O              | H              | H              |
| D-138    | S | cyclo-C5H9       |                | O              | H              | H              |
| D-139    | S | cyclo-C6H11      |                | O              | H              | H              |
| D-140    | S | CH2(cyclo-C3H5)  |                | O              | H              | H              |
| D-141    | S | CH2(cyclo-C5H9)  |                | O              | H              | H              |
| D-142    | S | CH2(cyclo-C6H11) |                | O              | H              | H              |
| D-143    | S | CH2Ph            |                | O              | H              | H              |
| D-144    | S | CH2(2-Cl-Ph)     |                | O              | H              | H              |
| D-145    | S | CH2(3-Cl-Ph)     |                | O              | H              | H              |

| Compound | Y | R <sup>2</sup>                              | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|---|----------------|----------------|----------------|----------------|
| D-146    | S | CH2(4-Cl-Ph)                                |                | O              | H              | H              |
| D-147    | S | CH2(2-CF <sub>3</sub> -Ph)                  |                | O              | H              | H              |
| D-148    | S | CH2(3-CF <sub>3</sub> -Ph)                  |                | O              | H              | H              |
| D-149    | S | CH2(4-CF <sub>3</sub> -Ph)                  |                | O              | H              | H              |
| D-150    | S | CH2(2-F-Ph)                                 |                | O              | H              | H              |
| D-151    | S | CH2(3-F-Ph)                                 |                | O              | H              | H              |
| D-152    | S | CH2(4-F-Ph)                                 |                | O              | H              | H              |
| D-153    | S | CH2(2-OMe-Ph)                               |                | O              | H              | H              |
| D-154    | S | CH2(3-OMe-Ph)                               |                | O              | H              | H              |
| D-155    | S | CH2(4-OMe-Ph)                               |                | O              | H              | H              |
| D-156    | S | CH(CH <sub>3</sub> )Ph                      |                | O              | H              | H              |
| D-157    | S | CH(CH <sub>3</sub> )(2-Cl-Ph)               |                | O              | H              | H              |
| D-158    | S | CH(CH <sub>3</sub> )(3-Cl-Ph)               |                | O              | H              | H              |
| D-159    | S | CH(CH <sub>3</sub> )(4-Cl-Ph)               |                | O              | H              | H              |
| D-160    | S | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph) |                | O              | H              | H              |
| D-161    | S | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph) |                | O              | H              | H              |
| D-162    | S | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph) |                | O              | H              | H              |
| D-163    | S | Ph  |                | O              | H              | H              |
| D-164    | S | 2-Cl-Ph                                     |                | O              | H              | H              |
| D-165    | S | 3-Cl-Ph                                     |                | O              | H              | H              |
| D-166    | S | 4-Cl-Ph                                     |                | O              | H              | H              |
| D-167    | S | 2-CF <sub>3</sub> -Ph                       |                | O              | H              | H              |
| D-168    | S | 3-CF <sub>3</sub> -Ph                       |                | O              | H              | H              |
| D-169    | S | 4-CF <sub>3</sub> -Ph                       |                | O              | H              | H              |
| D-170    | S | 2-CH <sub>3</sub> O-Ph                      |                | O              | H              | H              |
| D-171    | S | 3-CH <sub>3</sub> O-Ph                      |                | O              | H              | H              |
| D-172    | S | 4-CH <sub>3</sub> O-Ph                      |                | O              | H              | H              |
| D-173    | S | 4-CF <sub>3</sub> O-Ph                      |                | O              | H              | H              |
| D-174    | S | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph      |                | O              | H              | H              |
| D-175    | S | 4-PhO-Ph                                    |                | O              | H              | H              |
| D-176    | S | 4-(4-Cl-Ph)O-Ph                             |                | O              | H              | H              |
| D-177    | S | 4-(4-CF <sub>3</sub> -Ph)O-Ph               |                | O              | H              | H              |
| D-178    | S | OCH <sub>3</sub>                            |                | O              | H              | H              |
| D-179    | S | OC <sub>2</sub> H <sub>5</sub>              |                | O              | H              | H              |
| D-180    | S | O-n-C <sub>3</sub> H <sub>7</sub>           |                | O              | H              | H              |
| D-181    | S | O-i-C <sub>3</sub> H <sub>7</sub>           |                | O              | H              | H              |
| D-182    | S | O-n-C <sub>4</sub> H <sub>9</sub>           |                | O              | H              | H              |
| D-183    | S | O-i-C <sub>4</sub> H <sub>7</sub>           |                | O              | H              | H              |
| D-184    | S | O-sec-C <sub>4</sub> H <sub>9</sub>         |                | O              | H              | H              |
| D-185    | S | O-t-C <sub>4</sub> H <sub>9</sub>           |                | O              | H              | H              |
| D-186    | S | O-n-C <sub>5</sub> H <sub>11</sub>          |                | O              | H              | H              |
| D-187    | S | OCH <sub>2</sub> CH=CH <sub>2</sub>         |                | O              | H              | H              |

| Compound | Y | R <sup>2</sup>  | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup>  | R <sup>b</sup> |
|----------|---|---|----------------|----------------|-----------------|----------------|
| D-188    | S | OCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>  |                | O              | H               | H              |
| D-189    | S | OCH <sub>2</sub> CH=CHCH <sub>3</sub>                 |                | O              | H               | H              |
| D-190    | S | OCH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>  |                | O              | H               | H              |
| D-191    | S | OCH <sub>2</sub> CCH                                  |                | O              | H               | H              |
| D-192    | S | OCH <sub>2</sub> CCCH <sub>3</sub>                    |                | O              | H               | H              |
| D-193    | S | OCH <sub>2</sub> Ph                                   |                | O              | H               | H              |
| D-194    | S | OCH(CH <sub>3</sub> )Ph                               |                | O              | H               | H              |
| D-195    | S | OCH <sub>2</sub> (2-Cl-Ph)                            |                | O              | H               | H              |
| D-196    | S | OCH <sub>2</sub> (3-Cl-Ph)                            |                | O              | H               | H              |
| D-197    | S | OCH <sub>2</sub> (4-Cl-Ph)                            |                | O              | H               | H              |
| D-198    | S | OCH <sub>2</sub> (2-OCH <sub>3</sub> -Ph)             |                | O              | H               | H              |
| D-199    | S | OCH <sub>2</sub> (3-OCH <sub>3</sub> -Ph)             |                | O              | H               | H              |
| D-200    | S | OCH <sub>2</sub> (4-OCH <sub>3</sub> -Ph)             |                | O              | H               | H              |
| D-201    | S | OCH <sub>2</sub> (2-CF <sub>3</sub> -Ph)              |                | O              | H               | H              |
| D-202    | S | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)              |                | O              | H               | H              |
| D-203    | S | OCH <sub>2</sub> (4-CF <sub>3</sub> -Ph)              |                | O              | H               | H              |
| D-204    | S | OCH <sub>2</sub> (2-NO <sub>2</sub> -Ph)              |                | O              | H               | H              |
| D-205    | S | OCH <sub>2</sub> (3-NO <sub>2</sub> -Ph)              |                | O              | H               | H              |
| D-206    | S | OCH <sub>2</sub> (4-NO <sub>2</sub> -Ph)              |                | O              | H               | H              |
| D-207    | S | CH <sub>3</sub>                                       |                | O              | CH <sub>3</sub> | H              |
| D-208    | S | C <sub>2</sub> H <sub>5</sub>                         |                | O              | CH <sub>3</sub> | H              |
| D-209    | S | n-C <sub>3</sub> H <sub>7</sub>                       |                | O              | CH <sub>3</sub> | H              |
| D-210    | S | i-C <sub>3</sub> H <sub>7</sub>                       |                | O              | CH <sub>3</sub> | H              |
| D-211    | S | n-C <sub>4</sub> H <sub>9</sub>                       |                | O              | CH <sub>3</sub> | H              |
| D-212    | S | s-C <sub>4</sub> H <sub>9</sub>                       |                | O              | CH <sub>3</sub> | H              |
| D-213    | S | i-C <sub>4</sub> H <sub>9</sub>                       |                | O              | CH <sub>3</sub> | H              |
| D-214    | S | t-C <sub>4</sub> H <sub>9</sub>                       |                | O              | CH <sub>3</sub> | H              |
| D-215    | S | n-C <sub>5</sub> H <sub>11</sub>                      |                | O              | CH <sub>3</sub> | H              |
| D-216    | S | n-C <sub>6</sub> H <sub>13</sub>                      |                | O              | CH <sub>3</sub> | H              |
| D-217    | S | CH <sub>2</sub> CH=CH <sub>2</sub>                    |                | O              | CH <sub>3</sub> | H              |
| D-218    | S | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>   |                | O              | CH <sub>3</sub> | H              |
| D-219    | S | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub> |                | O              | CH <sub>3</sub> | H              |
| D-220    | S | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>   |                | O              | CH <sub>3</sub> | H              |
| D-221    | S | CH <sub>2</sub> CCl=CH <sub>2</sub>                   |                | O              | CH <sub>3</sub> | H              |
| D-222    | S | CH <sub>2</sub> CH=CCl <sub>2</sub>                   |                | O              | CH <sub>3</sub> | H              |
| D-223    | S | CH <sub>2</sub> CH=CHCF <sub>3</sub>                  |                | O              | CH <sub>3</sub> | H              |
| D-224    | S | CH <sub>2</sub> CH=CHPh                               |                | O              | CH <sub>3</sub> | H              |
| D-225    | S | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>                |                | O              | CH <sub>3</sub> | H              |
| D-226    | S | CH <sub>2</sub> CCH                                   |                | O              | CH <sub>3</sub> | H              |
| D-227    | S | CH <sub>2</sub> CCCH <sub>3</sub>                     |                | O              | CH <sub>3</sub> | H              |
| D-228    | S | CH <sub>2</sub> CF <sub>3</sub>                       |                | O              | CH <sub>3</sub> | H              |
| D-229    | S | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>      |                | O              | CH <sub>3</sub> | H              |

| Compound | Y | R <sup>2</sup>   | R <sup>c</sup> | R <sup>d</sup>  | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|--|----------------|-----------------|----------------|----------------|
| D-230    | S | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | O              | CH <sub>3</sub> | H              |                |
| D-231    | S | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | O              | CH <sub>3</sub> | H              |                |
| D-232    | S | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | O              | CH <sub>3</sub> | H              |                |
| D-233    | S | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | O              | CH <sub>3</sub> | H              |                |
| D-234    | S | CH <sub>2</sub> CN   | O              | CH <sub>3</sub> | H              |                |
| D-235    | S | C(CH <sub>3</sub> ) <sub>2</sub> CN  | O              | CH <sub>3</sub> | H              |                |
| D-236    | S | C(CH <sub>3</sub> )(i-C <sub>3</sub> H <sub>7</sub> )CN                        | O              | CH <sub>3</sub> | H              |                |
| D-237    | S | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                | O              | CH <sub>3</sub> | H              |                |
| D-238    | S | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  | O              | CH <sub>3</sub> | H              |                |
| D-239    | S | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            | O              | CH <sub>3</sub> | H              |                |
| D-240    | S | cyclo-C <sub>3</sub> H <sub>7</sub>  | O              | CH <sub>3</sub> | H              |                |
| D-241    | S | cyclo-C <sub>5</sub> H <sub>9</sub>  | O              | CH <sub>3</sub> | H              |                |
| D-242    | S | cyclo-C <sub>6</sub> H <sub>11</sub>   | O              | CH <sub>3</sub> | H              |                |
| D-243    | S | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | O              | CH <sub>3</sub> | H              |                |
| D-244    | S | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | O              | CH <sub>3</sub> | H              |                |
| D-245    | S | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | O              | CH <sub>3</sub> | H              |                |
| D-246    | S | CH <sub>2</sub> Ph   | O              | CH <sub>3</sub> | H              |                |
| D-247    | S | CH <sub>2</sub> (2-Cl-Ph)  | O              | CH <sub>3</sub> | H              |                |
| D-248    | S | CH <sub>2</sub> (3-Cl-Ph)  | O              | CH <sub>3</sub> | H              |                |
| D-249    | S | CH <sub>2</sub> (4-Cl-Ph)  | O              | CH <sub>3</sub> | H              |                |
| D-250    | S | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | O              | CH <sub>3</sub> | H              |                |
| D-251    | S | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | O              | CH <sub>3</sub> | H              |                |
| D-252    | S | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | O              | CH <sub>3</sub> | H              |                |
| D-253    | S | CH <sub>2</sub> (2-F-Ph)   | O              | CH <sub>3</sub> | H              |                |
| D-254    | S | CH <sub>2</sub> (3-F-Ph)   | O              | CH <sub>3</sub> | H              |                |
| D-255    | S | CH <sub>2</sub> (4-F-Ph)   | O              | CH <sub>3</sub> | H              |                |
| D-256    | S | CH <sub>2</sub> (2-OMe-Ph)   | O              | CH <sub>3</sub> | H              |                |
| D-257    | S | CH <sub>2</sub> (3-OMe-Ph)   | O              | CH <sub>3</sub> | H              |                |
| D-258    | S | CH <sub>2</sub> (4-OMe-Ph)   | O              | CH <sub>3</sub> | H              |                |
| D-259    | S | CH(CH <sub>3</sub> )Ph   | O              | CH <sub>3</sub> | H              |                |
| D-260    | S | CH(CH <sub>3</sub> )(2-Cl-Ph)  | O              | CH <sub>3</sub> | H              |                |
| D-261    | S | CH(CH <sub>3</sub> )(3-Cl-Ph)  | O              | CH <sub>3</sub> | H              |                |
| D-262    | S | CH(CH <sub>3</sub> )(4-Cl-Ph)  | O              | CH <sub>3</sub> | H              |                |
| D-263    | S | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | O              | CH <sub>3</sub> | H              |                |
| D-264    | S | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | O              | CH <sub>3</sub> | H              |                |
| D-265    | S | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | O              | CH <sub>3</sub> | H              |                |
| D-266    | S | Ph   | O              | CH <sub>3</sub> | H              |                |
| D-267    | S | 2-Cl-Ph  | O              | CH <sub>3</sub> | H              |                |
| D-268    | S | 3-Cl-Ph  | O              | CH <sub>3</sub> | H              |                |
| D-269    | S | 4-Cl-Ph  | O              | CH <sub>3</sub> | H              |                |
| D-270    | S | 2-CF <sub>3</sub> -Ph  | O              | CH <sub>3</sub> | H              |                |
| D-271    | S | 3-CF <sub>3</sub> -Ph  | O              | CH <sub>3</sub> | H              |                |

| Compound | Y | R <sup>2</sup>                                       | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup>  | R <sup>b</sup>  |
|----------|---|--|----------------|----------------|-----------------|-----------------|
| D-272    | S | 4-CF <sub>3</sub> -Ph                                |                | O              | CH <sub>3</sub> | H               |
| D-273    | S | 2-CH <sub>3</sub> O-Ph                               |                | O              | CH <sub>3</sub> | H               |
| D-274    | S | 3-CH <sub>3</sub> O-Ph                               |                | O              | CH <sub>3</sub> | H               |
| D-275    | S | 4-CH <sub>3</sub> O-Ph                               |                | O              | CH <sub>3</sub> | H               |
| D-276    | S | 4-CF <sub>3</sub> O-Ph                               |                | O              | CH <sub>3</sub> | H               |
| D-277    | S | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph               |                | O              | CH <sub>3</sub> | H               |
| D-278    | S | 4-PhO-Ph   |                | O              | CH <sub>3</sub> | H               |
| D-279    | S | 4-(4-Cl-Ph)O-Ph                                      |                | O              | CH <sub>3</sub> | H               |
| D-280    | S | 4-(4-CF <sub>3</sub> -Ph)O-Ph                        |                | O              | CH <sub>3</sub> | H               |
| D-281    | S | OCH <sub>3</sub>                                     |                | O              | CH <sub>3</sub> | H               |
| D-282    | S | OC <sub>2</sub> H <sub>5</sub>                       |                | O              | CH <sub>3</sub> | H               |
| D-283    | S | O-n-C <sub>3</sub> H <sub>7</sub>                    |                | O              | CH <sub>3</sub> | H               |
| D-284    | S | O-i-C <sub>3</sub> H <sub>7</sub>                    |                | O              | CH <sub>3</sub> | H               |
| D-285    | S | O-n-C <sub>4</sub> H <sub>9</sub>                    |                | O              | CH <sub>3</sub> | H               |
| D-286    | S | O-i-C <sub>4</sub> H <sub>7</sub>                    |                | O              | CH <sub>3</sub> | H               |
| D-287    | S | O-sec-C <sub>4</sub> H <sub>9</sub>                  |                | O              | CH <sub>3</sub> | H               |
| D-288    | S | O-t-C <sub>4</sub> H <sub>9</sub>                    |                | O              | CH <sub>3</sub> | H               |
| D-289    | S | O-n-C <sub>5</sub> H <sub>11</sub>                   |                | O              | CH <sub>3</sub> | H               |
| D-290    | S | OCH <sub>2</sub> CH=CH <sub>2</sub>                  |                | O              | CH <sub>3</sub> | H               |
| D-291    | S | OCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub> |                | O              | CH <sub>3</sub> | H               |
| D-292    | S | OCH <sub>2</sub> CH=CHCH <sub>3</sub>                |                | O              | CH <sub>3</sub> | H               |
| D-293    | S | OCH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub> |                | O              | CH <sub>3</sub> | H               |
| D-294    | S | OCH <sub>2</sub> CCH                                 |                | O              | CH <sub>3</sub> | H               |
| D-295    | S | OCH <sub>2</sub> CCCH <sub>3</sub>                   |                | O              | CH <sub>3</sub> | H               |
| D-296    | S | OCH <sub>2</sub> Ph                                  |                | O              | CH <sub>3</sub> | H               |
| D-297    | S | OCH(CH <sub>3</sub> )Ph                              |                | O              | CH <sub>3</sub> | H               |
| D-298    | S | OCH <sub>2</sub> (2-Cl-Ph)                           |                | O              | CH <sub>3</sub> | H               |
| D-299    | S | OCH <sub>2</sub> (3-Cl-Ph)                           |                | O              | CH <sub>3</sub> | H               |
| D-300    | S | OCH <sub>2</sub> (4-Cl-Ph)                           |                | O              | CH <sub>3</sub> | H               |
| D-301    | S | OCH <sub>2</sub> (2-OCH <sub>3</sub> -Ph)            |                | O              | CH <sub>3</sub> | H               |
| D-302    | S | OCH <sub>2</sub> (3-OCH <sub>3</sub> -Ph)            |                | O              | CH <sub>3</sub> | H               |
| D-303    | S | OCH <sub>2</sub> (4-OCH <sub>3</sub> -Ph)            |                | O              | CH <sub>3</sub> | H               |
| D-304    | S | OCH <sub>2</sub> (2-CF <sub>3</sub> -Ph)             |                | O              | CH <sub>3</sub> | H               |
| D-305    | S | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)             |                | O              | CH <sub>3</sub> | H               |
| D-306    | S | OCH <sub>2</sub> (4-CF <sub>3</sub> -Ph)             |                | O              | CH <sub>3</sub> | H               |
| D-307    | S | OCH <sub>2</sub> (2-NO <sub>2</sub> -Ph)             |                | O              | CH <sub>3</sub> | H               |
| D-308    | S | OCH <sub>2</sub> (3-NO <sub>2</sub> -Ph)             |                | O              | CH <sub>3</sub> | H               |
| D-309    | S | OCH <sub>2</sub> (4-NO <sub>2</sub> -Ph)             |                | O              | CH <sub>3</sub> | H               |
| D-310    | S | CH <sub>3</sub>                                      |                | O              | CH <sub>3</sub> | CH <sub>3</sub> |
| D-311    | S | C <sub>2</sub> H <sub>5</sub>                        |                | O              | CH <sub>3</sub> | CH <sub>3</sub> |
| D-312    | S | n-C <sub>3</sub> H <sub>7</sub>                      |                | O              | CH <sub>3</sub> | CH <sub>3</sub> |
| D-313    | S | i-C <sub>3</sub> H <sub>7</sub>                      |                | O              | CH <sub>3</sub> | CH <sub>3</sub> |

| Compound | Y | R <sup>2</sup>   | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|------------------|----------------|----------------|----------------|----------------|
| D-314    | S | n-C4H9           |                | O              | CH3            | CH3            |
| D-315    | S | s-C4H9           |                | O              | CH3            | CH3            |
| D-316    | S | i-C4H9           |                | O              | CH3            | CH3            |
| D-317    | S | t-C4H9           |                | O              | CH3            | CH3            |
| D-318    | S | n-C5H11          |                | O              | CH3            | CH3            |
| D-319    | S | n-C6H13          |                | O              | CH3            | CH3            |
| D-320    | S | CH2CH=CH2        |                | O              | CH3            | CH3            |
| D-321    | S | CH2C(CH3)=CH2    |                | O              | CH3            | CH3            |
| D-322    | S | CH2C(CH3)=CHCH3  |                | O              | CH3            | CH3            |
| D-323    | S | CH2CH=C(CH3)2    |                | O              | CH3            | CH3            |
| D-324    | S | CH2CCl=CH2       |                | O              | CH3            | CH3            |
| D-325    | S | CH2CH=CCl2       |                | O              | CH3            | CH3            |
| D-326    | S | CH2CH=CHCF3      |                | O              | CH3            | CH3            |
| D-327    | S | CH2CH=CHPh       |                | O              | CH3            | CH3            |
| D-328    | S | CH(CH3)CH=CH2    |                | O              | CH3            | CH3            |
| D-329    | S | CH2CCH           |                | O              | CH3            | CH3            |
| D-330    | S | CH2CCCH3         |                | O              | CH3            | CH3            |
| D-331    | S | CH2CF3           |                | O              | CH3            | CH3            |
| D-332    | S | CH2CH2OCH3       |                | O              | CH3            | CH3            |
| D-333    | S | CH2CH2OC2H5      |                | O              | CH3            | CH3            |
| D-334    | S | CH2CH2CH2OCH3    |                | O              | CH3            | CH3            |
| D-335    | S | CH2CH2CH2OC2H5   |                | O              | CH3            | CH3            |
| D-336    | S | CH2CH(OCH3)2     |                | O              | CH3            | CH3            |
| D-337    | S | CH2CN            |                | O              | CH3            | CH3            |
| D-338    | S | C(CH3)2CN        |                | O              | CH3            | CH3            |
| D-339    | S | C(CH3)(i-C3H7)CN |                | O              | CH3            | CH3            |
| D-340    | S | CH2CO2CH3        |                | O              | CH3            | CH3            |
| D-341    | S | CH2CO2C2H5       |                | O              | CH3            | CH3            |
| D-342    | S | CH(CH3)CO2CH3    |                | O              | CH3            | CH3            |
| D-343    | S | cyclo-C3H7       |                | O              | CH3            | CH3            |
| D-344    | S | cyclo-C5H9       |                | O              | CH3            | CH3            |
| D-345    | S | cyclo-C6H11      |                | O              | CH3            | CH3            |
| D-346    | S | CH2(cyclo-C3H5)  |                | O              | CH3            | CH3            |
| D-347    | S | CH2(cyclo-C5H9)  |                | O              | CH3            | CH3            |
| D-348    | S | CH2(cyclo-C6H11) |                | O              | CH3            | CH3            |
| D-349    | S | CH2Ph            |                | O              | CH3            | CH3            |
| D-350    | S | CH2(2-Cl-Ph)     |                | O              | CH3            | CH3            |
| D-351    | S | CH2(3-Cl-Ph)     |                | O              | CH3            | CH3            |
| D-352    | S | CH2(4-Cl-Ph)     |                | O              | CH3            | CH3            |
| D-353    | S | CH2(2-CF3-Ph)    |                | O              | CH3            | CH3            |
| D-354    | S | CH2(3-CF3-Ph)    |                | O              | CH3            | CH3            |
| D-355    | S | CH2(4-CF3-Ph)    |                | O              | CH3            | CH3            |

| Compound | Y | R <sup>2</sup>    | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|-------------------|----------------|----------------|----------------|----------------|
| D-356    | S | CH2(2-F-Ph)       |                | O              | CH3            | CH3            |
| D-357    | S | CH2(3-F-Ph)       |                | O              | CH3            | CH3            |
| D-358    | S | CH2(4-F-Ph)       |                | O              | CH3            | CH3            |
| D-359    | S | CH2(2-OMe-Ph)     |                | O              | CH3            | CH3            |
| D-360    | S | CH2(3-OMe-Ph)     |                | O              | CH3            | CH3            |
| D-361    | S | CH2(4-OMe-Ph)     |                | O              | CH3            | CH3            |
| D-362    | S | CH(CH3)Ph         |                | O              | CH3            | CH3            |
| D-363    | S | CH(CH3)(2-Cl-Ph)  |                | O              | CH3            | CH3            |
| D-364    | S | CH(CH3)(3-Cl-Ph)  |                | O              | CH3            | CH3            |
| D-365    | S | CH(CH3)(4-Cl-Ph)  |                | O              | CH3            | CH3            |
| D-366    | S | CH(CH3)(2-CF3-Ph) |                | O              | CH3            | CH3            |
| D-367    | S | CH(CH3)(3-CF3-Ph) |                | O              | CH3            | CH3            |
| D-368    | S | CH(CH3)(4-CF3-Ph) |                | O              | CH3            | CH3            |
| D-369    | S | Ph                |                | O              | CH3            | CH3            |
| D-370    | S | 2-Cl-Ph           |                | O              | CH3            | CH3            |
| D-371    | S | 3-Cl-Ph           |                | O              | CH3            | CH3            |
| D-372    | S | 4-Cl-Ph           |                | O              | CH3            | CH3            |
| D-373    | S | 2-CF3-Ph          |                | O              | CH3            | CH3            |
| D-374    | S | 3-CF3-Ph          |                | O              | CH3            | CH3            |
| D-375    | S | 4-CF3-Ph          |                | O              | CH3            | CH3            |
| D-376    | S | 2-CH3O-Ph         |                | O              | CH3            | CH3            |
| D-377    | S | 3-CH3O-Ph         |                | O              | CH3            | CH3            |
| D-378    | S | 4-CH3O-Ph         |                | O              | CH3            | CH3            |
| D-379    | S | 4-CF3O-Ph         |                | O              | CH3            | CH3            |
| D-380    | S | 4-CF3CH2O-Ph      |                | O              | CH3            | CH3            |
| D-381    | S | 4-PhO-Ph          |                | O              | CH3            | CH3            |
| D-382    | S | 4-(4-Cl-Ph)O-Ph   |                | O              | CH3            | CH3            |
| D-383    | S | 4-(4-CF3-Ph)O-Ph  |                | O              | CH3            | CH3            |
| D-384    | S | OCH3              |                | O              | CH3            | CH3            |
| D-385    | S | OC2H5             |                | O              | CH3            | CH3            |
| D-386    | S | O-n-C3H7          |                | O              | CH3            | CH3            |
| D-387    | S | O-i-C3H7          |                | O              | CH3            | CH3            |
| D-388    | S | O-n-C4H9          |                | O              | CH3            | CH3            |
| D-389    | S | O-i-C4H7          |                | O              | CH3            | CH3            |
| D-390    | S | O-sec-C4H9        |                | O              | CH3            | CH3            |
| D-391    | S | O-t-C4H9          |                | O              | CH3            | CH3            |
| D-392    | S | O-n-C5H11         |                | O              | CH3            | CH3            |
| D-393    | S | OCH2CH=CH2        |                | O              | CH3            | CH3            |
| D-394    | S | OCH2C(CH3)=CH2    |                | O              | CH3            | CH3            |
| D-395    | S | OCH2CH=CHCH3      |                | O              | CH3            | CH3            |
| D-396    | S | OCH2CH=C(CH3)2    |                | O              | CH3            | CH3            |
| D-397    | S | OCH2CCH           |                | O              | CH3            | CH3            |

| Compound | Y | R <sup>2</sup>   | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup>                | R <sup>b</sup>  |
|----------|---|--|----------------|----------------|-------------------------------|-----------------|
| D-398    | S | OCH <sub>2</sub> CCCH <sub>3</sub>   |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-399    | S | OCH <sub>2</sub> Ph  |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-400    | S | OCH(CH <sub>3</sub> )Ph  |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-401    | S | OCH <sub>2</sub> (2-Cl-Ph)   |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-402    | S | OCH <sub>2</sub> (3-Cl-Ph)   |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-403    | S | OCH <sub>2</sub> (4-Cl-Ph)   |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-404    | S | OCH <sub>2</sub> (2-OCH <sub>3</sub> -Ph)                                      |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-405    | S | OCH <sub>2</sub> (3-OCH <sub>3</sub> -Ph)                                      |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-406    | S | OCH <sub>2</sub> (4-OCH <sub>3</sub> -Ph)                                      |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-407    | S | OCH <sub>2</sub> (2-CF <sub>3</sub> -Ph)                                       |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-408    | S | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                                       |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-409    | S | OCH <sub>2</sub> (4-CF <sub>3</sub> -Ph)                                       |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-410    | S | OCH <sub>2</sub> (2-NO <sub>2</sub> -Ph)                                       |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-411    | S | OCH <sub>2</sub> (3-NO <sub>2</sub> -Ph)                                       |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-412    | S | OCH <sub>2</sub> (4-NO <sub>2</sub> -Ph)                                       |                | O              | CH <sub>3</sub>               | CH <sub>3</sub> |
| D-413    | S | CH <sub>3</sub>  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-414    | S | C <sub>2</sub> H <sub>5</sub>  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-415    | S | n-C <sub>3</sub> H <sub>7</sub>  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-416    | S | i-C <sub>3</sub> H <sub>7</sub>  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-417    | S | n-C <sub>4</sub> H <sub>9</sub>  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-418    | S | s-C <sub>4</sub> H <sub>9</sub>  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-419    | S | i-C <sub>4</sub> H <sub>9</sub>  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-420    | S | t-C <sub>4</sub> H <sub>9</sub>  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-421    | S | n-C <sub>5</sub> H <sub>11</sub>   |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-422    | S | n-C <sub>6</sub> H <sub>13</sub>   |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-423    | S | CH <sub>2</sub> CH=CH <sub>2</sub>   |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-424    | S | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-425    | S | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-426    | S | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-427    | S | CH <sub>2</sub> CCl=CH <sub>2</sub>  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-428    | S | CH <sub>2</sub> CH=CCl <sub>2</sub>  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-429    | S | CH <sub>2</sub> CH=CHCF <sub>3</sub>   |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-430    | S | CH <sub>2</sub> CH=CHPh  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-431    | S | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-432    | S | CH <sub>2</sub> CCH  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-433    | S | CH <sub>2</sub> CCCH <sub>3</sub>  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-434    | S | CH <sub>2</sub> CF <sub>3</sub>  |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-435    | S | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-436    | S | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-437    | S | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-438    | S | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |
| D-439    | S | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             |                | O              | C <sub>2</sub> H <sub>5</sub> | H               |

| Compound | Y | R <sup>2</sup>    | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|-------------------|----------------|----------------|----------------|----------------|
| D-440    | S | CH2CN             |                | O              | C2H5           | H              |
| D-441    | S | C(CH3)2CN         |                | O              | C2H5           | H              |
| D-442    | S | C(CH3)(i-C3H7)CN  |                | O              | C2H5           | H              |
| D-443    | S | CH2CO2CH3         |                | O              | C2H5           | H              |
| D-444    | S | CH2CO2C2H5        |                | O              | C2H5           | H              |
| D-445    | S | CH(CH3)CO2CH3     |                | O              | C2H5           | H              |
| D-446    | S | cyclo-C3H7        |                | O              | C2H5           | H              |
| D-447    | S | cyclo-C5H9        |                | O              | C2H5           | H              |
| D-448    | S | cyclo-C6H11       |                | O              | C2H5           | H              |
| D-449    | S | CH2(cyclo-C3H5)   |                | O              | C2H5           | H              |
| D-450    | S | CH2(cyclo-C5H9)   |                | O              | C2H5           | H              |
| D-451    | S | CH2(cyclo-C6H11)  |                | O              | C2H5           | H              |
| D-452    | S | CH2Ph             |                | O              | C2H5           | H              |
| D-453    | S | CH2(2-Cl-Ph)      |                | O              | C2H5           | H              |
| D-454    | S | CH2(3-Cl-Ph)      |                | O              | C2H5           | H              |
| D-455    | S | CH2(4-Cl-Ph)      |                | O              | C2H5           | H              |
| D-456    | S | CH2(2-CF3-Ph)     |                | O              | C2H5           | H              |
| D-457    | S | CH2(3-CF3-Ph)     |                | O              | C2H5           | H              |
| D-458    | S | CH2(4-CF3-Ph)     |                | O              | C2H5           | H              |
| D-459    | S | CH2(2-F-Ph)       |                | O              | C2H5           | H              |
| D-460    | S | CH2(3-F-Ph)       |                | O              | C2H5           | H              |
| D-461    | S | CH2(4-F-Ph)       |                | O              | C2H5           | H              |
| D-462    | S | CH2(2-OMe-Ph)     |                | O              | C2H5           | H              |
| D-463    | S | CH2(3-OMe-Ph)     |                | O              | C2H5           | H              |
| D-464    | S | CH2(4-OMe-Ph)     |                | O              | C2H5           | H              |
| D-465    | S | CH(CH3)Ph         |                | O              | C2H5           | H              |
| D-466    | S | CH(CH3)(2-Cl-Ph)  |                | O              | C2H5           | H              |
| D-467    | S | CH(CH3)(3-Cl-Ph)  |                | O              | C2H5           | H              |
| D-468    | S | CH(CH3)(4-Cl-Ph)  |                | O              | C2H5           | H              |
| D-469    | S | CH(CH3)(2-CF3-Ph) |                | O              | C2H5           | H              |
| D-470    | S | CH(CH3)(3-CF3-Ph) |                | O              | C2H5           | H              |
| D-471    | S | CH(CH3)(4-CF3-Ph) |                | O              | C2H5           | H              |
| D-472    | S | Ph                |                | O              | C2H5           | H              |
| D-473    | S | 2-Cl-Ph           |                | O              | C2H5           | H              |
| D-474    | S | 3-Cl-Ph           |                | O              | C2H5           | H              |
| D-475    | S | 4-Cl-Ph           |                | O              | C2H5           | H              |
| D-476    | S | 2-CF3-Ph          |                | O              | C2H5           | H              |
| D-477    | S | 3-CF3-Ph          |                | O              | C2H5           | H              |
| D-478    | S | 4-CF3-Ph          |                | O              | C2H5           | H              |
| D-479    | S | 2-CH3O-Ph         |                | O              | C2H5           | H              |
| D-480    | S | 3-CH3O-Ph         |                | O              | C2H5           | H              |
| D-481    | S | 4-CH3O-Ph         |                | O              | C2H5           | H              |

| Compound | Y | R <sup>2</sup>                                       | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup>                  | R <sup>b</sup> |
|----------|---|--|----------------|----------------|---------------------------------|----------------|
| D-482    | S | 4-CF <sub>3</sub> O-Ph                               |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-483    | S | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph               |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-484    | S | 4-PhO-Ph   |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-485    | S | 4-(4-Cl-Ph)O-Ph                                      |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-486    | S | 4-(4-CF <sub>3</sub> -Ph)O-Ph                        |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-487    | S | OCH <sub>3</sub>                                     |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-488    | S | OC <sub>2</sub> H <sub>5</sub>                       |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-489    | S | O-n-C <sub>3</sub> H <sub>7</sub>                    |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-490    | S | O-i-C <sub>3</sub> H <sub>7</sub>                    |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-491    | S | O-n-C <sub>4</sub> H <sub>9</sub>                    |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-492    | S | O-i-C <sub>4</sub> H <sub>7</sub>                    |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-493    | S | O-sec-C <sub>4</sub> H <sub>9</sub>                  |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-494    | S | O-t-C <sub>4</sub> H <sub>9</sub>                    |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-495    | S | O-n-C <sub>5</sub> H <sub>11</sub>                   |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-496    | S | OCH <sub>2</sub> CH=CH <sub>2</sub>                  |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-497    | S | OCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub> |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-498    | S | OCH <sub>2</sub> CH=CHCH <sub>3</sub>                |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-499    | S | OCH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub> |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-500    | S | OCH <sub>2</sub> CCH                                 |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-501    | S | OCH <sub>2</sub> CCCH <sub>3</sub>                   |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-502    | S | OCH <sub>2</sub> Ph                                  |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-503    | S | OCH(CH <sub>3</sub> )Ph                              |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-504    | S | OCH <sub>2</sub> (2-Cl-Ph)                           |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-505    | S | OCH <sub>2</sub> (3-Cl-Ph)                           |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-506    | S | OCH <sub>2</sub> (4-Cl-Ph)                           |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-507    | S | OCH <sub>2</sub> (2-OCH <sub>3</sub> -Ph)            |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-508    | S | OCH <sub>2</sub> (3-OCH <sub>3</sub> -Ph)            |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-509    | S | OCH <sub>2</sub> (4-OCH <sub>3</sub> -Ph)            |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-510    | S | OCH <sub>2</sub> (2-CF <sub>3</sub> -Ph)             |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-511    | S | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)             |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-512    | S | OCH <sub>2</sub> (4-CF <sub>3</sub> -Ph)             |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-513    | S | OCH <sub>2</sub> (2-NO <sub>2</sub> -Ph)             |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-514    | S | OCH <sub>2</sub> (3-NO <sub>2</sub> -Ph)             |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-515    | S | OCH <sub>2</sub> (4-NO <sub>2</sub> -Ph)             |                | O              | C <sub>2</sub> H <sub>5</sub>   | H              |
| D-516    | S | CH <sub>3</sub>                                      |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-517    | S | C <sub>2</sub> H <sub>5</sub>                        |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-518    | S | n-C <sub>3</sub> H <sub>7</sub>                      |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-519    | S | i-C <sub>3</sub> H <sub>7</sub>                      |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-520    | S | n-C <sub>4</sub> H <sub>9</sub>                      |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-521    | S | s-C <sub>4</sub> H <sub>9</sub>                      |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-522    | S | i-C <sub>4</sub> H <sub>9</sub>                      |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-523    | S | t-C <sub>4</sub> H <sub>9</sub>                      |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |

| Compound | Y | R <sup>2</sup>   | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup>                  | R <sup>b</sup> |
|----------|---|--|----------------|----------------|---------------------------------|----------------|
| D-524    | S | n-C <sub>5</sub> H <sub>11</sub>   |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-525    | S | n-C <sub>6</sub> H <sub>13</sub>   |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-526    | S | CH <sub>2</sub> CH=CH <sub>2</sub>   |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-527    | S | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-528    | S | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-529    | S | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-530    | S | CH <sub>2</sub> CCl=CH <sub>2</sub>  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-531    | S | CH <sub>2</sub> CH=CCl <sub>2</sub>  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-532    | S | CH <sub>2</sub> CH=CHCF <sub>3</sub>   |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-533    | S | CH <sub>2</sub> CH=CHPh  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-534    | S | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-535    | S | CH <sub>2</sub> CCH  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-536    | S | CH <sub>2</sub> CCCH <sub>3</sub>  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-537    | S | CH <sub>2</sub> CF <sub>3</sub>  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-538    | S | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-539    | S | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-540    | S | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-541    | S | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-542    | S | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-543    | S | CH <sub>2</sub> CN   |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-544    | S | C(CH <sub>3</sub> ) <sub>2</sub> CN  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-545    | S | C(CH <sub>3</sub> )(i-C <sub>3</sub> H <sub>7</sub> )CN                        |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-546    | S | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-547    | S | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-548    | S | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-549    | S | cyclo-C <sub>3</sub> H <sub>7</sub>  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-550    | S | cyclo-C <sub>5</sub> H <sub>9</sub>  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-551    | S | cyclo-C <sub>6</sub> H <sub>11</sub>   |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-552    | S | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-553    | S | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-554    | S | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-555    | S | CH <sub>2</sub> Ph   |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-556    | S | CH <sub>2</sub> (2-Cl-Ph)  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-557    | S | CH <sub>2</sub> (3-Cl-Ph)  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-558    | S | CH <sub>2</sub> (4-Cl-Ph)  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-559    | S | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-560    | S | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-561    | S | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-562    | S | CH <sub>2</sub> (2-F-Ph)   |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-563    | S | CH <sub>2</sub> (3-F-Ph)   |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-564    | S | CH <sub>2</sub> (4-F-Ph)   |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |
| D-565    | S | CH <sub>2</sub> (2-OMe-Ph)   |                | O              | i-C <sub>3</sub> H <sub>7</sub> | H              |

| Compound | Y | R <sup>2</sup>    | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|-------------------|----------------|----------------|----------------|----------------|
| D-566    | S | CH2(3-OMe-Ph)     | O              | i-C3H7         | H              |                |
| D-567    | S | CH2(4-OMe-Ph)     | O              | i-C3H7         | H              |                |
| D-568    | S | CH(CH3)Ph         | O              | i-C3H7         | H              |                |
| D-569    | S | CH(CH3)(2-Cl-Ph)  | O              | i-C3H7         | H              |                |
| D-570    | S | CH(CH3)(3-Cl-Ph)  | O              | i-C3H7         | H              |                |
| D-571    | S | CH(CH3)(4-Cl-Ph)  | O              | i-C3H7         | H              |                |
| D-572    | S | CH(CH3)(2-CF3-Ph) | O              | i-C3H7         | H              |                |
| D-573    | S | CH(CH3)(3-CF3-Ph) | O              | i-C3H7         | H              |                |
| D-574    | S | CH(CH3)(4-CF3-Ph) | O              | i-C3H7         | H              |                |
| D-575    | S | Ph                | O              | i-C3H7         | H              |                |
| D-576    | S | 2-Cl-Ph           | O              | i-C3H7         | H              |                |
| D-577    | S | 3-Cl-Ph           | O              | i-C3H7         | H              |                |
| D-578    | S | 4-Cl-Ph           | O              | i-C3H7         | H              |                |
| D-579    | S | 2-CF3-Ph          | O              | i-C3H7         | H              |                |
| D-580    | S | 3-CF3-Ph          | O              | i-C3H7         | H              |                |
| D-581    | S | 4-CF3-Ph          | O              | i-C3H7         | H              |                |
| D-582    | S | 2-CH3O-Ph         | O              | i-C3H7         | H              |                |
| D-583    | S | 3-CH3O-Ph         | O              | i-C3H7         | H              |                |
| D-584    | S | 4-CH3O-Ph         | O              | i-C3H7         | H              |                |
| D-585    | S | 4-CF3O-Ph         | O              | i-C3H7         | H              |                |
| D-586    | S | 4-CF3CH2O-Ph      | O              | i-C3H7         | H              |                |
| D-587    | S | 4-PhO-Ph          | O              | i-C3H7         | H              |                |
| D-588    | S | 4-(4-Cl-Ph)O-Ph   | O              | i-C3H7         | H              |                |
| D-589    | S | 4-(4-CF3-Ph)O-Ph  | O              | i-C3H7         | H              |                |
| D-590    | S | OCH3              | O              | i-C3H7         | H              |                |
| D-591    | S | OC2H5             | O              | i-C3H7         | H              |                |
| D-592    | S | O-n-C3H7          | O              | i-C3H7         | H              |                |
| D-593    | S | O-i-C3H7          | O              | i-C3H7         | H              |                |
| D-594    | S | O-n-C4H9          | O              | i-C3H7         | H              |                |
| D-595    | S | O-i-C4H7          | O              | i-C3H7         | H              |                |
| D-596    | S | O-sec-C4H9        | O              | i-C3H7         | H              |                |
| D-597    | S | O-t-C4H9          | O              | i-C3H7         | H              |                |
| D-598    | S | O-n-C5H11         | O              | i-C3H7         | H              |                |
| D-599    | S | OCH2CH=CH2        | O              | i-C3H7         | H              |                |
| D-600    | S | OCH2C(CH3)=CH2    | O              | i-C3H7         | H              |                |
| D-601    | S | OCH2CH=CHCH3      | O              | i-C3H7         | H              |                |
| D-602    | S | OCH2CH=C(CH3)2    | O              | i-C3H7         | H              |                |
| D-603    | S | OCH2CCH           | O              | i-C3H7         | H              |                |
| D-604    | S | OCH2CCCH3         | O              | i-C3H7         | H              |                |
| D-605    | S | OCH2Ph            | O              | i-C3H7         | H              |                |
| D-606    | S | OCH(CH3)Ph        | O              | i-C3H7         | H              |                |
| D-607    | S | OCH2(2-Cl-Ph)     | O              | i-C3H7         | H              |                |

| Compound | Y | R <sup>2</sup>   | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|------------------|----------------|----------------|----------------|----------------|
| D-608    | S | OCH2(3-Cl-Ph)    |                | O              | i-C3H7         | H              |
| D-609    | S | OCH2(4-Cl-Ph)    |                | O              | i-C3H7         | H              |
| D-610    | S | OCH2(2-OCH3-Ph)  |                | O              | i-C3H7         | H              |
| D-611    | S | OCH2(3-OCH3-Ph)  |                | O              | i-C3H7         | H              |
| D-612    | S | OCH2(4-OCH3-Ph)  |                | O              | i-C3H7         | H              |
| D-613    | S | OCH2(2-CF3-Ph)   |                | O              | i-C3H7         | H              |
| D-614    | S | OCH2(3-CF3-Ph)   |                | O              | i-C3H7         | H              |
| D-615    | S | OCH2(4-CF3-Ph)   |                | O              | i-C3H7         | H              |
| D-616    | S | OCH2(2-NO2-Ph)   |                | O              | i-C3H7         | H              |
| D-617    | S | OCH2(3-NO2-Ph)   |                | O              | i-C3H7         | H              |
| D-618    | S | OCH2(4-NO2-Ph)   |                | O              | i-C3H7         | H              |
| D-619    | S | CH3              |                | O              | Ph             | H              |
| D-620    | S | C2H5             |                | O              | Ph             | H              |
| D-621    | S | n-C3H7           |                | O              | Ph             | H              |
| D-622    | S | i-C3H7           |                | O              | Ph             | H              |
| D-623    | S | n-C4H9           |                | O              | Ph             | H              |
| D-624    | S | s-C4H9           |                | O              | Ph             | H              |
| D-625    | S | i-C4H9           |                | O              | Ph             | H              |
| D-626    | S | t-C4H9           |                | O              | Ph             | H              |
| D-627    | S | n-C5H11          |                | O              | Ph             | H              |
| D-628    | S | n-C6H13          |                | O              | Ph             | H              |
| D-629    | S | CH2CH=CH2        |                | O              | Ph             | H              |
| D-630    | S | CH2C(CH3)=CH2    |                | O              | Ph             | H              |
| D-631    | S | CH2C(CH3)=CHCH3  |                | O              | Ph             | H              |
| D-632    | S | CH2CH=C(CH3)2    |                | O              | Ph             | H              |
| D-633    | S | CH2CCl=CH2       |                | O              | Ph             | H              |
| D-634    | S | CH2CH=CCl2       |                | O              | Ph             | H              |
| D-635    | S | CH2CH=CHCF3      |                | O              | Ph             | H              |
| D-636    | S | CH2CH=CHPh       |                | O              | Ph             | H              |
| D-637    | S | CH(CH3)CH=CH2    |                | O              | Ph             | H              |
| D-638    | S | CH2CCH           |                | O              | Ph             | H              |
| D-639    | S | CH2CCCH3         |                | O              | Ph             | H              |
| D-640    | S | CH2CF3           |                | O              | Ph             | H              |
| D-641    | S | CH2CH2OCH3       |                | O              | Ph             | H              |
| D-642    | S | CH2CH2OC2H5      |                | O              | Ph             | H              |
| D-643    | S | CH2CH2CH2OCH3    |                | O              | Ph             | H              |
| D-644    | S | CH2CH2CH2OC2H5   |                | O              | Ph             | H              |
| D-645    | S | CH2CH(OCH3)2     |                | O              | Ph             | H              |
| D-646    | S | CH2CN            |                | O              | Ph             | H              |
| D-647    | S | C(CH3)2CN        |                | O              | Ph             | H              |
| D-648    | S | C(CH3)(i-C3H7)CN |                | O              | Ph             | H              |
| D-649    | S | CH2CO2CH3        |                | O              | Ph             | H              |

| Compound | Y | R <sup>2</sup>  | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|---|----------------|----------------|----------------|----------------|
| D-650    | S | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | O              | Ph             | H              |                |
| D-651    | S | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>           | O              | Ph             | H              |                |
| D-652    | S | cyclo-C <sub>3</sub> H <sub>7</sub>                           | O              | Ph             | H              |                |
| D-653    | S | cyclo-C <sub>5</sub> H <sub>9</sub>                           | O              | Ph             | H              |                |
| D-654    | S | cyclo-C <sub>6</sub> H <sub>11</sub>                          | O              | Ph             | H              |                |
| D-655    | S | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )        | O              | Ph             | H              |                |
| D-656    | S | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )        | O              | Ph             | H              |                |
| D-657    | S | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )       | O              | Ph             | H              |                |
| D-658    | S | CH <sub>2</sub> Ph  | O              | Ph             | H              |                |
| D-659    | S | CH <sub>2</sub> (2-Cl-Ph)                                     | O              | Ph             | H              |                |
| D-660    | S | CH <sub>2</sub> (3-Cl-Ph)                                     | O              | Ph             | H              |                |
| D-661    | S | CH <sub>2</sub> (4-Cl-Ph)                                     | O              | Ph             | H              |                |
| D-662    | S | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)                       | O              | Ph             | H              |                |
| D-663    | S | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                       | O              | Ph             | H              |                |
| D-664    | S | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)                       | O              | Ph             | H              |                |
| D-665    | S | CH <sub>2</sub> (2-F-Ph)                                      | O              | Ph             | H              |                |
| D-666    | S | CH <sub>2</sub> (3-F-Ph)                                      | O              | Ph             | H              |                |
| D-667    | S | CH <sub>2</sub> (4-F-Ph)                                      | O              | Ph             | H              |                |
| D-668    | S | CH <sub>2</sub> (2-OMe-Ph)                                    | O              | Ph             | H              |                |
| D-669    | S | CH <sub>2</sub> (3-OMe-Ph)                                    | O              | Ph             | H              |                |
| D-670    | S | CH <sub>2</sub> (4-OMe-Ph)                                    | O              | Ph             | H              |                |
| D-671    | S | CH(CH <sub>3</sub> )Ph  | O              | Ph             | H              |                |
| D-672    | S | CH(CH <sub>3</sub> )(2-Cl-Ph)                                 | O              | Ph             | H              |                |
| D-673    | S | CH(CH <sub>3</sub> )(3-Cl-Ph)                                 | O              | Ph             | H              |                |
| D-674    | S | CH(CH <sub>3</sub> )(4-Cl-Ph)                                 | O              | Ph             | H              |                |
| D-675    | S | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                   | O              | Ph             | H              |                |
| D-676    | S | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                   | O              | Ph             | H              |                |
| D-677    | S | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                   | O              | Ph             | H              |                |
| D-678    | S | Ph  | O              | Ph             | H              |                |
| D-679    | S | 2-Cl-Ph   | O              | Ph             | H              |                |
| D-680    | S | 3-Cl-Ph   | O              | Ph             | H              |                |
| D-681    | S | 4-Cl-Ph   | O              | Ph             | H              |                |
| D-682    | S | 2-CF <sub>3</sub> -Ph   | O              | Ph             | H              |                |
| D-683    | S | 3-CF <sub>3</sub> -Ph   | O              | Ph             | H              |                |
| D-684    | S | 4-CF <sub>3</sub> -Ph   | O              | Ph             | H              |                |
| D-685    | S | 2-CH <sub>3</sub> O-Ph  | O              | Ph             | H              |                |
| D-686    | S | 3-CH <sub>3</sub> O-Ph  | O              | Ph             | H              |                |
| D-687    | S | 4-CH <sub>3</sub> O-Ph  | O              | Ph             | H              |                |
| D-688    | S | 4-CF <sub>3</sub> O-Ph  | O              | Ph             | H              |                |
| D-689    | S | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph                        | O              | Ph             | H              |                |
| D-690    | S | 4-PhO-Ph  | O              | Ph             | H              |                |
| D-691    | S | 4-(4-Cl-Ph)O-Ph   | O              | Ph             | H              |                |

| Compound | Y | R <sup>2</sup>                                       | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup>                 | R <sup>b</sup> |
|----------|---|--|----------------|----------------|--------------------------------|----------------|
| D-692    | S | 4-(4-CF <sub>3</sub> -Ph)O-Ph                        |                | O              | Ph                             | H              |
| D-693    | S | OCH <sub>3</sub>                                     |                | O              | Ph                             | H              |
| D-694    | S | OC <sub>2</sub> H <sub>5</sub>                       |                | O              | Ph                             | H              |
| D-695    | S | O-n-C <sub>3</sub> H <sub>7</sub>                    |                | O              | Ph                             | H              |
| D-696    | S | O-i-C <sub>3</sub> H <sub>7</sub>                    |                | O              | Ph                             | H              |
| D-697    | S | O-n-C <sub>4</sub> H <sub>9</sub>                    |                | O              | Ph                             | H              |
| D-698    | S | O-i-C <sub>4</sub> H <sub>7</sub>                    |                | O              | Ph                             | H              |
| D-699    | S | O-sec-C <sub>4</sub> H <sub>9</sub>                  |                | O              | Ph                             | H              |
| D-700    | S | O-t-C <sub>4</sub> H <sub>9</sub>                    |                | O              | Ph                             | H              |
| D-701    | S | O-n-C <sub>5</sub> H <sub>11</sub>                   |                | O              | Ph                             | H              |
| D-702    | S | OCH <sub>2</sub> CH=CH <sub>2</sub>                  |                | O              | Ph                             | H              |
| D-703    | S | OCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub> |                | O              | Ph                             | H              |
| D-704    | S | OCH <sub>2</sub> CH=CHCH <sub>3</sub>                |                | O              | Ph                             | H              |
| D-705    | S | OCH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub> |                | O              | Ph                             | H              |
| D-706    | S | OCH <sub>2</sub> CCH                                 |                | O              | Ph                             | H              |
| D-707    | S | OCH <sub>2</sub> CCCH <sub>3</sub>                   |                | O              | Ph                             | H              |
| D-708    | S | OCH <sub>2</sub> Ph                                  |                | O              | Ph                             | H              |
| D-709    | S | OCH(CH <sub>3</sub> )Ph                              |                | O              | Ph                             | H              |
| D-710    | S | OCH <sub>2</sub> (2-Cl-Ph)                           |                | O              | Ph                             | H              |
| D-711    | S | OCH <sub>2</sub> (3-Cl-Ph)                           |                | O              | Ph                             | H              |
| D-712    | S | OCH <sub>2</sub> (4-Cl-Ph)                           |                | O              | Ph                             | H              |
| D-713    | S | OCH <sub>2</sub> (2-OCH <sub>3</sub> -Ph)            |                | O              | Ph                             | H              |
| D-714    | S | OCH <sub>2</sub> (3-OCH <sub>3</sub> -Ph)            |                | O              | Ph                             | H              |
| D-715    | S | OCH <sub>2</sub> (4-OCH <sub>3</sub> -Ph)            |                | O              | Ph                             | H              |
| D-716    | S | OCH <sub>2</sub> (2-CF <sub>3</sub> -Ph)             |                | O              | Ph                             | H              |
| D-717    | S | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)             |                | O              | Ph                             | H              |
| D-718    | S | OCH <sub>2</sub> (4-CF <sub>3</sub> -Ph)             |                | O              | Ph                             | H              |
| D-719    | S | OCH <sub>2</sub> (2-NO <sub>2</sub> -Ph)             |                | O              | Ph                             | H              |
| D-720    | S | OCH <sub>2</sub> (3-NO <sub>2</sub> -Ph)             |                | O              | Ph                             | H              |
| D-721    | S | OCH <sub>2</sub> (4-NO <sub>2</sub> -Ph)             |                | O              | Ph                             | H              |
| D-722    | S | CH <sub>3</sub>                                      | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-723    | S | C <sub>2</sub> H <sub>5</sub>                        | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-724    | S | n-C <sub>3</sub> H <sub>7</sub>                      | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-725    | S | i-C <sub>3</sub> H <sub>7</sub>                      | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-726    | S | n-C <sub>4</sub> H <sub>9</sub>                      | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-727    | S | s-C <sub>4</sub> H <sub>9</sub>                      | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-728    | S | i-C <sub>4</sub> H <sub>9</sub>                      | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-729    | S | t-C <sub>4</sub> H <sub>9</sub>                      | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-730    | S | n-C <sub>5</sub> H <sub>11</sub>                     | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-731    | S | n-C <sub>6</sub> H <sub>13</sub>                     | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-732    | S | CH <sub>2</sub> CH=CH <sub>2</sub>                   | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-733    | S | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>  | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |

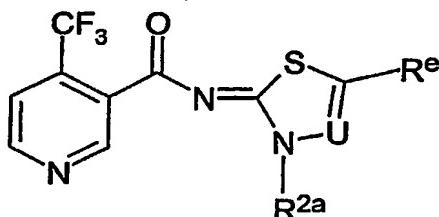
| Compound | Y | R <sup>2</sup>   | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup> | R <sup>b</sup> |
|----------|---|------------------|----------------|----------------|----------------|----------------|
| D-734    | S | CH2C(CH3)=CHCH3  | H              | H              | OC2H5          | H              |
| D-735    | S | CH2CH=C(CH3)2    | H              | H              | OC2H5          | H              |
| D-736    | S | CH2CCl=CH2       | H              | H              | OC2H5          | H              |
| D-737    | S | CH2CH=CCl2       | H              | H              | OC2H5          | H              |
| D-738    | S | CH2CH=CHCF3      | H              | H              | OC2H5          | H              |
| D-739    | S | CH2CH=CHPh       | H              | H              | OC2H5          | H              |
| D-740    | S | CH(CH3)CH=CH2    | H              | H              | OC2H5          | H              |
| D-741    | S | CH2CCH           | H              | H              | OC2H5          | H              |
| D-742    | S | CH2CCCH3         | H              | H              | OC2H5          | H              |
| D-743    | S | CH2CF3           | H              | H              | OC2H5          | H              |
| D-744    | S | CH2CH2OCH3       | H              | H              | OC2H5          | H              |
| D-745    | S | CH2CH2OC2H5      | H              | H              | OC2H5          | H              |
| D-746    | S | CH2CH2CH2OCH3    | H              | H              | OC2H5          | H              |
| D-747    | S | CH2CH2CH2OC2H5   | H              | H              | OC2H5          | H              |
| D-748    | S | CH2CH(OCH3)2     | H              | H              | OC2H5          | H              |
| D-749    | S | CH2CN            | H              | H              | OC2H5          | H              |
| D-750    | S | C(CH3)2CN        | H              | H              | OC2H5          | H              |
| D-751    | S | C(CH3)(i-C3H7)CN | H              | H              | OC2H5          | H              |
| D-752    | S | CH2CO2CH3        | H              | H              | OC2H5          | H              |
| D-753    | S | CH2CO2C2H5       | H              | H              | OC2H5          | H              |
| D-754    | S | CH(CH3)CO2CH3    | H              | H              | OC2H5          | H              |
| D-755    | S | cyclo-C3H7       | H              | H              | OC2H5          | H              |
| D-756    | S | cyclo-C5H9       | H              | H              | OC2H5          | H              |
| D-757    | S | cyclo-C6H11      | H              | H              | OC2H5          | H              |
| D-758    | S | CH2(cyclo-C3H5)  | H              | H              | OC2H5          | H              |
| D-759    | S | CH2(cyclo-C5H9)  | H              | H              | OC2H5          | H              |
| D-760    | S | CH2(cyclo-C6H11) | H              | H              | OC2H5          | H              |
| D-761    | S | CH2Ph            | H              | H              | OC2H5          | H              |
| D-762    | S | CH2(2-Cl-Ph)     | H              | H              | OC2H5          | H              |
| D-763    | S | CH2(3-Cl-Ph)     | H              | H              | OC2H5          | H              |
| D-764    | S | CH2(4-Cl-Ph)     | H              | H              | OC2H5          | H              |
| D-765    | S | CH2(2-CF3-Ph)    | H              | H              | OC2H5          | H              |
| D-766    | S | CH2(3-CF3-Ph)    | H              | H              | OC2H5          | H              |
| D-767    | S | CH2(4-CF3-Ph)    | H              | H              | OC2H5          | H              |
| D-768    | S | CH2(2-F-Ph)      | H              | H              | OC2H5          | H              |
| D-769    | S | CH2(3-F-Ph)      | H              | H              | OC2H5          | H              |
| D-770    | S | CH2(4-F-Ph)      | H              | H              | OC2H5          | H              |
| D-771    | S | CH2(2-OMe-Ph)    | H              | H              | OC2H5          | H              |
| D-772    | S | CH2(3-OMe-Ph)    | H              | H              | OC2H5          | H              |
| D-773    | S | CH2(4-OMe-Ph)    | H              | H              | OC2H5          | H              |
| D-774    | S | CH(CH3)Ph        | H              | H              | OC2H5          | H              |
| D-775    | S | CH(CH3)(2-Cl-Ph) | H              | H              | OC2H5          | H              |

| Compound | Y | R <sup>2</sup>                                       | R <sup>c</sup> | R <sup>d</sup> | R <sup>a</sup>                 | R <sup>b</sup> |
|----------|---|--|----------------|----------------|--------------------------------|----------------|
| D-776    | S | CH(CH <sub>3</sub> )(3-Cl-Ph)                        | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-777    | S | CH(CH <sub>3</sub> )(4-Cl-Ph)                        | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-778    | S | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)          | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-779    | S | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)          | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-780    | S | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)          | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-781    | S | Ph   | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-782    | S | 2-Cl-Ph  | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-783    | S | 3-Cl-Ph  | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-784    | S | 4-Cl-Ph  | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-785    | S | 2-CF <sub>3</sub> -Ph                                | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-786    | S | 3-CF <sub>3</sub> -Ph                                | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-787    | S | 4-CF <sub>3</sub> -Ph                                | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-788    | S | 2-CH <sub>3</sub> O-Ph                               | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-789    | S | 3-CH <sub>3</sub> O-Ph                               | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-790    | S | 4-CH <sub>3</sub> O-Ph                               | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-791    | S | 4-CF <sub>3</sub> O-Ph                               | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-792    | S | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph               | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-793    | S | 4-PhO-Ph   | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-794    | S | 4-(4-Cl-Ph)O-Ph                                      | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-795    | S | 4-(4-CF <sub>3</sub> -Ph)O-Ph                        | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-796    | S | OCH <sub>3</sub>                                     | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-797    | S | OC <sub>2</sub> H <sub>5</sub>                       | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-798    | S | O-n-C <sub>3</sub> H <sub>7</sub>                    | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-799    | S | O-i-C <sub>3</sub> H <sub>7</sub>                    | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-800    | S | O-n-C <sub>4</sub> H <sub>9</sub>                    | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-801    | S | O-i-C <sub>4</sub> H <sub>7</sub>                    | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-802    | S | O-sec-C <sub>4</sub> H <sub>9</sub>                  | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-803    | S | O-t-C <sub>4</sub> H <sub>9</sub>                    | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-804    | S | O-n-C <sub>5</sub> H <sub>11</sub>                   | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-805    | S | OCH <sub>2</sub> CH=CH <sub>2</sub>                  | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-806    | S | OCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub> | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-807    | S | OCH <sub>2</sub> CH=CHCH <sub>3</sub>                | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-808    | S | OCH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub> | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-809    | S | OCH <sub>2</sub> CCH                                 | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-810    | S | OCH <sub>2</sub> CCCH <sub>3</sub>                   | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-811    | S | OCH <sub>2</sub> Ph                                  | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-812    | S | OCH(CH <sub>3</sub> )Ph                              | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-813    | S | OCH <sub>2</sub> (2-Cl-Ph)                           | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-814    | S | OCH <sub>2</sub> (3-Cl-Ph)                           | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-815    | S | OCH <sub>2</sub> (4-Cl-Ph)                           | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-816    | S | OCH <sub>2</sub> (2-OCH <sub>3</sub> -Ph)            | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-817    | S | OCH <sub>2</sub> (3-OCH <sub>3</sub> -Ph)            | H              | H              | OC <sub>2</sub> H <sub>5</sub> | H              |

| Compound | Y | R <sup>2</sup>                            | R <sup>c</sup>                  | R <sup>d</sup>  | R <sup>a</sup>                 | R <sup>b</sup> |
|----------|---|---|---------------------------------|-----------------|--------------------------------|----------------|
| D-818    | S | OCH <sub>2</sub> (4-OCH <sub>3</sub> -Ph) | H                               | H               | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-819    | S | OCH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | H                               | H               | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-820    | S | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | H                               | H               | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-821    | S | OCH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | H                               | H               | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-822    | S | OCH <sub>2</sub> (2-NO <sub>2</sub> -Ph)  | H                               | H               | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-823    | S | OCH <sub>2</sub> (3-NO <sub>2</sub> -Ph)  | H                               | H               | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-824    | S | OCH <sub>2</sub> (4-NO <sub>2</sub> -Ph)  | H                               | H               | OC <sub>2</sub> H <sub>5</sub> | H              |
| D-825    | O | H   | H                               | H               | H                              | H              |
| D-826    | O | CH <sub>3</sub>                           | H                               | H               | H                              | H              |
| D-827    | O | C <sub>2</sub> H <sub>5</sub>             | H                               | H               | H                              | H              |
| D-828    | O | n-C <sub>3</sub> H <sub>7</sub>           | H                               | H               | H                              | H              |
| D-829    | O | i-C <sub>3</sub> H <sub>7</sub>           | H                               | H               | H                              | H              |
| D-830    | O | n-C <sub>4</sub> H <sub>9</sub>           | H                               | H               | H                              | H              |
| D-831    | O | s-C <sub>4</sub> H <sub>9</sub>           | H                               | H               | H                              | H              |
| D-832    | O | i-C <sub>4</sub> H <sub>9</sub>           | H                               | H               | H                              | H              |
| D-833    | O | t-C <sub>4</sub> H <sub>9</sub>           | H                               | H               | H                              | H              |
| D-834    | O | CH <sub>2</sub> Ph                        | H                               | H               | H                              | H              |
| D-835    | O | Ph  | H                               | H               | H                              | H              |
| D-836    | S | H   | C <sub>2</sub> H <sub>5</sub>   | H               | O                              |                |
| D-837    | S | H   | i-C <sub>3</sub> H <sub>7</sub> | CH <sub>3</sub> | NH                             |                |
| D-838    | S | H   | CH <sub>3</sub>                 | CH <sub>3</sub> | NH                             |                |

Table 5

Compounds of formula (Ie):



5

| Compound | U | R <sup>2a</sup>                 | R <sup>e</sup> |
|----------|---|---------------------------------|----------------|
| E-1      | N | CH <sub>3</sub>                 | H              |
| E-2      | N | C <sub>2</sub> H <sub>5</sub>   | H              |
| E-3      | N | n-C <sub>3</sub> H <sub>7</sub> | H              |
| E-4      | N | i-C <sub>3</sub> H <sub>7</sub> | H              |
| E-5      | N | n-C <sub>4</sub> H <sub>9</sub> | H              |
| E-6      | N | s-C <sub>4</sub> H <sub>9</sub> | H              |
| E-7      | N | i-C <sub>4</sub> H <sub>9</sub> | H              |

| Compound | U | R <sup>2a</sup>  | R <sup>e</sup> |
|----------|---|--|----------------|
| E-8      | N | t-C <sub>4</sub> H <sub>9</sub>  | H              |
| E-9      | N | n-C <sub>5</sub> H <sub>11</sub>   | H              |
| E-10     | N | n-C <sub>6</sub> H <sub>13</sub>   | H              |
| E-11     | N | CH <sub>2</sub> CH=CH <sub>2</sub>   | H              |
| E-12     | N | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | H              |
| E-13     | N | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | H              |
| E-14     | N | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | H              |
| E-15     | N | CH <sub>2</sub> CCl=CH <sub>2</sub>  | H              |
| E-16     | N | CH <sub>2</sub> CH=CCl <sub>2</sub>  | H              |
| E-17     | N | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | H              |
| E-18     | N | CH <sub>2</sub> CH=CHPh  | H              |
| E-19     | N | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | H              |
| E-20     | N | CH <sub>2</sub> CCH  | H              |
| E-21     | N | CH <sub>2</sub> CCCH <sub>3</sub>  | H              |
| E-22     | N | CH <sub>2</sub> CF <sub>3</sub>  | H              |
| E-23     | N | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | H              |
| E-24     | N | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H              |
| E-25     | N | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | H              |
| E-26     | N | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | H              |
| E-27     | N | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | H              |
| E-28     | N | CH <sub>2</sub> CN   | H              |
| E-29     | N | CH <sub>2</sub> CO <sub>2</sub> H  | H              |
| E-30     | N | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                | H              |
| E-31     | N | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  | H              |
| E-32     | N | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            | H              |
| E-33     | N | cyclo-C <sub>3</sub> H <sub>7</sub>  | H              |
| E-34     | N | cyclo-C <sub>5</sub> H <sub>9</sub>  | H              |
| E-35     | N | cyclo-C <sub>6</sub> H <sub>11</sub>   | H              |
| E-36     | N | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | H              |
| E-37     | N | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | H              |
| E-38     | N | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | H              |
| E-39     | N | CH <sub>2</sub> Ph   | H              |
| E-40     | N | CH <sub>2</sub> (2-Cl-Ph)  | H              |
| E-41     | N | CH <sub>2</sub> (3-Cl-Ph)  | H              |
| E-42     | N | CH <sub>2</sub> (4-Cl-Ph)  | H              |
| E-43     | N | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | H              |
| E-44     | N | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | H              |
| E-45     | N | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | H              |
| E-46     | N | CH <sub>2</sub> (2-F-Ph)   | H              |
| E-47     | N | CH <sub>2</sub> (3-F-Ph)   | H              |
| E-48     | N | CH <sub>2</sub> (4-F-Ph)   | H              |
| E-49     | N | CH <sub>2</sub> (2-OMe-Ph)   | H              |

| Compound | U  | R <sup>2a</sup>   | R <sup>e</sup> |
|----------|----|-------------------|----------------|
| E-50     | N  | CH2(3-OMe-Ph)     | H              |
| E-51     | N  | CH2(4-OMe-Ph)     | H              |
| E-52     | N  | CH(CH3)Ph         | H              |
| E-53     | N  | CH(CH3)(2-Cl-Ph)  | H              |
| E-54     | N  | CH(CH3)(3-Cl-Ph)  | H              |
| E-55     | N  | CH(CH3)(4-Cl-Ph)  | H              |
| E-56     | N  | CH(CH3)(2-CF3-Ph) | H              |
| E-57     | N  | CH(CH3)(3-CF3-Ph) | H              |
| E-58     | N  | CH(CH3)(4-CF3-Ph) | H              |
| E-59     | N  | Ph                | H              |
| E-60     | N  | 2-Cl-Ph           | H              |
| E-61     | N  | 3-Cl-Ph           | H              |
| E-62     | N  | 4-Cl-Ph           | H              |
| E-63     | N  | 2-CF3-Ph          | H              |
| E-64     | N  | 3-CF3-Ph          | H              |
| E-65     | N  | 4-CF3-Ph          | H              |
| E-66     | N  | 2-CH3O-Ph         | H              |
| E-67     | N  | 3-CH3O-Ph         | H              |
| E-68     | N  | 4-CH3O-Ph         | H              |
| E-69     | N  | 4-CF3O-Ph         | H              |
| E-70     | N  | 4-CF3CH2O-Ph      | H              |
| E-71     | N  | 4-PhO-Ph          | H              |
| E-72     | N  | 4-(4-Cl-Ph)O-Ph   | H              |
| E-73     | N  | 4-(4-CF3-Ph)O-Ph  | H              |
| E-74     | CH | CH3               | H              |
| E-75     | CH | C2H5              | H              |
| E-76     | CH | n-C3H7            | H              |
| E-77     | CH | i-C3H7            | H              |
| E-78     | CH | n-C4H9            | H              |
| E-79     | CH | s-C4H9            | H              |
| E-80     | CH | i-C4H9            | H              |
| E-81     | CH | t-C4H9            | H              |
| E-82     | CH | n-C5H11           | H              |
| E-83     | CH | n-C6H13           | H              |
| E-84     | CH | CH2CH=CH2         | H              |
| E-85     | CH | CH2C(CH3)=CH2     | H              |
| E-86     | CH | CH2C(CH3)=CHCH3   | H              |
| E-87     | CH | CH2CH=C(CH3)2     | H              |
| E-88     | CH | CH2CCl=CH2        | H              |
| E-89     | CH | CH2CH=CCl2        | H              |
| E-90     | CH | CH2CH=CHCF3       | H              |
| E-91     | CH | CH2CH=CHPh        | H              |

| Compound | U  | R <sup>2a</sup>  | R <sup>e</sup> |
|----------|----|--|----------------|
| E-92     | CH | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | H              |
| E-93     | CH | CH <sub>2</sub> CCH  | H              |
| E-94     | CH | CH <sub>2</sub> CCCH <sub>3</sub>  | H              |
| E-95     | CH | CH <sub>2</sub> CF <sub>3</sub>  | H              |
| E-96     | CH | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | H              |
| E-97     | CH | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | H              |
| E-98     | CH | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | H              |
| E-99     | CH | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | H              |
| E-100    | CH | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | H              |
| E-101    | CH | CH <sub>2</sub> CN   | H              |
| E-102    | CH | CH <sub>2</sub> CO <sub>2</sub> H  | H              |
| E-103    | CH | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                | H              |
| E-104    | CH | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  | H              |
| E-105    | CH | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            | H              |
| E-106    | CH | cyclo-C <sub>3</sub> H <sub>7</sub>  | H              |
| E-107    | CH | cyclo-C <sub>5</sub> H <sub>9</sub>  | H              |
| E-108    | CH | cyclo-C <sub>6</sub> H <sub>11</sub>   | H              |
| E-109    | CH | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | H              |
| E-110    | CH | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | H              |
| E-111    | CH | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | H              |
| E-112    | CH | CH <sub>2</sub> Ph   | H              |
| E-113    | CH | CH <sub>2</sub> (2-Cl-Ph)  | H              |
| E-114    | CH | CH <sub>2</sub> (3-Cl-Ph)  | H              |
| E-115    | CH | CH <sub>2</sub> (4-Cl-Ph)  | H              |
| E-116    | CH | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | H              |
| E-117    | CH | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | H              |
| E-118    | CH | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | H              |
| E-119    | CH | CH <sub>2</sub> (2-F-Ph)   | H              |
| E-120    | CH | CH <sub>2</sub> (3-F-Ph)   | H              |
| E-121    | CH | CH <sub>2</sub> (4-F-Ph)   | H              |
| E-122    | CH | CH <sub>2</sub> (2-OMe-Ph)   | H              |
| E-123    | CH | CH <sub>2</sub> (3-OMe-Ph)   | H              |
| E-124    | CH | CH <sub>2</sub> (4-OMe-Ph)   | H              |
| E-125    | CH | CH(CH <sub>3</sub> )Ph   | H              |
| E-126    | CH | CH(CH <sub>3</sub> )(2-Cl-Ph)  | H              |
| E-127    | CH | CH(CH <sub>3</sub> )(3-Cl-Ph)  | H              |
| E-128    | CH | CH(CH <sub>3</sub> )(4-Cl-Ph)  | H              |
| E-129    | CH | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | H              |
| E-130    | CH | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | H              |
| E-131    | CH | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | H              |
| E-132    | CH | Ph   | H              |
| E-133    | CH | 2-Cl-Ph  | H              |

| Compound | U  | R <sup>2a</sup>                                      | R <sup>e</sup> |
|----------|----|--|----------------|
| E-134    | CH | 3-Cl-Ph  | H              |
| E-135    | CH | 4-Cl-Ph  | H              |
| E-136    | CH | 2-CF <sub>3</sub> -Ph                                | H              |
| E-137    | CH | 3-CF <sub>3</sub> -Ph                                | H              |
| E-138    | CH | 4-CF <sub>3</sub> -Ph                                | H              |
| E-139    | CH | 2-CH <sub>3</sub> O-Ph                               | H              |
| E-140    | CH | 3-CH <sub>3</sub> O-Ph                               | H              |
| E-141    | CH | 4-CH <sub>3</sub> O-Ph                               | H              |
| E-142    | CH | 4-CF <sub>3</sub> O-Ph                               | H              |
| E-143    | CH | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph               | H              |
| E-144    | CH | 4-PhO-Ph   | H              |
| E-145    | CH | 4-(4-Cl-Ph)O-Ph                                      | H              |
| E-146    | CH | 4-(4-CF <sub>3</sub> -Ph)O-Ph                        | H              |
| E-147    | CH | OCH <sub>3</sub>                                     | H              |
| E-148    | CH | OC <sub>2</sub> H <sub>5</sub>                       | H              |
| E-149    | CH | O-n-C <sub>3</sub> H <sub>7</sub>                    | H              |
| E-150    | CH | O-i-C <sub>3</sub> H <sub>7</sub>                    | H              |
| E-151    | CH | O-n-C <sub>4</sub> H <sub>9</sub>                    | H              |
| E-152    | CH | O-i-C <sub>4</sub> H <sub>7</sub>                    | H              |
| E-153    | CH | O-sec-C <sub>4</sub> H <sub>9</sub>                  | H              |
| E-154    | CH | O-t-C <sub>4</sub> H <sub>9</sub>                    | H              |
| E-155    | CH | O-n-C <sub>5</sub> H <sub>11</sub>                   | H              |
| E-156    | CH | OCH <sub>2</sub> CH=CH <sub>2</sub>                  | H              |
| E-157    | CH | OCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub> | H              |
| E-158    | CH | OCH <sub>2</sub> CH=CHCH <sub>3</sub>                | H              |
| E-159    | CH | OCH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub> | H              |
| E-160    | CH | OCH <sub>2</sub> CCH                                 | H              |
| E-161    | CH | OCH <sub>2</sub> CCCH <sub>3</sub>                   | H              |
| E-162    | CH | OCH <sub>2</sub> Ph                                  | H              |
| E-163    | CH | OCH(CH <sub>3</sub> )Ph                              | H              |
| E-164    | CH | OCH <sub>2</sub> (2-Cl-Ph)                           | H              |
| E-165    | CH | OCH <sub>2</sub> (3-Cl-Ph)                           | H              |
| E-166    | CH | OCH <sub>2</sub> (4-Cl-Ph)                           | H              |
| E-167    | CH | OCH <sub>2</sub> (2-OCH <sub>3</sub> -Ph)            | H              |
| E-168    | CH | OCH <sub>2</sub> (3-OCH <sub>3</sub> -Ph)            | H              |
| E-169    | CH | OCH <sub>2</sub> (4-OCH <sub>3</sub> -Ph)            | H              |
| E-170    | CH | OCH <sub>2</sub> (2-CF <sub>3</sub> -Ph)             | H              |
| E-171    | CH | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)             | H              |
| E-172    | CH | OCH <sub>2</sub> (4-CF <sub>3</sub> -Ph)             | H              |
| E-173    | CH | OCH <sub>2</sub> (2-NO <sub>2</sub> -Ph)             | H              |
| E-174    | CH | OCH <sub>2</sub> (3-NO <sub>2</sub> -Ph)             | H              |
| E-175    | CH | OCH <sub>2</sub> (4-NO <sub>2</sub> -Ph)             | H              |

| Compound | U | R <sup>2a</sup>  | R <sup>e</sup> |
|----------|---|------------------|----------------|
| E-176    | N | CH3              | CH3            |
| E-177    | N | C2H5             | CH3            |
| E-178    | N | n-C3H7           | CH3            |
| E-179    | N | i-C3H7           | CH3            |
| E-180    | N | n-C4H9           | CH3            |
| E-181    | N | s-C4H9           | CH3            |
| E-182    | N | i-C4H9           | CH3            |
| E-183    | N | t-C4H9           | CH3            |
| E-184    | N | n-C5H11          | CH3            |
| E-185    | N | n-C6H13          | CH3            |
| E-186    | N | CH2CH=CH2        | CH3            |
| E-187    | N | CH2C(CH3)=CH2    | CH3            |
| E-188    | N | CH2C(CH3)=CHCH3  | CH3            |
| E-189    | N | CH2CH=C(CH3)2    | CH3            |
| E-190    | N | CH2CCl=CH2       | CH3            |
| E-191    | N | CH2CH=CCl2       | CH3            |
| E-192    | N | CH2CH=CHCF3      | CH3            |
| E-193    | N | CH2CH=CHPh       | CH3            |
| E-194    | N | CH(CH3)CH=CH2    | CH3            |
| E-195    | N | CH2CCH           | CH3            |
| E-196    | N | CH2CCCH3         | CH3            |
| E-197    | N | CH2CF3           | CH3            |
| E-198    | N | CH2CH2OCH3       | CH3            |
| E-199    | N | CH2CH2OC2H5      | CH3            |
| E-200    | N | CH2CH2CH2OCH3    | CH3            |
| E-201    | N | CH2CH2CH2OC2H5   | CH3            |
| E-202    | N | CH2CH(OCH3)2     | CH3            |
| E-203    | N | CH2CN            | CH3            |
| E-204    | N | CH2CO2H          | CH3            |
| E-205    | N | CH2CO2CH3        | CH3            |
| E-206    | N | CH2CO2C2H5       | CH3            |
| E-207    | N | CH(CH3)CO2CH3    | CH3            |
| E-208    | N | cyclo-C3H7       | CH3            |
| E-209    | N | cyclo-C5H9       | CH3            |
| E-210    | N | cyclo-C6H11      | CH3            |
| E-211    | N | CH2(cyclo-C3H5)  | CH3            |
| E-212    | N | CH2(cyclo-C5H9)  | CH3            |
| E-213    | N | CH2(cyclo-C6H11) | CH3            |
| E-214    | N | CH2Ph            | CH3            |
| E-215    | N | CH2(2-Cl-Ph)     | CH3            |
| E-216    | N | CH2(3-Cl-Ph)     | CH3            |
| E-217    | N | CH2(4-Cl-Ph)     | CH3            |

| Compound | U  | R <sup>2a</sup>                             | R <sup>e</sup> |
|----------|----|---|----------------|
| E-218    | N  | CH2(2-CF <sub>3</sub> -Ph)                  | CH3            |
| E-219    | N  | CH2(3-CF <sub>3</sub> -Ph)                  | CH3            |
| E-220    | N  | CH2(4-CF <sub>3</sub> -Ph)                  | CH3            |
| E-221    | N  | CH2(2-F-Ph)                                 | CH3            |
| E-222    | N  | CH2(3-F-Ph)                                 | CH3            |
| E-223    | N  | CH2(4-F-Ph)                                 | CH3            |
| E-224    | N  | CH2(2-OMe-Ph)                               | CH3            |
| E-225    | N  | CH2(3-OMe-Ph)                               | CH3            |
| E-226    | N  | CH2(4-OMe-Ph)                               | CH3            |
| E-227    | N  | CH(CH <sub>3</sub> )Ph                      | CH3            |
| E-228    | N  | CH(CH <sub>3</sub> )(2-Cl-Ph)               | CH3            |
| E-229    | N  | CH(CH <sub>3</sub> )(3-Cl-Ph)               | CH3            |
| E-230    | N  | CH(CH <sub>3</sub> )(4-Cl-Ph)               | CH3            |
| E-231    | N  | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph) | CH3            |
| E-232    | N  | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph) | CH3            |
| E-233    | N  | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph) | CH3            |
| E-234    | N  | Ph  | CH3            |
| E-235    | N  | 2-Cl-Ph                                     | CH3            |
| E-236    | N  | 3-Cl-Ph                                     | CH3            |
| E-237    | N  | 4-Cl-Ph                                     | CH3            |
| E-238    | N  | 2-CF <sub>3</sub> -Ph                       | CH3            |
| E-239    | N  | 3-CF <sub>3</sub> -Ph                       | CH3            |
| E-240    | N  | 4-CF <sub>3</sub> -Ph                       | CH3            |
| E-241    | N  | 2-CH <sub>3</sub> O-Ph                      | CH3            |
| E-242    | N  | 3-CH <sub>3</sub> O-Ph                      | CH3            |
| E-243    | N  | 4-CH <sub>3</sub> O-Ph                      | CH3            |
| E-244    | N  | 4-CF <sub>3</sub> O-Ph                      | CH3            |
| E-245    | N  | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph      | CH3            |
| E-246    | N  | 4-PhO-Ph                                    | CH3            |
| E-247    | N  | 4-(4-Cl-Ph)O-Ph                             | CH3            |
| E-248    | N  | 4-(4-CF <sub>3</sub> -Ph)O-Ph               | CH3            |
| E-249    | CH | CH <sub>3</sub>                             | CH3            |
| E-250    | CH | C <sub>2</sub> H <sub>5</sub>               | CH3            |
| E-251    | CH | n-C <sub>3</sub> H <sub>7</sub>             | CH3            |
| E-252    | CH | i-C <sub>3</sub> H <sub>7</sub>             | CH3            |
| E-253    | CH | n-C <sub>4</sub> H <sub>9</sub>             | CH3            |
| E-254    | CH | s-C <sub>4</sub> H <sub>9</sub>             | CH3            |
| E-255    | CH | i-C <sub>4</sub> H <sub>9</sub>             | CH3            |
| E-256    | CH | t-C <sub>4</sub> H <sub>9</sub>             | CH3            |
| E-257    | CH | n-C <sub>5</sub> H <sub>11</sub>            | CH3            |
| E-258    | CH | n-C <sub>6</sub> H <sub>13</sub>            | CH3            |
| E-259    | CH | CH <sub>2</sub> CH=CH <sub>2</sub>          | CH3            |

| Compound | U  | R <sup>2a</sup>  | R <sup>e</sup>  |
|----------|----|--|-----------------|
| E-260    | CH | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | CH <sub>3</sub> |
| E-261    | CH | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | CH <sub>3</sub> |
| E-262    | CH | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | CH <sub>3</sub> |
| E-263    | CH | CH <sub>2</sub> CCl=CH <sub>2</sub>  | CH <sub>3</sub> |
| E-264    | CH | CH <sub>2</sub> CH=CCl <sub>2</sub>  | CH <sub>3</sub> |
| E-265    | CH | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | CH <sub>3</sub> |
| E-266    | CH | CH <sub>2</sub> CH=CHPh  | CH <sub>3</sub> |
| E-267    | CH | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | CH <sub>3</sub> |
| E-268    | CH | CH <sub>2</sub> CCH  | CH <sub>3</sub> |
| E-269    | CH | CH <sub>2</sub> CCCH <sub>3</sub>  | CH <sub>3</sub> |
| E-270    | CH | CH <sub>2</sub> CF <sub>3</sub>  | CH <sub>3</sub> |
| E-271    | CH | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | CH <sub>3</sub> |
| E-272    | CH | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | CH <sub>3</sub> |
| E-273    | CH | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | CH <sub>3</sub> |
| E-274    | CH | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | CH <sub>3</sub> |
| E-275    | CH | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | CH <sub>3</sub> |
| E-276    | CH | CH <sub>2</sub> CN   | CH <sub>3</sub> |
| E-277    | CH | CH <sub>2</sub> CO <sub>2</sub> H  | CH <sub>3</sub> |
| E-278    | CH | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                | CH <sub>3</sub> |
| E-279    | CH | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  | CH <sub>3</sub> |
| E-280    | CH | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            | CH <sub>3</sub> |
| E-281    | CH | cyclo-C <sub>3</sub> H <sub>7</sub>  | CH <sub>3</sub> |
| E-282    | CH | cyclo-C <sub>5</sub> H <sub>9</sub>  | CH <sub>3</sub> |
| E-283    | CH | cyclo-C <sub>6</sub> H <sub>11</sub>   | CH <sub>3</sub> |
| E-284    | CH | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | CH <sub>3</sub> |
| E-285    | CH | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | CH <sub>3</sub> |
| E-286    | CH | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | CH <sub>3</sub> |
| E-287    | CH | CH <sub>2</sub> Ph   | CH <sub>3</sub> |
| E-288    | CH | CH <sub>2</sub> (2-Cl-Ph)  | CH <sub>3</sub> |
| E-289    | CH | CH <sub>2</sub> (3-Cl-Ph)  | CH <sub>3</sub> |
| E-290    | CH | CH <sub>2</sub> (4-Cl-Ph)  | CH <sub>3</sub> |
| E-291    | CH | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | CH <sub>3</sub> |
| E-292    | CH | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | CH <sub>3</sub> |
| E-293    | CH | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | CH <sub>3</sub> |
| E-294    | CH | CH <sub>2</sub> (2-F-Ph)   | CH <sub>3</sub> |
| E-295    | CH | CH <sub>2</sub> (3-F-Ph)   | CH <sub>3</sub> |
| E-296    | CH | CH <sub>2</sub> (4-F-Ph)   | CH <sub>3</sub> |
| E-297    | CH | CH <sub>2</sub> (2-OMe-Ph)   | CH <sub>3</sub> |
| E-298    | CH | CH <sub>2</sub> (3-OMe-Ph)   | CH <sub>3</sub> |
| E-299    | CH | CH <sub>2</sub> (4-OMe-Ph)   | CH <sub>3</sub> |
| E-300    | CH | CH(CH <sub>3</sub> )Ph   | CH <sub>3</sub> |
| E-301    | CH | CH(CH <sub>3</sub> )(2-Cl-Ph)  | CH <sub>3</sub> |

| Compound | U  | R <sup>2a</sup>                                      | R <sup>e</sup>  |
|----------|----|--|-----------------|
| E-302    | CH | CH(CH <sub>3</sub> )(3-Cl-Ph)                        | CH <sub>3</sub> |
| E-303    | CH | CH(CH <sub>3</sub> )(4-Cl-Ph)                        | CH <sub>3</sub> |
| E-304    | CH | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)          | CH <sub>3</sub> |
| E-305    | CH | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)          | CH <sub>3</sub> |
| E-306    | CH | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)          | CH <sub>3</sub> |
| E-307    | CH | Ph   | CH <sub>3</sub> |
| E-308    | CH | 2-Cl-Ph  | CH <sub>3</sub> |
| E-309    | CH | 3-Cl-Ph  | CH <sub>3</sub> |
| E-310    | CH | 4-Cl-Ph  | CH <sub>3</sub> |
| E-311    | CH | 2-CF <sub>3</sub> -Ph                                | CH <sub>3</sub> |
| E-312    | CH | 3-CF <sub>3</sub> -Ph                                | CH <sub>3</sub> |
| E-313    | CH | 4-CF <sub>3</sub> -Ph                                | CH <sub>3</sub> |
| E-314    | CH | 2-CH <sub>3</sub> O-Ph                               | CH <sub>3</sub> |
| E-315    | CH | 3-CH <sub>3</sub> O-Ph                               | CH <sub>3</sub> |
| E-316    | CH | 4-CH <sub>3</sub> O-Ph                               | CH <sub>3</sub> |
| E-317    | CH | 4-CF <sub>3</sub> O-Ph                               | CH <sub>3</sub> |
| E-318    | CH | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph               | CH <sub>3</sub> |
| E-319    | CH | 4-PhO-Ph   | CH <sub>3</sub> |
| E-320    | CH | 4-(4-Cl-Ph)O-Ph                                      | CH <sub>3</sub> |
| E-321    | CH | 4-(4-CF <sub>3</sub> -Ph)O-Ph                        | CH <sub>3</sub> |
| E-322    | CH | OCH <sub>3</sub>                                     | CH <sub>3</sub> |
| E-323    | CH | OC <sub>2</sub> H <sub>5</sub>                       | CH <sub>3</sub> |
| E-324    | CH | O-n-C <sub>3</sub> H <sub>7</sub>                    | CH <sub>3</sub> |
| E-325    | CH | O-i-C <sub>3</sub> H <sub>7</sub>                    | CH <sub>3</sub> |
| E-326    | CH | O-n-C <sub>4</sub> H <sub>9</sub>                    | CH <sub>3</sub> |
| E-327    | CH | O-i-C <sub>4</sub> H <sub>7</sub>                    | CH <sub>3</sub> |
| E-328    | CH | O-sec-C <sub>4</sub> H <sub>9</sub>                  | CH <sub>3</sub> |
| E-329    | CH | O-t-C <sub>4</sub> H <sub>9</sub>                    | CH <sub>3</sub> |
| E-330    | CH | O-n-C <sub>5</sub> H <sub>11</sub>                   | CH <sub>3</sub> |
| E-331    | CH | OCH <sub>2</sub> CH=CH <sub>2</sub>                  | CH <sub>3</sub> |
| E-332    | CH | OCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub> | CH <sub>3</sub> |
| E-333    | CH | OCH <sub>2</sub> CH=CHCH <sub>3</sub>                | CH <sub>3</sub> |
| E-334    | CH | OCH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub> | CH <sub>3</sub> |
| E-335    | CH | OCH <sub>2</sub> CCH                                 | CH <sub>3</sub> |
| E-336    | CH | OCH <sub>2</sub> CCCH <sub>3</sub>                   | CH <sub>3</sub> |
| E-337    | CH | OCH <sub>2</sub> Ph                                  | CH <sub>3</sub> |
| E-338    | CH | OCH(CH <sub>3</sub> )Ph                              | CH <sub>3</sub> |
| E-339    | CH | OCH <sub>2</sub> (2-Cl-Ph)                           | CH <sub>3</sub> |
| E-340    | CH | OCH <sub>2</sub> (3-Cl-Ph)                           | CH <sub>3</sub> |
| E-341    | CH | OCH <sub>2</sub> (4-Cl-Ph)                           | CH <sub>3</sub> |
| E-342    | CH | OCH <sub>2</sub> (2-OCH <sub>3</sub> -Ph)            | CH <sub>3</sub> |
| E-343    | CH | OCH <sub>2</sub> (3-OCH <sub>3</sub> -Ph)            | CH <sub>3</sub> |

| Compound | U  | R <sup>2a</sup>  | R <sup>a</sup>  |
|----------|----|--|-----------------|
| E-344    | CH | OCH <sub>2</sub> (4-OCH <sub>3</sub> -Ph)                                      | CH <sub>3</sub> |
| E-345    | CH | OCH <sub>2</sub> (2-CF <sub>3</sub> -Ph)                                       | CH <sub>3</sub> |
| E-346    | CH | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                                       | CH <sub>3</sub> |
| E-347    | CH | OCH <sub>2</sub> (4-CF <sub>3</sub> -Ph)                                       | CH <sub>3</sub> |
| E-348    | CH | OCH <sub>2</sub> (2-NO <sub>2</sub> -Ph)                                       | CH <sub>3</sub> |
| E-349    | CH | OCH <sub>2</sub> (3-NO <sub>2</sub> -Ph)                                       | CH <sub>3</sub> |
| E-350    | CH | OCH <sub>2</sub> (4-NO <sub>2</sub> -Ph)                                       | CH <sub>3</sub> |
| E-351    | CH | CH <sub>3</sub>  | Ph              |
| E-352    | CH | C <sub>2</sub> H <sub>5</sub>  | Ph              |
| E-353    | CH | n-C <sub>3</sub> H <sub>7</sub>  | Ph              |
| E-354    | CH | i-C <sub>3</sub> H <sub>7</sub>  | Ph              |
| E-355    | CH | n-C <sub>4</sub> H <sub>9</sub>  | Ph              |
| E-356    | CH | s-C <sub>4</sub> H <sub>9</sub>  | Ph              |
| E-357    | CH | i-C <sub>4</sub> H <sub>9</sub>  | Ph              |
| E-358    | CH | t-C <sub>4</sub> H <sub>9</sub>  | Ph              |
| E-359    | CH | n-C <sub>5</sub> H <sub>11</sub>   | Ph              |
| E-360    | CH | n-C <sub>6</sub> H <sub>13</sub>   | Ph              |
| E-361    | CH | CH <sub>2</sub> CH=CH <sub>2</sub>   | Ph              |
| E-362    | CH | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            | Ph              |
| E-363    | CH | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          | Ph              |
| E-364    | CH | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            | Ph              |
| E-365    | CH | CH <sub>2</sub> CCl=CH <sub>2</sub>  | Ph              |
| E-366    | CH | CH <sub>2</sub> CH=CCl <sub>2</sub>  | Ph              |
| E-367    | CH | CH <sub>2</sub> CH=CHCF <sub>3</sub>   | Ph              |
| E-368    | CH | CH <sub>2</sub> CH=CHPh  | Ph              |
| E-369    | CH | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | Ph              |
| E-370    | CH | CH <sub>2</sub> CCH  | Ph              |
| E-371    | CH | CH <sub>2</sub> CCCH <sub>3</sub>  | Ph              |
| E-372    | CH | CH <sub>2</sub> CF <sub>3</sub>  | Ph              |
| E-373    | CH | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | Ph              |
| E-374    | CH | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | Ph              |
| E-375    | CH | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | Ph              |
| E-376    | CH | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | Ph              |
| E-377    | CH | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | Ph              |
| E-378    | CH | CH <sub>2</sub> CN   | Ph              |
| E-379    | CH | CH <sub>2</sub> CO <sub>2</sub> H  | Ph              |
| E-380    | CH | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                | Ph              |
| E-381    | CH | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  | Ph              |
| E-382    | CH | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            | Ph              |
| E-383    | CH | cyclo-C <sub>3</sub> H <sub>7</sub>  | Ph              |
| E-384    | CH | cyclo-C <sub>5</sub> H <sub>9</sub>  | Ph              |
| E-385    | CH | cyclo-C <sub>6</sub> H <sub>11</sub>   | Ph              |

| Compound | U  | R <sup>2a</sup>   | R <sup>e</sup> |
|----------|----|-------------------|----------------|
| E-386    | CH | CH2(cyclo-C3H5)   | Ph             |
| E-387    | CH | CH2(cyclo-C5H9)   | Ph             |
| E-388    | CH | CH2(cyclo-C6H11)  | Ph             |
| E-389    | CH | CH2Ph             | Ph             |
| E-390    | CH | CH2(2-Cl-Ph)      | Ph             |
| E-391    | CH | CH2(3-Cl-Ph)      | Ph             |
| E-392    | CH | CH2(4-Cl-Ph)      | Ph             |
| E-393    | CH | CH2(2-CF3-Ph)     | Ph             |
| E-394    | CH | CH2(3-CF3-Ph)     | Ph             |
| E-395    | CH | CH2(4-CF3-Ph)     | Ph             |
| E-396    | CH | CH2(2-F-Ph)       | Ph             |
| E-397    | CH | CH2(3-F-Ph)       | Ph             |
| E-398    | CH | CH2(4-F-Ph)       | Ph             |
| E-399    | CH | CH2(2-OMe-Ph)     | Ph             |
| E-400    | CH | CH2(3-OMe-Ph)     | Ph             |
| E-401    | CH | CH2(4-OMe-Ph)     | Ph             |
| E-402    | CH | CH(CH3)Ph         | Ph             |
| E-403    | CH | CH(CH3)(2-Cl-Ph)  | Ph             |
| E-404    | CH | CH(CH3)(3-Cl-Ph)  | Ph             |
| E-405    | CH | CH(CH3)(4-Cl-Ph)  | Ph             |
| E-406    | CH | CH(CH3)(2-CF3-Ph) | Ph             |
| E-407    | CH | CH(CH3)(3-CF3-Ph) | Ph             |
| E-408    | CH | CH(CH3)(4-CF3-Ph) | Ph             |
| E-409    | CH | Ph                | Ph             |
| E-410    | CH | 2-Cl-Ph           | Ph             |
| E-411    | CH | 3-Cl-Ph           | Ph             |
| E-412    | CH | 4-Cl-Ph           | Ph             |
| E-413    | CH | 2-CF3-Ph          | Ph             |
| E-414    | CH | 3-CF3-Ph          | Ph             |
| E-415    | CH | 4-CF3-Ph          | Ph             |
| E-416    | CH | 2-CH3O-Ph         | Ph             |
| E-417    | CH | 3-CH3O-Ph         | Ph             |
| E-418    | CH | 4-CH3O-Ph         | Ph             |
| E-419    | CH | 4-CF3O-Ph         | Ph             |
| E-420    | CH | 4-CF3CH2O-Ph      | Ph             |
| E-421    | CH | 4-PhO-Ph          | Ph             |
| E-422    | CH | 4-(4-Cl-Ph)O-Ph   | Ph             |
| E-423    | CH | 4-(4-CF3-Ph)O-Ph  | Ph             |
| E-424    | CH | OCH3              | Ph             |
| E-425    | CH | OC2H5             | Ph             |
| E-426    | CH | O-n-C3H7          | Ph             |
| E-427    | CH | O-i-C3H7          | Ph             |

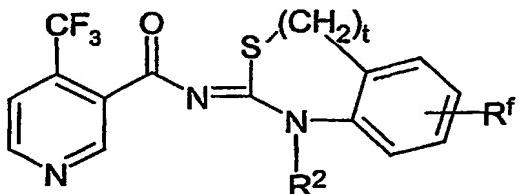
| Compound | U  | R <sup>2a</sup> | R <sup>e</sup> |
|----------|----|-----------------|----------------|
| E-428    | CH | O-n-C4H9        | Ph             |
| E-429    | CH | O-i-C4H7        | Ph             |
| E-430    | CH | O-sec-C4H9      | Ph             |
| E-431    | CH | O-t-C4H9        | Ph             |
| E-432    | CH | O-n-C5H11       | Ph             |
| E-433    | CH | OCH2CH=CH2      | Ph             |
| E-434    | CH | OCH2C(CH3)=CH2  | Ph             |
| E-435    | CH | OCH2CH=CHCH3    | Ph             |
| E-436    | CH | OCH2CH=C(CH3)2  | Ph             |
| E-437    | CH | OCH2CCH         | Ph             |
| E-438    | CH | OCH2CCCH3       | Ph             |
| E-439    | CH | OCH2Ph          | Ph             |
| E-440    | CH | OCH(CH3)Ph      | Ph             |
| E-441    | CH | OCH2(2-Cl-Ph)   | Ph             |
| E-442    | CH | OCH2(3-Cl-Ph)   | Ph             |
| E-443    | CH | OCH2(4-Cl-Ph)   | Ph             |
| E-444    | CH | OCH2(2-OCH3-Ph) | Ph             |
| E-445    | CH | OCH2(3-OCH3-Ph) | Ph             |
| E-446    | CH | OCH2(4-OCH3-Ph) | Ph             |
| E-447    | CH | OCH2(2-CF3-Ph)  | Ph             |
| E-448    | CH | OCH2(3-CF3-Ph)  | Ph             |
| E-449    | CH | OCH2(4-CF3-Ph)  | Ph             |
| E-450    | CH | OCH2(2-NO2-Ph)  | Ph             |
| E-451    | CH | OCH2(3-NO2-Ph)  | Ph             |
| E-452    | CH | OCH2(4-NO2-Ph)  | Ph             |
| E-453    | N  | CH3             | Ph             |
| E-454    | N  | C2H5            | Ph             |
| E-455    | N  | n-C3H7          | Ph             |
| E-456    | N  | i-C3H7          | Ph             |
| E-457    | N  | n-C4H9          | Ph             |
| E-458    | N  | s-C4H9          | Ph             |
| E-459    | N  | i-C4H9          | Ph             |
| E-460    | N  | t-C4H9          | Ph             |
| E-461    | N  | n-C5H11         | Ph             |
| E-462    | N  | n-C6H13         | Ph             |
| E-463    | N  | CH2CH=CH2       | Ph             |
| E-464    | N  | CH2C(CH3)=CH2   | Ph             |
| E-465    | N  | CH2C(CH3)=CHCH3 | Ph             |
| E-466    | N  | CH2CH=C(CH3)2   | Ph             |
| E-467    | N  | CH2CCI=CH2      | Ph             |
| E-468    | N  | CH2CH=CCI2      | Ph             |
| E-469    | N  | CH2CH=CHCF3     | Ph             |

| Compound | U | R <sup>2a</sup>  | R <sup>e</sup> |
|----------|---|--|----------------|
| E-470    | N | CH <sub>2</sub> CH=CHPh  | Ph             |
| E-471    | N | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   | Ph             |
| E-472    | N | CH <sub>2</sub> CCH  | Ph             |
| E-473    | N | CH <sub>2</sub> CCCH <sub>3</sub>  | Ph             |
| E-474    | N | CH <sub>2</sub> CF <sub>3</sub>  | Ph             |
| E-475    | N | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               | Ph             |
| E-476    | N | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 | Ph             |
| E-477    | N | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               | Ph             |
| E-478    | N | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> | Ph             |
| E-479    | N | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             | Ph             |
| E-480    | N | CH <sub>2</sub> CN   | Ph             |
| E-481    | N | CH <sub>2</sub> CO <sub>2</sub> H  | Ph             |
| E-482    | N | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                | Ph             |
| E-483    | N | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  | Ph             |
| E-484    | N | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            | Ph             |
| E-485    | N | cyclo-C <sub>3</sub> H <sub>7</sub>  | Ph             |
| E-486    | N | cyclo-C <sub>5</sub> H <sub>9</sub>  | Ph             |
| E-487    | N | cyclo-C <sub>6</sub> H <sub>11</sub>   | Ph             |
| E-488    | N | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         | Ph             |
| E-489    | N | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         | Ph             |
| E-490    | N | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        | Ph             |
| E-491    | N | CH <sub>2</sub> Ph   | Ph             |
| E-492    | N | CH <sub>2</sub> (2-Cl-Ph)  | Ph             |
| E-493    | N | CH <sub>2</sub> (3-Cl-Ph)  | Ph             |
| E-494    | N | CH <sub>2</sub> (4-Cl-Ph)  | Ph             |
| E-495    | N | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)  | Ph             |
| E-496    | N | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)  | Ph             |
| E-497    | N | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)  | Ph             |
| E-498    | N | CH <sub>2</sub> (2-F-Ph)   | Ph             |
| E-499    | N | CH <sub>2</sub> (3-F-Ph)   | Ph             |
| E-500    | N | CH <sub>2</sub> (4-F-Ph)   | Ph             |
| E-501    | N | CH <sub>2</sub> (2-OMe-Ph)   | Ph             |
| E-502    | N | CH <sub>2</sub> (3-OMe-Ph)   | Ph             |
| E-503    | N | CH <sub>2</sub> (4-OMe-Ph)   | Ph             |
| E-504    | N | CH(CH <sub>3</sub> )Ph   | Ph             |
| E-505    | N | CH(CH <sub>3</sub> )(2-Cl-Ph)  | Ph             |
| E-506    | N | CH(CH <sub>3</sub> )(3-Cl-Ph)  | Ph             |
| E-507    | N | CH(CH <sub>3</sub> )(4-Cl-Ph)  | Ph             |
| E-508    | N | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                                    | Ph             |
| E-509    | N | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                                    | Ph             |
| E-510    | N | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                                    | Ph             |
| E-511    | N | Ph   | Ph             |

| Compound | U  | R <sup>2a</sup>                        | R <sup>e</sup>  |
|----------|----|--|-----------------|
| E-512    | N  | 2-Cl-Ph                                | Ph              |
| E-513    | N  | 3-Cl-Ph                                | Ph              |
| E-514    | N  | 4-Cl-Ph                                | Ph              |
| E-515    | N  | 2-CF <sub>3</sub> -Ph                  | Ph              |
| E-516    | N  | 3-CF <sub>3</sub> -Ph                  | Ph              |
| E-517    | N  | 4-CF <sub>3</sub> -Ph                  | Ph              |
| E-518    | N  | 2-CH <sub>3</sub> O-Ph                 | Ph              |
| E-519    | N  | 3-CH <sub>3</sub> O-Ph                 | Ph              |
| E-520    | N  | 4-CH <sub>3</sub> O-Ph                 | Ph              |
| E-521    | N  | 4-CF <sub>3</sub> O-Ph                 | Ph              |
| E-522    | N  | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph | Ph              |
| E-523    | N  | 4-PhO-Ph                               | Ph              |
| E-524    | N  | 4-(4-Cl-Ph)O-Ph                        | Ph              |
| E-525    | N  | 4-(4-CF <sub>3</sub> -Ph)O-Ph          | Ph              |
| E-526    | CH | CH <sub>3</sub>                        | CF <sub>3</sub> |
| E-527    | CH | i-C <sub>3</sub> H <sub>7</sub>        | CF <sub>3</sub> |
| E-528    | CH | CH <sub>2</sub> CHCH <sub>2</sub>      | CF <sub>3</sub> |
| E-529    | CH | CH <sub>2</sub> Ph                     | CF <sub>3</sub> |
| E-530    | CH | Ph                                     | CF <sub>3</sub> |
| E-531    | CH | 3-CF <sub>3</sub> -Ph                  | CF <sub>3</sub> |
| E-532    | N  | CH <sub>3</sub>                        | CF <sub>3</sub> |
| E-533    | N  | i-C <sub>3</sub> H <sub>7</sub>        | CF <sub>3</sub> |
| E-534    | N  | CH <sub>2</sub> CHCH <sub>2</sub>      | CF <sub>3</sub> |
| E-535    | N  | CH <sub>2</sub> Ph                     | CF <sub>3</sub> |
| E-536    | N  | Ph                                     | CF <sub>3</sub> |
| E-537    | N  | 3-CF <sub>3</sub> -Ph                  | CF <sub>3</sub> |

Table 6

Compounds of formula (If) wherein t is 0 or 1. Compounds F-1 to F-78 represent individual compounds in which t is 0, whilst compounds G-1 to G-78 represent 5 individual compounds in which t is 1.



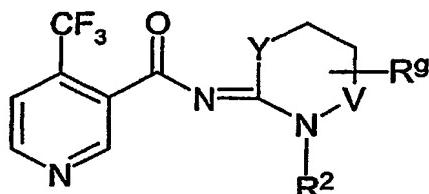
(1f)

| Compound | R <sup>2</sup> | R <sup>1</sup>   |
|----------|----------------|--|
| F-1      | G-1            | H  |
| F-2      | G-2            | CH <sub>3</sub>  |
| F-3      | G-3            | C <sub>2</sub> H <sub>5</sub>  |
| F-4      | G-4            | n-C <sub>3</sub> H <sub>7</sub>  |
| F-5      | G-5            | i-C <sub>3</sub> H <sub>7</sub>  |
| F-6      | G-6            | n-C <sub>4</sub> H <sub>9</sub>  |
| F-7      | G-7            | s-C <sub>4</sub> H <sub>9</sub>  |
| F-8      | G-8            | i-C <sub>4</sub> H <sub>9</sub>  |
| F-9      | G-9            | t-C <sub>4</sub> H <sub>9</sub>  |
| F-10     | G-10           | n-C <sub>5</sub> H <sub>11</sub>   |
| F-11     | G-11           | n-C <sub>6</sub> H <sub>13</sub>   |
| F-12     | G-12           | CH <sub>2</sub> CH=CH <sub>2</sub>   |
| F-13     | G-13           | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            |
| F-14     | G-14           | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          |
| F-15     | G-15           | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            |
| F-16     | G-16           | CH <sub>2</sub> CCl=CH <sub>2</sub>  |
| F-17     | G-17           | CH <sub>2</sub> CH=CCl <sub>2</sub>  |
| F-18     | G-18           | CH <sub>2</sub> CH=CHCF <sub>3</sub>   |
| F-19     | G-19           | CH <sub>2</sub> CH=CHPh  |
| F-20     | G-20           | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   |
| F-21     | G-21           | CH <sub>2</sub> CCH  |
| F-22     | G-22           | CH <sub>2</sub> CCCH <sub>3</sub>  |
| F-23     | G-23           | CH <sub>2</sub> CF <sub>3</sub>  |
| F-24     | G-24           | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               |
| F-25     | G-25           | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 |
| F-26     | G-26           | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               |
| F-27     | G-27           | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> |
| F-28     | G-28           | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             |
| F-29     | G-29           | CH <sub>2</sub> CN   |
| F-30     | G-30           | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                                |
| F-31     | G-31           | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                  |
| F-32     | G-32           | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>                            |
| F-33     | G-33           | cyclo-C <sub>3</sub> H <sub>7</sub>  |
| F-34     | G-34           | cyclo-C <sub>5</sub> H <sub>9</sub>  |
| F-35     | G-35           | cyclo-C <sub>6</sub> H <sub>11</sub>   |
| F-36     | G-36           | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )                         |
| F-37     | G-37           | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )                         |
| F-38     | G-38           | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )                        |
| F-39     | G-39           | CH <sub>2</sub> Ph   |
| F-40     | G-40           | CH <sub>2</sub> (2-Cl-Ph)  |
| F-41     | G-41           | CH <sub>2</sub> (3-Cl-Ph)  |
| F-42     | G-42           | CH <sub>2</sub> (4-Cl-Ph)  |

| Compound | R <sup>2</sup> | R <sup>1</sup>                                      |
|----------|----------------|---|
| F-43     | G-43           | CH2(2-CF <sub>3</sub> -Ph)                          |
| F-44     | G-44           | CH2(3-CF <sub>3</sub> -Ph)                          |
| F-45     | G-45           | CH2(4-CF <sub>3</sub> -Ph)                          |
| F-46     | G-46           | CH2(2-F-Ph)   |
| F-47     | G-47           | CH2(3-F-Ph)   |
| F-48     | G-48           | CH2(4-F-Ph)   |
| F-49     | G-49           | CH2(2-OMe-Ph)                                       |
| F-50     | G-50           | CH2(3-OMe-Ph)                                       |
| F-51     | G-51           | CH2(4-OMe-Ph)                                       |
| F-52     | G-52           | CH(CH <sub>3</sub> )Ph                              |
| F-53     | G-53           | CH(CH <sub>3</sub> )(2-Cl-Ph)                       |
| F-54     | G-54           | CH(CH <sub>3</sub> )(3-Cl-Ph)                       |
| F-55     | G-55           | CH(CH <sub>3</sub> )(4-Cl-Ph)                       |
| F-56     | G-56           | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)         |
| F-57     | G-57           | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)         |
| F-58     | G-58           | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)         |
| F-59     | G-59           | H   |
| F-60     | G-60           | 4-Cl  |
| F-61     | G-61           | CH <sub>2</sub> CH=CH <sub>2</sub>                  |
| F-62     | G-62           | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub> |
| F-63     | G-63           | CH <sub>2</sub> Ph                                  |
| F-64     | G-64           | H   |
| F-65     | G-65           | 5-Cl  |
| F-66     | G-66           | CH <sub>2</sub> CH=CH <sub>2</sub>                  |
| F-67     | G-67           | 5-Cl  |
| F-68     | G-68           | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub> |
| F-69     | G-69           | H   |
| F-70     | G-70           | 6-Cl  |
| F-71     | G-71           | CH <sub>3</sub>                                     |
| F-72     | G-72           | 6-Cl  |
| F-73     | G-73           | CH <sub>2</sub> CH=CH <sub>2</sub>                  |
| F-74     | G-74           | 6-Cl  |
| F-75     | G-75           | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub> |
| F-76     | G-76           | H   |
| F-77     | G-77           | 7-Cl  |
| F-78     | G-78           | CH <sub>2</sub> Ph                                  |

Table 7

Compounds of formula (1g). Compounds H-1 to H-102 represent individual compounds in which Y is S and V is CH<sub>2</sub>; compounds I-1 to I-102 represent individual compounds in which Y is S and V is O; compounds J-1 to J-102 represent individual compounds in which Y is O and V is CH<sub>2</sub>.



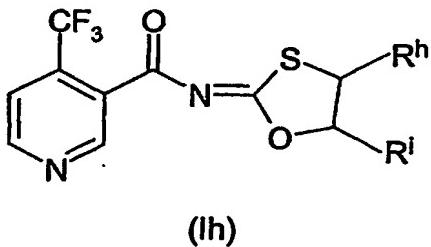
(1g)

| Compound |      | R <sup>2</sup> | R <sup>g</sup>   |
|----------|------|----------------|--|
| H-1      | I-1  | J-1            | H  |
| H-2      | I-2  | J-2            | CH <sub>3</sub>  |
| H-3      | I-3  | J-3            | C <sub>2</sub> H <sub>5</sub>  |
| H-4      | I-4  | J-4            | n-C <sub>3</sub> H <sub>7</sub>  |
| H-5      | I-5  | J-5            | i-C <sub>3</sub> H <sub>7</sub>  |
| H-6      | I-6  | J-6            | n-C <sub>4</sub> H <sub>9</sub>  |
| H-7      | I-7  | J-7            | s-C <sub>4</sub> H <sub>9</sub>  |
| H-8      | I-8  | J-8            | i-C <sub>4</sub> H <sub>9</sub>  |
| H-9      | I-9  | J-9            | t-C <sub>4</sub> H <sub>9</sub>  |
| H-10     | I-10 | J-10           | n-C <sub>5</sub> H <sub>11</sub>   |
| H-11     | I-11 | J-11           | n-C <sub>6</sub> H <sub>13</sub>   |
| H-12     | I-12 | J-12           | CH <sub>2</sub> CH=CH <sub>2</sub>   |
| H-13     | I-13 | J-13           | CH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub>                            |
| H-14     | I-14 | J-14           | CH <sub>2</sub> C(CH <sub>3</sub> )=CHCH <sub>3</sub>                          |
| H-15     | I-15 | J-15           | CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>                            |
| H-16     | I-16 | J-16           | CH <sub>2</sub> CCl=CH <sub>2</sub>  |
| H-17     | I-17 | J-17           | CH <sub>2</sub> CH=CCl <sub>2</sub>  |
| H-18     | I-18 | J-18           | CH <sub>2</sub> CH=CHCF <sub>3</sub>   |
| H-19     | I-19 | J-19           | CH <sub>2</sub> CH=CHPh  |
| H-20     | I-20 | J-20           | CH(CH <sub>3</sub> )CH=CH <sub>2</sub>   |
| H-21     | I-21 | J-21           | CH <sub>2</sub> CCH  |
| H-22     | I-22 | J-22           | CH <sub>2</sub> CCCH <sub>3</sub>  |
| H-23     | I-23 | J-23           | CH <sub>2</sub> CF <sub>3</sub>  |
| H-24     | I-24 | J-24           | CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>                               |
| H-25     | I-25 | J-25           | CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub>                 |
| H-26     | I-26 | J-26           | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>               |
| H-27     | I-27 | J-27           | CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OC <sub>2</sub> H <sub>5</sub> |
| H-28     | I-28 | J-28           | CH <sub>2</sub> CH(OCH <sub>3</sub> ) <sub>2</sub>                             |
| H-29     | I-29 | J-29           | CH <sub>2</sub> CN   |

| Compound |      | R <sup>2</sup> | R <sup>g</sup>  |
|----------|------|----------------|---|
| H-30     | I-30 | J-30           | CH <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>               |
| H-31     | I-31 | J-31           | CH <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> |
| H-32     | I-32 | J-32           | CH(CH <sub>3</sub> )CO <sub>2</sub> CH <sub>3</sub>           |
| H-33     | I-33 | J-33           | cyclo-C <sub>3</sub> H <sub>7</sub>                           |
| H-34     | I-34 | J-34           | cyclo-C <sub>5</sub> H <sub>9</sub>                           |
| H-35     | I-35 | J-35           | cyclo-C <sub>6</sub> H <sub>11</sub>                          |
| H-36     | I-36 | J-36           | CH <sub>2</sub> (cyclo-C <sub>3</sub> H <sub>5</sub> )        |
| H-37     | I-37 | J-37           | CH <sub>2</sub> (cyclo-C <sub>5</sub> H <sub>9</sub> )        |
| H-38     | I-38 | J-38           | CH <sub>2</sub> (cyclo-C <sub>6</sub> H <sub>11</sub> )       |
| H-39     | I-39 | J-39           | CH <sub>2</sub> Ph  |
| H-40     | I-40 | J-40           | CH <sub>2</sub> (2-Cl-Ph)                                     |
| H-41     | I-41 | J-41           | CH <sub>2</sub> (3-Cl-Ph)                                     |
| H-42     | I-42 | J-42           | CH <sub>2</sub> (4-Cl-Ph)                                     |
| H-43     | I-43 | J-43           | CH <sub>2</sub> (2-CF <sub>3</sub> -Ph)                       |
| H-44     | I-44 | J-44           | CH <sub>2</sub> (3-CF <sub>3</sub> -Ph)                       |
| H-45     | I-45 | J-45           | CH <sub>2</sub> (4-CF <sub>3</sub> -Ph)                       |
| H-46     | I-46 | J-46           | CH <sub>2</sub> (2-F-Ph)                                      |
| H-47     | I-47 | J-47           | CH <sub>2</sub> (3-F-Ph)                                      |
| H-48     | I-48 | J-48           | CH <sub>2</sub> (4-F-Ph)                                      |
| H-49     | I-49 | J-49           | CH <sub>2</sub> (2-OMe-Ph)                                    |
| H-50     | I-50 | J-50           | CH <sub>2</sub> (3-OMe-Ph)                                    |
| H-51     | I-51 | J-51           | CH <sub>2</sub> (4-OMe-Ph)                                    |
| H-52     | I-52 | J-52           | CH(CH <sub>3</sub> )Ph  |
| H-53     | I-53 | J-53           | CH(CH <sub>3</sub> )(2-Cl-Ph)                                 |
| H-54     | I-54 | J-54           | CH(CH <sub>3</sub> )(3-Cl-Ph)                                 |
| H-55     | I-55 | J-55           | CH(CH <sub>3</sub> )(4-Cl-Ph)                                 |
| H-56     | I-56 | J-56           | CH(CH <sub>3</sub> )(2-CF <sub>3</sub> -Ph)                   |
| H-57     | I-57 | J-57           | CH(CH <sub>3</sub> )(3-CF <sub>3</sub> -Ph)                   |
| H-58     | I-58 | J-58           | CH(CH <sub>3</sub> )(4-CF <sub>3</sub> -Ph)                   |
| H-59     | I-59 | J-59           | Ph  |
| H-60     | I-60 | J-60           | 2-Cl-Ph   |
| H-61     | I-61 | J-61           | 3-Cl-Ph   |
| H-62     | I-62 | J-62           | 4-Cl-Ph   |
| H-63     | I-63 | J-63           | 2-CF <sub>3</sub> -Ph   |
| H-64     | I-64 | J-64           | 3-CF <sub>3</sub> -Ph   |
| H-65     | I-65 | J-65           | 4-CF <sub>3</sub> -Ph   |
| H-66     | I-66 | J-66           | 2-CH <sub>3</sub> O-Ph  |
| H-67     | I-67 | J-67           | 3-CH <sub>3</sub> O-Ph  |
| H-68     | I-68 | J-68           | 4-CH <sub>3</sub> O-Ph  |
| H-69     | I-69 | J-69           | 4-CF <sub>3</sub> O-Ph  |
| H-70     | I-70 | J-70           | 4-CF <sub>3</sub> CH <sub>2</sub> O-Ph                        |
| H-71     | I-71 | J-71           | 4-PhO-Ph  |

| Compound |       | R <sup>2</sup> | R <sup>9</sup>                                       |
|----------|-------|----------------|--|
| H-72     | I-72  | J-72           | 4-(4-Cl-Ph)O-Ph                                      |
| H-73     | I-73  | J-73           | 4-(4-CF <sub>3</sub> -Ph)O-Ph                        |
| H-74     | I-74  | J-74           | OCH <sub>3</sub>                                     |
| H-75     | I-75  | J-75           | OC <sub>2</sub> H <sub>5</sub>                       |
| H-76     | I-76  | J-76           | O-n-C <sub>3</sub> H <sub>7</sub>                    |
| H-77     | I-77  | J-77           | O-i-C <sub>3</sub> H <sub>7</sub>                    |
| H-78     | I-78  | J-78           | O-n-C <sub>4</sub> H <sub>9</sub>                    |
| H-79     | I-79  | J-79           | O-i-C <sub>4</sub> H <sub>7</sub>                    |
| H-80     | I-80  | J-80           | O-sec-C <sub>4</sub> H <sub>9</sub>                  |
| H-81     | I-81  | J-81           | O-t-C <sub>4</sub> H <sub>9</sub>                    |
| H-82     | I-82  | J-82           | O-n-C <sub>5</sub> H <sub>11</sub>                   |
| H-83     | I-83  | J-83           | OCH <sub>2</sub> CH=CH <sub>2</sub>                  |
| H-84     | I-84  | J-84           | OCH <sub>2</sub> C(CH <sub>3</sub> )=CH <sub>2</sub> |
| H-85     | I-85  | J-85           | OCH <sub>2</sub> CH=CHCH <sub>3</sub>                |
| H-86     | I-86  | J-86           | OCH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub> |
| H-87     | I-87  | J-87           | OCH <sub>2</sub> CCH                                 |
| H-88     | I-88  | J-88           | OCH <sub>2</sub> CCCH <sub>3</sub>                   |
| H-89     | I-89  | J-89           | OCH <sub>2</sub> Ph                                  |
| H-90     | I-90  | J-90           | OCH(CH <sub>3</sub> )Ph                              |
| H-91     | I-91  | J-91           | OCH <sub>2</sub> (2-Cl-Ph)                           |
| H-92     | I-92  | J-92           | OCH <sub>2</sub> (3-Cl-Ph)                           |
| H-93     | I-93  | J-93           | OCH <sub>2</sub> (4-Cl-Ph)                           |
| H-94     | I-94  | J-94           | OCH <sub>2</sub> (2-OCH <sub>3</sub> -Ph)            |
| H-95     | I-95  | J-95           | OCH <sub>2</sub> (3-OCH <sub>3</sub> -Ph)            |
| H-96     | I-96  | J-96           | OCH <sub>2</sub> (4-OCH <sub>3</sub> -Ph)            |
| H-97     | I-97  | J-97           | OCH <sub>2</sub> (2-CF <sub>3</sub> -Ph)             |
| H-98     | I-98  | J-98           | OCH <sub>2</sub> (3-CF <sub>3</sub> -Ph)             |
| H-99     | I-99  | J-99           | OCH <sub>2</sub> (4-CF <sub>3</sub> -Ph)             |
| H-100    | I-100 | J-100          | OCH <sub>2</sub> (2-NO <sub>2</sub> -Ph)             |
| H-101    | I-101 | J-101          | OCH <sub>2</sub> (3-NO <sub>2</sub> -Ph)             |
| H-102    | I-102 | J-102          | OCH <sub>2</sub> (4-NO <sub>2</sub> -Ph)             |

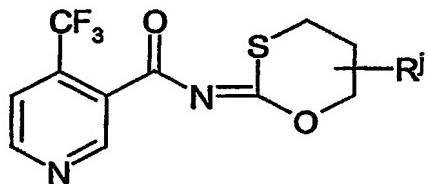
Table 8  
Compounds of formula (Ih):



| Compound | R <sup>h</sup>  | R <sup>i</sup>  |
|----------|-----------------|-----------------|
| K-1      | H               | H               |
| K-2      | CH <sub>3</sub> | H               |
| K-3      | H               | CH <sub>3</sub> |
| K-4      | CH <sub>3</sub> | CH <sub>3</sub> |

Table 9

5 Compounds of formula (ii);



(ii)

| Compound | R <sup>j</sup> |
|----------|----------------|
| L-1      | H              |

10 Table 10

1H-NMR spectral details for representative Examples from the above Tables.

Spectra were measured in deuteriochloroform unless otherwise stated.

| Cpd  | 1H-NMR  |
|------|---|
| A-1  | 11.24(1H, s) 9.20(1H, s) 8.80(1H, d) 7.57(1H, d) 3.08(3H, d) 2.53(3H, s)  |
| A-11 | 11.04(1H, s) 9.18(1H, s) 8.79(1H, d) 7.57(1H, d) 5.93(1H, m) 5.26(1H, d)<br>5.20(1H, d) 3.86(2H, d) 3.08(3H, d) |
| A-20 | 11.02(1H, s) 9.26(1H, s) 8.81(1H, d) 7.58(1H, d) 3.97(2H, s) 3.09(3H, d)  |
| A-32 | 11.03(1H, s) 9.13(1H, s) 8.79(1H, d) 7.57(1H, d) 7.25-7.40(5H) 4.44(2H, s)<br>3.05(3H, d)                       |
| A-53 | 9.14(1H, s) 8.78(1H, d) 7.58(1H, d) 3.29(6H, s) 2.55(2H, s)   |
| A-54 | 9.12(1H, s) 8.75(1H, d) 7.56(1H, d) 3.15(6H, s) 3.11(2H, q) 1.34(3H, t)   |

| Cpd   | 1H-NMR   |
|-------|--|
| A-56  | 9.13(1H, s) 8.76(1H, d) 7.57(1H, d) 3.84(1H, m) 3.26(6H, s) 1.39(3H, d)  |
| A-59  | 9.14(1H, s) 8.76(1H, d) 7.57(1H, d) 3.29(6H, s) 3.00(2H, d) 1.78(1H, m)<br>1.01(6H, d)   |
| A-67  | 9.15(1H, s) 8.76(1H, d) 7.57(1H, d) 5.88(1H, m) 5.28(1H, d) 5.18(1H, d)<br>3.75(2H, d) 3.28(6H, s)                                   |
| A-72  | 9.23(1H, s) 8.77(1H, d) 7.57(1H, d) 3.86(1H, d) 3.28(6H, s) 2.28(1H, t)  |
| A-84  | 9.14(1H, s) 8.77(1H, d) 7.57(1H, d) 3.87(2H, s) 3.66(2H, s) 3.29(6H, s)  |
| A-89  | 9.13(1H, s) 8.74(1H, d) 7.57(1H, d) 7.20-7.35(5H) 4.35(2H, s) 3.28(6H, s)  |
| A-94  | 9.13(1H, s) 8.88(1H, d) 7.35-7.70(5H) 4.37(2H, s) 3.29(6H, s)  |
| A-95  | 9.14(1H, s) 8.85(1H, d) 7.56(1H, d) 7.50(2H, d) 7.28(2H, d) 4.37(2H, s)<br>3.29(6H, s)   |
| A-102 | 9.09(1H, s) 8.76(1H, d) 7.58(1H, d) 7.40-7.25(5H) 4.93(1H, q) 3.24(6H, s)<br>1.75(3H, d)   |
| A-221 | 10.99(1H, s) 9.17(1H, s) 8.78(1H, d) 7.56(1H, d) 3.96(1H, m) 3.14(2H, t)<br>1.75(2H, m) 1.33(6H, d) 1.00(3H, t)                      |
| A-229 | 10.99(1H) 9.17(1H, s) 8.79(1H, d) 7.57(1H, d) 5.94(1H, m) 5.25(1H, d)<br>5.20(1H, d) 3.94(1H, m) 3.84(2H, d) 1.34(6H, d)             |
| A-230 | 10.99(1H) 9.15(1H, s) 8.78(1H, d) 7.56(1H, d) 4.98(1H, s) 4.90(1H, s)<br>3.98(1H, m) 3.89(2H, s) 1.82(3H, s) 1.34(6H, d)             |
| A-231 | 10.96(1H) 9.16(1H, s) 8.77(1H, d) 7.55(1H, d) 5.67(1H, m) 5.51(1H, m)<br>3.92(1H, m) 3.78(2H, d) 1.67(3H, d) 1.32(6H, d)             |
| A-237 | 10.97(1H) 9.17(1H, s) 8.78(1H, d) 7.57(1H, d) 6.00(1H, m) 5.22(1H, d)<br>5.13(1H, d) 4.68(1H, m) 3.94(1H, m) 1.50(3H, d) 1.33(6H, d) |
| A-250 | 9.13(1H, s) 8.78(1H, d) 7.57(1H, d) 7.20-7.35(5H) 4.43(2H, s) 3.91(1H, m)<br>1.35(6H, d)   |
| A-255 | 11.00(1H) 9.10(1H, s) 8.80(1H, d) 7.30-7.60(5H) 4.46(2H, s) 3.90(1H, m)<br>1.35(6H, d)   |

| Cpd   | 1H-NMR  |
|-------|---|
| A-437 | 11.18(1H, s) 9.16(1H, s) 8.79(1H, d) 7.57(1H, d) 6.0-5.8(1H, m) 5.3-5.0(2H, m) 3.84(2H, m) 3.22(2H, t) 2.1-1.9(1H, m) 1.02(6H, d)               |
| A-438 | 11.19(1H, s) 9.15(1H, s) 8.78(1H, d) 7.57(1H, d) 4.98(1H, s) 4.90(1H, s) 3.90(1H, s) 3.24(2H, t) 2.1-1.9(1H, m) 1.82(3H, s) 1.03(6H, d)         |
| A-439 | 11.17(1H, s) 9.17(1H, s) 8.78(1H, d) 7.57(1H, d) 5.8-5.4(2H, m) 3.80(2H, d) 3.20(2H, t) 2.1-1.8(1H, m) 1.8-1.6(3H, m) 1.02(6H, d)               |
| A-458 | 1.17(1H, s) 9.12(1H, s) 8.78(1H, d) 7.57(1H, d) 7.4-7.1(5H, m) 4.43(2H, s) 3.20(2H, t) 2.1-1.9(1H, m) 1.01(6H, d)                               |
| A-460 | 11.17(1H, s) 9.08(1H, s) 8.79(1H, d) 7.57(1H, d) 7.4-7.1(4H, m) 4.38(2H, s) 3.21(2H, t) 2.1-1.9(1H, m) 1.01(6H, d)                              |
| A-481 | 11.50(1H) 9.15(1H, s) 8.89(1H, d) 7.57(1H, d) 2.52(3H, s) 1.53(9H, s)   |
| A-482 | 11.53(1H) 9.12((1H, s) 8.76(1H, d) 7.55(1H, d) 3.15(2H, t) 1.71(2H, m) 1.52(9H, s) 0.99(3H, t)  |
| A-490 | 11.56(1H) 9.14(1H, s) 8.80(1H, d) 7.58(1H, d) 5.95(1H, m) 5.28(1H, d) 5.18(1H, d) 3.88(2H, d) 1.56(9H, s)                                       |
| A-491 | 11.53(1H) 9.10(1H, s) 8.76(1H, d) 7.55(1H, d) 4.97(1H, s) 4.90(1H, s) 3.89(2H, s) 1.82(3H, s) 1.52(9H, s)                                       |
| A-504 | 11.51(1H) 9.13(1H, s) 8.77(1H, d) 7.56(1H, d) 5.66(1H, m) 5.54(1H, m) 3.80(2H) 1.64(3H, s) 1.52(9H, s)  |
| A-576 | 11.51(1H) 9.03(1H, s) 8.78(1H, d) 7.56(1H, d) 3.91(2H, s) 3.65(3H, s) 1.56(9H, s)   |
| A-714 | 9.15(1H, s) 8.77(1H, d) 7.57(1H, d) 5.85(1H, m) 5.28(1H, d) 5.19(1H, d) 3.73(2H, d) 3.66(2H, q) 3.54(2H, t) 1.72(2H, d) 1.30(3H, t) 0.96(3H, t) |
| A-715 | 11.35(1H) 9.21(1H, s) 8.78(1H, d) 7.57(1H, d) 7.25-7.45(5H) 4.60(2H, d) 2.53(3H, s)   |
| A-717 | 11.37(1H, s) 9.20(1H, s) 8.79(1H, d) 7.57(1H, d) 7.23-7.43(5H) 4.29(2H, d) 3.19(2H, q) 1.35(3H, t)  |
| A-724 | 11.38(1H) 9.20(1H, s) 8.78(1H, d) 7.57(1H, d) 7.25-7.42(5H) 4.56(2H, s)   |

| Cpd    | 1H-NMR  |
|--------|---|
|        | 4.12(1H, m) 1.40(6H, d)   |
| A-733  | 11.36(1H, s) 9.15(1H, s) 8.78(1H, d) 7.58(1H, d) 7.25-7.45(5H) 5.93(1H, m)<br>5.24(1H, d) 5.14(1H, d) 4.57(2H, d) 3.87(2H, d) |
| A-740  | 11.32(1H) 9.27(1H, s) 8.81(1H, d) 7.58(1H, d) 7.24-7.45(5H) 5.99(2H, d)<br>3.98(2H, s) 2.28(1H, s)                            |
| A-766  | 11.34(1H) 9.12(1H, s) 8.78(1H, d) 7.56(1H, d) 7.25-7.40(5H) 4.60-4.67(3H)<br>3.43-3.66(4H) 3.41(2H, d) 1.16(6H, t)            |
| A-767  | 9.11(1H, s) 8.78(1H, d) 7.59(1H, d) 7.44-7.50(3H) 7.29(2H, d) 3.53(3H, s)<br>2.44(3H, s)                                      |
| A-776  | 9.10(1H, s) 8.78(1H, d) 7.59(1H, d) 7.45-7.50(3H) 7.33(2H, d) 3.51(3H, s)<br>3.01(2H, q) 1.24(3H, t)                          |
| A-1063 | 9.17(1H, s) 8.76(1H, d) 7.57(1H, d) 7.25-7.40(5H) 5.89(1H, m) 5.25(1H, d)<br>5.18(1H, d) 4.87(2H, s) 3.78(2H, d) 3.16(3H, s)  |
| A-1108 | 9.11(1H, s) 8.75(1H, d) 7.55(1H, d) 3.65(4H, dt) 2.56(3H, s) 2.05(4H, m)  |
| A-1145 | 9.14(1H, s) 8.76(1H, d) 7.57(1H, d) 5.86(1H, m) 5.24(1H, d) 5.17(1H, d)<br>3.70-3.77(3H) 1.67-1.83(6H)                        |
| A-1275 | 9.15(1H, s) 8.79(1H, d) 7.58(1H, d) 5.84(1H, m) 5.26(1H, d) 5.19(1H, d)<br>3.81(8H) 3.72(2H, d)                               |
| A-1279 | 9.26(1H, s) 8.83(1H, d) 7.62(1H, d) 7.38(2H, d) 7.27(2H, d) 5.85(1H, m)<br>5.23(1H, d) 5.14(1H, d) 3.81(2H, d)                |
| A-1324 | 9.23(1H, s) 8.83(1H, d) 7.61(1H, d) 7.15-7.40(9H) 4.40(2H, s)   |
| A-1325 | 9.11(1H, s) 8.77(1H, d) 7.59(1H, d) 7.43-7.50(3H) 7.33(2H) 3.77(1H, m)<br>3.49(3H, s) 1.30(6H, d)                             |
| A-1329 | 9.15(1H, s) 8.78(1H, d) 7.60(1H, d) 7.35-7.50(3H) 7.30(2H) 5.78(1H, m)<br>5.18(1H, d) 5.11(1H, d) 3.65(2H, d) 3.51(3H, s)     |
| A-1332 | 9.17(1H, s) 8.80(1H, d) 7.60(1H, d) 7.25-7.53(5H) 3.76(2H, s) 3.65(3H, s)<br>3.48(3H, s)                                      |
| A-1432 | 9.11(1H, s) 8.78(1H, d) 7.59(1H, d) 7.20-7.48(10H) 4.25(2H, s) 3.52(3H, s)  |

| Cpd    | 1H-NMR   |
|--------|--|
| A-1437 | 8.95(1H, s) 8.74(1H, d) 7.54(1H, d) 7.25-7.43(5H) 5.86(1H, m) 5.21(1H, d)<br>5.14(1H, d) 3.69(2H, d) 2.09(3H, s) 1.95(3H, s) |
| A-1438 | 9.29(1H, s) 8.85(1H, d) 7.50-7.68(5H) 5.90(1H, m) 5.24(1H, d) 5.09(1H, d)<br>3.84(2H, d)                                     |
| B-8    | 12.64(1H) 9.24(1H, s) 8.85(1H, d) 7.15-7.70(9H) 4.43(2H, s)  |
| C-607  | 9.17(1H, s) 8.84(1H, d) 7.60(1H, d) 5.89(1H, m) 5.28(1H, d) 5.19(1H, d)<br>4.54(2H, q) 3.63(2H, d) 1.41(3H, t)               |
| D-1    | 11.37(1H) 9.18(1H, s) 8.79(1H, d) 7.57(1H, d) 7.28-7.40(5H) 5.91(1H, m)<br>5.25(1H, d) 5.14(1H, d) 4.60(2H, d) 3.87(2H, d)   |
| D-2    | 9.75(1H) 9.17(1H, s) 8.82(1H, d) 7.59(1H, d) 3.45(2H, t) 3.27(2H, t)   |
| D-3    | 9.20(1H, s) 8.80(1H, d) 7.57(1H, d) 3.75(2H, t) 3.25(2H, t) 3.23(3H, s)  |
| D-5    | 9.19(1H, s) 8.79(1H, d) 7.57(1H, d) 3.71-3.80(4H) 3.23(2H, t) 1.23(3H, t)  |
| D-8    | 9.18(1H, s) 8.79(1H, d) 7.57(1H, d) 4.97(1H, m) 3.79(2H, t) 3.19(2H, t)<br>1.28(6H, d)                                       |
| D-9    | 9.16(1H, s) 8.79(1H, d) 7.58(1H, d) 3.85(2H, t) 3.09(2H, t) 1.58(9H, s)  |
| D-40   | 9.16(1H, s) 8.80(1H, d) 7.58(1H, d) 3.85(2H, t) 3.09(1H, t) 1.58(8H, s)  |
| D-60   | 9.21(1H, s) 8.79(1H, d) 7.58(1H, d) 7.23-7.40(5H) 4.90(2H, s) 3.63(2H, t)<br>3.19(2H, t)                                     |
| D-63   | 9.09(1H, s) 8.75(1H, d) 7.53(1H, d) 7.41-7.44(4H) 7.30(1H) 4.18(1H, t)<br>3.36(1H, t)  |
| D-104  | 9.07(1H, s) 8.77(1H, d) 7.55(1H, d) 7.30-7.45(4H) 4.15(2H, t) 3.37(2H, t)  |
| D-107  | 9.31(1H, s) 8.91(1H, d) 7.66(1H, d) 3.90(2H, s) 3.38(3H, s)  |
| D-110  | 9.29(1H, s) 8.90(1H, d) 7.66(1H, d) 5.02(1H, m) 3.77(2H, s) 1.51(6H, d)  |
| D-143  | 9.023(1H, s) 8.90(1H, d) 7.66(1H, d) 3.75(2H, s) 1.78(9H, s)   |
| D-166  | 9.25(1H, s) 8.91(1H, d) 7.66(1H, d) 7.25-7.42(5H) 5.09(2H, s) 3.88(2H, s)  |
| D-207  | 9.04(1H, s) 8.84(1H, d) 7.59(1H, d) 7.50(2H, d) 7.24(2H, d) 4.05(2H, s)  |
| D-210  | 9.31(1H, s) 8.90(1H, d) 4.06(1H, q) 3.38(3H, s) 1.71(3H, d)  |

| Cpd   | 1H-NMR   |
|-------|--|
| D-213 | 9.29(1H, s) 8.90(1H, d) 7.66(1H, s) 5.02(1H, m) 4.10(1H, q) 1.68(3H, d)<br>1.53(6H, d)                     |
| D-269 | 9.23(1H, s) 8.89(1H, d) 7.65(1H, d) 7.25-7.38(5H) 5.08(2H) 4.05(1H, q)<br>1.70(3H, d)                      |
| D-310 | 9.04(1H, s) 8.83(1H, d) 7.58(1H, d) 7.47(2H, d) 7.24(2H, d) 4.21(1H, q)<br>1.80(3H, d)                     |
| D-313 | 9.32(1H, s) 8.90(1H, d) 7.66(1H, d) 3.39(3H, s) 1.69(6H, s)  |
| D-316 | 9.28(1H, s) 8.88(1H, d) 7.65(1H, d) 5.00(1H, m) 1.63(6H, s) 1.50(6H, d)                                    |
| D-372 | 9.20(1H, s) 9.87(1H, d) 7.64(1H, d) 7.20-7.40(5H) 5.10(2H, s) 1.68(6H, s)                                  |
| D-722 | 9.06(1H, s) 8.83(1H, d) 7.59(1H, d) 7.48(2H, d) 7.26(2H, d) 1.80(6H, s)                                    |
| D-825 | 9.23(1H, s) 8.080(1H, d) 7.58(1H, d) 5.29(1H, d) 3.84(1H, dd) 3.76(2H)<br>3.46(1H) 3.29(3H, s) 1.23(3H, t) |
| E-1   | 9.45(1H) 9.16(1H, s) 8.82(1H, d) 7.55(1H, d) 4.61(2H, t) 3.95(2H, t)                                       |
| E-11  | 9.30(1H, s) 8.84(1H, d) 8.43(1H, s) 7.64(1H, d) 4.09(3H, s)  |
| E-29  | 9.30(1H, s) 8.86(1H, d) 8.47(1H, s) 7.64(1H, d) 6.02(1H, m) 5.32-3.36(2H)<br>5.08(1H, d)                   |
| E-30  | 9.26(1H, s) 8.95(1H, s) 8.93(1H, d) 7.78(1H, d) 5.33(2H, s) (in acetone-d6)                                |
| E-31  | 9.32(1H, s) 8.92(1H, d) 8.51(1H, s) 7.75(1H, d) 5.24(2H, s) 3.82(3H, s)                                    |
| E-39  | 9.26(1H, s) 8.86(1H, d) 8.49(1H, s) 7.63(1H, d) 5.21(2H, s) 4.27(2H, q)<br>1.29(3H, t)                     |
| E-74  | 9.48(1H, s) 9.10(1H, d) 8.58(1H, s) 8.24(1H, d) 7.27-7.43(5H) 5.66(2H, s)                                  |
| E-102 | 9.52(1H, s) 8.95(1H, d) 8.18(1H, d) 7.17(1H, d) 6.93(1H, d) 3.89(3H, s)                                    |
| E-103 | 9.21(1H, s) 8.87(1H, d) 7.73(1H, d) 7.58(1H, d) 7.09(1H, d) 5.17(2H, s) (in<br>acetone-d6)                 |
| E-104 | 9.25(1H, s) 8.83(1H, d) 7.63(1H, d) 7.10(1H, d) 6.83(1H, d) 5.01(2H, s)<br>3.81(3H, s)                     |
| E-112 | 9.24(1H, s) 8.82(1H, d) 7.61(1H, d) 7.09(1H, d) 6.82(1H, d) 4.98(2H, s)                                    |

| Cpd   | 1H-NMR   |
|-------|--|
|       | 4.26(2H, q) 1.29(3H, t)  |
| E-537 | 9.45(1H, s) 9.03(1H, d) 8.23(1H, d) 7.37-7.45(3H) 7.27(2H, d) 7.14(1H, d)<br>6.92(1H, d) 5.48(2H, s)   |
| F-12  | 9.27(1H, s) 8.91(1H, d) 8.27(1H, s) 8.18(1H, d) 7.64-7.77(3H)  |
| F-39  | 9.34(1H, s) 8.86(1H, d) 7.75(1H, d) 7.64(1H, d) 7.26-7.53(3H) 6.00(1H, m)<br>5.12-5.32(2H) 5.13(1H, d) |
| H-1   | 9.30(1H, s) 8.83(1H, d) 7.74(1H, d) 7.62(1H, d) 7.24-7.43(8H) 5.73(2H, s)                              |
| G-1   | 11.85(1H) 9.08(1H, s) 8.76(1H, d) 7.54(1H, d) 3.58(2H, m) 3.14(2H, m)<br>2.24(2H, m)                   |
| K-1   | 9.18(1H, s) 8.81(1H, d) 7.58(1H, d) 7.18-7.28(3H) 7.01(1H, d) 4.05(2H, s)                              |
| J-1   | 2.90(1H, d) 8.77(1H, s) 7.63(1H, d) 4.36(2H, t) 3.65(2H, t)  |

According to a further feature of the present invention there is provided a method for the control of pests at a locus which comprises the application of an effective amount of a compound of formula (I) or a salt thereof. For this purpose, the said compound

5       is normally used in the form of a pesticidal composition (i.e. in association with compatible diluents or carriers and/or surface active agents suitable for use in pesticidal compositions), for example as hereinafter described.

The term "compound of the invention" as used hereinafter embraces a 3-pyridylcarboxamide of formula (I) as defined above and a pesticidally acceptable salt thereof.

One aspect of the present invention as defined above is a method for the control of pests at a locus. The locus includes, for example, the pest itself, the place (plant, field, forest, orchard, waterway, soil, plant product, or the like) where the pest resides or feeds, or a place susceptible to future infestation by the pest. The compound of the invention may therefore be applied directly to the pest, to the place where the pest resides or feeds, or to the place susceptible to future infestation by the pest.

As is evident from the foregoing pesticidal uses, the present invention provides pesticidally active compounds and methods of use of said compounds for the control of a number of pest species which includes: arthropods, especially insects or mites, or plant nematodes. The compound of the invention may thus be advantageously

- 5 employed in practical uses, for example, in agricultural or horticultural crops, in forestry, in veterinary medicine or livestock husbandry, or in public health.

The compounds of the invention may be used for example in the following applications and on the following pests:

For the control of soil insects, such as corn rootworm, termites (especially for

- 10 protection of structures), root maggots, wireworms, root weevils, stalkborers, cutworms, root aphids, or grubs. They may also be used to provide activity against plant pathogenic nematodes, such as root-knot, cyst, dagger, lesion, or stem or bulb nematodes, or against mites. For the control of soil pests, for example corn rootworm, the compounds are advantageously applied to or incorporated at an
- 15 effective rate into the soil in which crops are planted or to be planted or to the seeds or growing plant roots.

In the area of public health, the compounds are especially useful in the control of many insects, especially filth flies or other Dipteron pests, such as houseflies, stableflies, soldierflies, hornflies, deerflies, horseflies, midges, punkies, blackflies, or

- 20 mosquitoes.

In the protection of stored products, for example cereals, including grain or flour, groundnuts, animal feedstuffs, timber or household goods, e.g. carpets and textiles, compounds of the invention are useful against attack by arthropods, more especially beetles, including weevils, moths or mites, for example *Ephestia* spp. (flour moths),

- 25 *Anthrenus* spp. (carpet beetles), *Tribolium* spp. (flour beetles), *Sitophilus* spp. (grain weevils) or *Acarus* spp. (mites).

In the control of cockroaches, ants or termites or similar arthropod pests in infested domestic or industrial premises or in the control of mosquito larvae in waterways, wells, reservoirs or other running or standing water.

- 30 For the treatment of foundations, structures or soil in the prevention of the attack on building by termites, for example, *Reticulitermes* spp., *Heterotermes* spp., *Coptotermes* spp..

- In agriculture against adults, larvae and eggs of Lepidoptera (butterflies and moths), e.g. *Heliothis* spp. such as *Heliothis virescens* (tobacco budworm), *Heliothis armigera* and *Heliothis zea*. Against adults and larvae of Coleoptera (beetles) e.g. *Anthonomus* spp. e.g. *grandis* (cotton boll weevil), *Leptinotarsa decemlineata*
- 5      (Colorado potato beetle), *Diabrotica* spp. (corn rootworms). Against Heteroptera (Hemiptera and Homoptera) e.g. *Psylla* spp., *Bemisia* spp., *Trialeurodes* spp., *Aphis* spp., *Myzus* spp., *Megoura viciae*, *Phylloxera* spp., *Nephrotettix* spp. (rice leaf hoppers), *Nilaparvata* spp..
- Against Diptera e.g. *Musca* spp.. Against Thysanoptera such as *Thrips tabaci*.
- 10     Against Orthoptera such as *Locusta* and *Schistocerca* spp., (locusts and crickets) e.g. *Gryllus* spp., and *Acheta* spp. for example, *Blatta orientalis*, *Periplaneta americana*, *Blatella germanica*, *Locusta migratoria migratorioides*, and *Schistocerca gregaria*. Against Collembola e.g. *Periplaneta* spp. and *Blatella* spp. (roaches).
- Against arthropods of agricultural significance such as Acari (mites) e.g. *Acarus siro*,
- 15     *Argas* spp., *Ornithodoros* spp., *Dermanyssus gallinae*, *Eriophyes ribis*, *Phyllocoptrus oleivora*, *Boophilus* spp., *Rhipicephalus* spp., *Amblyomma* spp., *Hyalomma* spp., *Ixodes* spp., *Psoroptes* spp., *Chorioptes* spp., *Sarcoptes* spp., *Tarsonemus* spp., *Bryobia praetiosa*, *Panonychus* spp., *Tetranychus* spp., *Eotetranychus* spp., *Oligonychus* spp., *Eutetranychus* spp.
- 20     From the order of the Isopoda, for example, *Oniscus aselus*, *Armadium vulgare*, *Porcellio scaber*.
- Against nematodes which attack plants or trees of importance to agriculture, forestry or horticulture either directly or by spreading bacterial, viral, mycoplasma or fungal diseases of the plants. The plant-parasitic nematodes which can be controlled in
- 25     accordance with the invention include, for example, the root-parasitic soil-dwelling nematodes such as, for example, those of the genera *Meloidogyne* (root knot nematodes, such as *Meloidogyne incognita*, *Meloidogyne hapla* and *Meloidogyne javanica*), *Heterodera* and *Globodera* (cyst-forming nematodes, such as *Globodera rostochiensis*, *Globodera pallida*, *Heterodera trifolii*) and of the genera *Radopholus*,
- 30     such as *Radopholus similis*, *Pratylenchus* such as *Pratylenchus neglectus*, *Pratylenchus penetrans* and *Pratylenchus curvitatus*;

Tylenchulus such as *Tylenchulus semipenetrans*, *Tylenchorhynchus*, such as *Tylenchorhynchus dubius* and *Tylenchorhynchus claytoni*, *Rotylenchus* such as *Rotylenchus robustus*, *Heliocotylenchus* such as *Haliocotylenchus multicinctus*, *Belonoaimus* such as *Belonoaimus longicaudatus*, *Longidorus* such as *Longidorus elongatus*, *Trichodorus* such as *Trichodorus primitivus* and *Xiphinema* such as *Xiphinema index*.

- Other nematode genera which can be controlled using the compounds according to the invention are *Ditylenchus* (stem parasites, such as *Ditylenchus dipsaci* and 10 *Ditylenchus destructor*), *Aphelenchoides* (foliar nematodes, such as *Aphelenchoides ritzemabosi*) and *Anguina* (seed nematodes, such as *Anguina tritici*). In the field of veterinary medicine or livestock husbandry or in the maintenance of public health against arthropods which are parasitic internally or externally upon vertebrates, particularly warm-blooded vertebrates, for example domestic animals, 15 e.g. cattle, sheep, goats, equines, swine, poultry, dogs or cats, for example *Acarina*, including ticks (e.g. *Ixodes* spp., *Boophilus* spp. e.g. *Boophilus microplus*, *Rhipicephalus* spp. e.g. *Rhipicephalus appendiculatus* *Ornithodoros* spp. (e.g. *Ornithodoros moubata*) and mites (e.g. *Damalinia* spp.); fleas; *Diptera* (e.g. *Aedes* spp., *Anopheles* spp., *Musca* spp., *Hypoderma* spp.); *Hemiptera*; *Dictyoptera* (e.g. 20 *Periplaneta* spp., *Blatella* spp.); *Hymenoptera*; for example against infections of the gastro-intestinal tract caused by parasitic nematode worms, for example members of the family *Trichostrongylidae*. From the class of the helminths, for example, *Haemonchus*, *Trichostrongylus*, *Ostertagia*, *Cooperia*, *Chabertia*, *Strongyloides*, *Oesophagostomum*, *Hyostrongylus*, 25 *Ancylostoma*, *Ascaris* and *Heterakis* and also *Fasciola*.

- From the class of the Gastropoda, for example, *Deroceras* spp., *Arion* spp., *Lymnaea* spp., *Galba* spp., *Succinea* spp., *Biomphalaria* spp., *Bulinus* spp., *Oncomelania* spp.
- 30 From the class of the Bivalva, for example, *Dreissena* spp.

In practical use for the control of arthropods, especially insects or acarids, or nematode pests of plants, a method, for example, comprises applying to the plants or to the medium in which they grow an effective amount of a compound of the invention. For such a method, the compound of the invention is generally applied to

5 the locus in which the arthropod or nematode infestation is to be controlled at an effective rate in the range of about 2g to about 1kg of the active compound per hectare of locus treated. Under ideal conditions, depending on the pest to be controlled, a lower rate may offer adequate protection. On the other hand, adverse weather conditions, resistance of the pest or other factors may require that the active

10 ingredient be used at higher rates. The optimum rate depends usually upon a number of factors, for example, the type of pest being controlled, the type or the growth stage of the infested plant, the row spacing or also the method of application. Preferably an effective rate range of the active compound is from about 10g/ha to about 400g/ha, more preferably from about 50g/ha to about 200 g/ha.

15 When a pest is soil-borne, the active compound generally in a formulated composition, is distributed evenly over the area to be treated (ie, for example broadcast or band treatment) in any convenient manner and is applied at rates from about 10g/ha to about 400g ai/ha, preferably from about 50g/ha to about 200 g ai/ha. When applied as a root dip to seedlings or drip irrigation to plants the liquid solution

20 or suspension contains from about 0.075 to about 1000 mg ai/l, preferably from about 25 to about 200 mg ai/l. Application may be made, if desired, to the field or crop-growing area generally or in close proximity to the seed or plant to be protected from attack. The compound of the invention can be washed into the soil by spraying with water over the area or can be left to the natural action of rainfall. During or after

25 application, the formulated compound can, if desired, be distributed mechanically in the soil, for example by ploughing, disking, or use of drag chains. Application can be prior to planting, at planting, after planting but before sprouting has taken place, or after sprouting.

The compound of the invention and methods of control of pests therewith are of

30 particular value in the protection of field, forage, plantation, glasshouse, orchard or vineyard crops, of ornamentals, or of plantation or forest trees, for example: cereals (such as wheat or rice), cotton, vegetables (such as peppers), field crops (such as

- sugar beets, soybeans or oil seed rape), grassland or forage crops (such as maize or sorghum), orchards or groves (such as of stone or pit fruit or citrus), ornamental plants, flowers or vegetables or shrubs under glass or in gardens or parks, or forest trees (both deciduous and evergreen) in forests, plantations or nurseries.
- 5 They are also valuable in the protection of timber (standing, felled, converted, stored or structural) from attack, for example, by sawflies or beetles or termites. They have applications in the protection of stored products such as grains, fruits, nuts, spices or tobacco, whether whole, milled or compounded into products, from moth, beetle, mite or grain weevil attack. Also protected are stored animal products
- 10 such as skins, hair, wool or feathers in natural or converted form (e.g. as carpets or textiles) from moth or beetle attack as well as stored meat, fish or grains from beetle, mite or fly attack.
- Additionally, the compound of the invention and methods of use thereof are of particular value in the control of arthropods or helminths which are injurious to, or
- 15 spread or act as vectors of diseases domestic animals, for example those hereinbefore mentioned, and more especially in the control of ticks, mites, lice, fleas, midges, or biting, nuisance or myiasis flies. The compounds of the invention are particularly useful in controlling arthropods or helminths which are present inside domestic host animals or which feed in or on the skin or suck the blood of the
- 20 animal, for which purpose they may be administered orally, parenterally, percutaneously or topically.
- The compositions hereinafter described for application to growing crops or crop growing loci or as a seed dressing may, in general, alternatively be employed in the protection of stored products, household goods, property or areas of the general
- 25 environment. Suitable means of applying the compounds of the invention include: to growing crops as foliar sprays (for example as an in-furrow spray), dusts, granules, fogs or foams or also as suspensions of finely divided or encapsulated compositions as soil or root treatments by liquid drenches, dusts, granules, smokes or foams; to seeds of crops via application as seed dressings by liquid slurries or
- 30 dusts;
- to animals infested by or exposed to infestation by arthropods or helminths, by parenteral, oral or topical application of compositions in which the active ingredient

exhibits an immediate and/or prolonged action over a period of time against the arthropods or helminths, for example by incorporation in feed or suitable orally-ingestible pharmaceutical formulations, edible baits, salt licks, dietary supplements, pour-on formulations, sprays, baths, dips, showers, jets, dusts, greases, shampoos,

5 creams, wax smears or livestock self-treatment systems;

to the environment in general or to specific locations where pests may lurk, including stored products, timber, household goods, or domestic or industrial premises, as sprays, fogs, dusts, smokes, wax-smears, lacquers, granules or baits, or in tricklefeeds to waterways, wells, reservoirs or other running or standing water.

10

The compounds of the formula (I) can also be employed for controlling harmful organisms in crops of known genetically engineered plants or genetically engineered plants yet to be developed. As a rule, the transgenic plants are distinguished by especially advantageous properties, for example by resistances to particular crop

15 protection agents, resistances to plant diseases or pathogens of plant diseases, such as particular insects or microorganisms such as fungi, bacteria or viruses.

Other particular properties concern, for example, the harvested material with regard to quantity, quality, storage properties, composition and specific constituents. Thus, transgenic plants are known where the starch content is increased, or the starch

20 quality is altered, or where the harvested material has a different fatty acid composition.

The use in economically important transgenic crops of useful plants and ornamentals is preferred, for example of cereals such as wheat, barley, rye, oats, millet, rice,

25 cassava and maize or else crops of sugar beet, cotton, soya, oilseed rape, potatoes, tomatoes, peas and other types of vegetables.

When used in transgenic crops, in particular those which have resistances to insects, effects are frequently observed, in addition to the effects against harmful organisms

30 to be observed in other crops, which are specific for application in the transgenic crop in question, for example an altered or specifically widened spectrum of pests

which can be controlled, or altered application rates which may be employed for application.

The invention therefore also relates to the use of compounds of the formula (I) for  
5 controlling harmful organisms in transgenic crop plants.

According to a further feature of the present invention there is provided a pesticidal composition comprising one or more compounds of the invention as defined above, in association with, and preferably homogeneously dispersed in one or more  
10 compatible pesticidally acceptable diluents or carriers and/or surface active agents [i.e. diluents or carriers and/or surface active agents of the type generally accepted in the art as being suitable for use in pesticidal compositions and which are compatible with compounds of the invention].

- In practice, the compounds of the invention most frequently form parts of  
15 compositions. These compositions can be employed to control arthropods, especially insects and acarids, or helminths such as plant nematodes. The compositions may be of any type known in the art suitable for application to the desired pest in any premises or indoor or outdoor area. These compositions contain at least one compound of the invention as the active ingredient in combination or  
20 association with one or more other compatible components which are for example, solid or liquid carriers or diluents, adjuvants, surface-active-agents, or the like appropriate for the intended use and which are agronomically or medicinally acceptable. These compositions, which may be prepared by any manner known in the art, likewise form a part of this invention.  
25 The compounds of the invention, in their commercially available formulations and in the use forms prepared from these formulations may be present in mixtures with other active substances such as insecticides, attractants, sterilants, acaricides, nematicides, fungicides, growth regulatory substances or herbicides.  
30 The pesticides include, for example, phosphoric esters, carbamates, carboxylic esters, formamidines, tin compounds and materials produced by microorganisms.

Preferred components in mixtures are:

1. from the group of the phosphorus compounds

acephate, azamethiphos, azinphos-ethyl, azinphos-methyl, bromophos, bromophos-

- 5 ethyl, cadusafos (F-67825), chlorethoxyphos, chlorfenvinphos, chlormephos, chlorpyrifos, chlorpyrifos-methyl, demeton, demeton-S-methyl, demeton-S-methyl sulfone, dialifos, diazinon, dichlorvos, dicrotophos, dimethoate, disulfoton, EPN, ethion, ethoprophos, etrimfos, famphur, fenamiphos, fenitriothion, fensulfothion, fenthion, flupyrazofos, fonofos, formothion, fosthiazate, heptenophos, isazophos, 10 isothioate, isoxathion, malathion, methacrifos, methamidophos, methidathion, salithion, mevinphos, monocrotophos, naled, omethoate, oxydemeton-methyl, parathion, parathion-methyl, phentoate, phorate, phosalone, phosfolan, phosphocarb (BAS-301), phosmet, phosphamidon, phoxim, pirimiphos, pirimiphos-ethyl, pirimiphos-methyl, profenofos, propaphos, proetamphos, prothiofos, 15 pyraclofos, pyridapenthion, quinalphos, sulprofos, temephos, terbufos, tebupirimfos, tetrachlorvinphos, thiometon, triazophos, trichlorphon, vamidothion;

2. from the group of the carbamates

alanycarb (OK-135), aldicarb, 2-sec-butylphenyl methylcarbamate (BPMC), carbaryl,

- 20 carbofuran, carbosulfan, cloethocarb, benfuracarb, ethiofencarb, furathiocarb, HCN-801, isoprocarb, methomyl, 5-methyl-m-cumarylbutyryl (methyl)carbamate, oxamyl, pirimicarb, propoxur, thiodicarb, thifanox, 1-methylthio(ethylideneamino)-N-methyl-N-(morpholinothio)carbamate (UC 51717), triazamate;

25 3. from the group of the carboxylic esters

acrinathrin, allethrin, alphametrin, 5-benzyl-3-furylmethyl (E)- (1R)-cis-2,2-dimethyl-

3-(2-oxothiolan-3-ylidenemethyl)cyclopropanecarboxylate, beta-cyfluthrin, alpha-

cypermethrin, beta-cypermethrin, bioallethrin, bioallethrin ((S)-cyclopentylisomer),

bioresmethrin, bifenthrin, (RS)-1-cyano-1-(6-phenoxy-2-pyridyl)methyl (1RS)-trans-3-

- 30 (4-tert-butylphenyl)-2,2-dimethylcyclopropanecarboxylate (NCI 85193), cycloprothrin, cyfluthrin, cyhalothrin, cythithrin, cypermethrin, cyphenothrin, deltamethrin, empenthrin, esfenvalerate, fenfluthrin, fenpropathrin, fenvalerate, flucythrinate,

flumethrin, fluvalinate (D isomer), imiprothrin (S-41311), lambda-cyhalothrin, permethrin, phenothrin (@ isomer), prallethrin, pyrethrins (natural products), resmethrin, tefluthrin, tetramethrin, theta-cypermethrin, tralomethrin, transfluthrin, zeta-cypermethrin (F-56701);

5

4. from the group of the amidines  
amitraz, chlordimeform;

5. from the group of the tin compounds  
10 cyhexatin, fenbutatin oxide;

6. others

abamectin, ABG-9008, acetamiprid, acequinocyl, Anagrypha falcitera, AKD-1022, AKD-3059, ANS-118, azadirachtin, Bacillus thuringiensis, Beauveria bassiana,  
15 bensultap, bifenazate, binapacryl, BJL-932, bromopropylate, BTG-504, BTG-505, buprofezin, camphechlor, cartap, chlorobenzilate, chlorgenapyr, chlorfluazuron, 2-(4-chlorophenyl)-4,5-diphenylthiophene (UBI-T 930), chlorfentezine, chlorproxyfen, chromafenozone, clothianidine, 2-naphthylmethyl cyclopropanecarboxylate (Ro12-0470), cyromazin, diacloden (thiamethoxam), diafenthiuron, DBI-3204, ethyl 2-chloro-N-(3,5-dichloro-4-(1,1,2,3,3,3-hexafluoro-1-propyloxy)phenyl)carbamoyl)-2-carboximidate, DDT, dicofol, diflubenzuron, N-(2,3-dihydro-3-methyl-1,3-thiazol-2-ylidene)-2,4-xylidine, dihydroxymethyldihydroxypyrrolidine, dinobuton, dinocap, diofenolan, emamectin benzoate, endosulfan, ethiprole (sulfethiprole), ethofenprox, etoxazole, fenazaquin, fenoxy carb, fipronil, fluazuron, flumite (flufenazine, SZI-121),  
20 2-fluoro-5-(4-(4-ethoxyphenyl)-4-methyl-1-pentyl)diphenyl ether (MTI 800), granulosis and nuclear polyhedrosis viruses, fenpyroximate, fenthioncarb, fluacrypyrim, flubenzimine, flubrocythrinate, flucycloxuron, flufenoxuron, flufenazine, flufenprox, flupropyfen, gamma-HCH, halofenozide, halofenprox, hexaflumuron (DE\_473), hexythiazox, HOI-9004, hydramethylnon (AC 217300), IKI-220,  
25 indoxacarb, ivermectin, L-14165, imidacloprid, indoxacarb (DPX-MP062), kanemite (AKD-2023), lufenuron, M-020, M-020, methoxyfenozide, milbemectin, NC-196, neemgard, nadinoterfuran, nitenpyram, 2-nitromethyl-4,5-dihydro-6H-thiazine (DS

- 52618), 2-nitromethyl-3,4-dihydrothiazole (SD 35651), 2-nitromethylene-1,2-thiazinan-3-ylcarbamaldehyde (WL 108477), novaluron, pirydaryl, propargite, protrifenbute, pymethrozine, pyridaben, pyrimidifen, pyriproxyfen, NC-196, NC-1111, NNI-9768, novaluron (MCW-275), OK-9701, OK-9601, OK-9602, OK-9802, R-195,
- 5 RH-0345, RH-2485, RYI-210, S-1283, S-1833, SI-8601, silafluofen, silomadine (CG-177), spinosad, spirodiclofen, SU-9118, tebufenozide, tebufenpyrad, teflubenzuron, tetradifon, tetrasul, thiacyclam, thiamethoxam, tolfenpyrad, triazamate, triethoxyspinosyn A, triflumuron, verbutin, vertalec (mykotal), YI-5301.
- 10 The abovementioned components for combinations are known active substances, many of which are described in Ch.R Worthing, S.B. Walker, The Pesticide Manual, 12<sup>th</sup> Edition, British Crop Protection Council, Farnham 2000.
- 15 The effective use doses of the compounds employed in the invention can vary within wide limits, particularly depending on the nature of the pest to be eliminated or degree of infestation, for example, of crops with these pests. In general, the compositions according to the invention usually contain about 0.05 to about 95% (by weight) of one or more active ingredients according to the invention, about 1 to about 95% of one or more solid or liquid carriers and, optionally, about 0.1 to about 50% of
- 20 one or more other compatible components, such as surface-active agents or the like. In the present account, the term "carrier" denotes an organic or inorganic ingredient, natural or synthetic, with which the active ingredient is combined to facilitate its application, for example, to the plant, to seeds or to the soil. This carrier is therefore generally inert and it must be acceptable (for example, agronomically acceptable, particularly to the treated plant).
- 25 The carrier may be a solid, for example, clays, natural or synthetic silicates, silica, resins, waxes, solid fertilizers (for example ammonium salts), ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite, bentonite or diatomaceous earth, or ground synthetic minerals, such as silica, alumina, or silicates especially aluminium or magnesium silicates. As solid carriers for granules the following are suitable: crushed or fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite; synthetic granules of inorganic or

organic meals; granules of organic material such as sawdust, coconut shells, corn cobs, corn husks or tobacco stalks; kieselguhr, tricalcium phosphate, powdered cork, or absorbent carbon black; water soluble polymers, resins, waxes; or solid fertilizers.

Such solid compositions may, if desired, contain one or more compatible wetting,

- 5 dispersing, emulsifying or colouring agents which, when solid, may also serve as a diluent.

The carrier may also be liquid, for example: water; alcohols, particularly butanol or glycol, as well as their ethers or esters, particularly methylglycol acetate; ketones, particularly acetone, cyclohexanone, methylethyl ketone, methylisobutylketone, or

- 10 isophorone; petroleum fractions such as paraffinic or aromatic hydrocarbons, particularly xylenes or alkyl naphthalenes; mineral or vegetable oils; aliphatic chlorinated hydrocarbons, particularly trichloroethane or methylene chloride; aromatic chlorinated hydrocarbons, particularly chlorobenzenes; water-soluble or strongly polar solvents such as dimethylformamide, dimethyl sulphoxide, or N-
- 15 methylpyrrolidone; liquefied gases; or the like or a mixture thereof.

The surface-active agent may be an emulsifying agent, dispersing agent or wetting agent of the ionic or non-ionic type or a mixture of such surface-active agents.

Amongst these are e.g., salts of polyacrylic acids, salts of lignosulphonic acids, salts of phenolsulphonic or naphthalenesulphonic acids, polycondensates of ethylene

- 20 oxide with fatty alcohols or fatty acids or fatty esters or fatty amines, substituted phenols (particularly alkylphenols or arylphenols), salts of sulphonesuccinic acid esters, taurine derivatives (particularly alkyltaurates), phosphoric esters of alcohols or of polycondensates of ethylene oxide with phenols, esters of fatty acids with polyols, or sulphate, sulphonate or phosphate functional derivatives of the above compounds.

- 25 The presence of at least one surface-active agent is generally essential when the active ingredient and/or the inert carrier are only slightly water soluble or are not water soluble and the carrier agent of the composition for application is water.

Compositions of the invention may further contain other additives such as adhesives or colorants. Adhesives such as carboxymethylcellulose or natural or synthetic

- 30 polymers in the form of powders, granules or lattices, such as arabic gum, polyvinyl alcohol or polyvinyl acetate, natural phospholipids, such as cephalins or lecithins, or synthetic phospholipids can be used in the formulations. It is possible to use

colorants such as inorganic pigments, for example: iron oxides, titanium oxides or Prussian Blue; organic dyestuffs, such as alizarin dyestuffs, azo dyestuffs or metal phthalocyanine dyestuffs; or trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum or zinc.

- 5 For their agricultural application, the compounds of the invention are therefore generally in the form of compositions, which are in various solid or liquid forms. Solid forms of compositions which can be used are dusting powders (with a content of the compound of the invention, ranging up to 80%), wettable powders or granules (including water dispersible granules), particularly those obtained by extrusion,
- 10 compacting, impregnation of a granular carrier, or granulation starting from a powder (the content of the compound of the invention, in these wettable powders or granules being between about 0.5 and about 80%). Solid homogenous or heterogenous compositions containing one or more compounds of the invention, for example granules, pellets, briquettes or capsules, may be used to treat standing or running
- 15 water over a period of time. A similar effect may be achieved using trickle or intermittent feeds of water dispersible concentrates as described herein.
- Liquid compositions, for example, include aqueous or non-aqueous solutions or suspensions (such as emulsifiable concentrates, emulsions, flowables, dispersions, or solutions) or aerosols. Liquid compositions also include, in particular, emulsifiable
- 20 concentrates, dispersions, emulsions, flowables, aerosols, wettable powders (or powder for spraying), dry flowables or pastes as forms of compositions which are liquid or intended to form liquid compositions when applied, for example as aqueous sprays (including low and ultra-low volume) or as fogs or aerosols.
- Liquid compositions, for example, in the form of emulsifiable or soluble concentrates
- 25 most frequently comprise about 5 to about 80% by weight of the active ingredient, while the emulsions or solutions which are ready for application contain, in their case, about 0.01 to about 20% of the active ingredient. Besides the solvent, the emulsifiable or soluble concentrates may contain, when required, about 2 to about 50% of suitable additives, such as stabilizers, surface-active agents, penetrating
- 30 agents, corrosion inhibitors, colorants or adhesives. Emulsions of any required concentration, which are particularly suitable for application, for example, to plants, may be obtained from these concentrates by dilution with water. These

compositions are included within the scope of the compositions which may be employed in the present invention. The emulsions may be in the form of water-in-oil or oil-in-water type and they may have a thick consistency.

The liquid compositions of this invention may, in addition to normal agricultural use  
5 applications be used for example to treat substrates or sites infested or liable to infestation by arthropods (or other pests controlled by compounds of this invention) including premises, outdoor or indoor storage or processing areas, containers or equipment or standing or running water.

All these aqueous dispersions or emulsions or spraying mixtures can be applied, for  
10 example, to crops by any suitable means, chiefly by spraying, at rates which are generally of the order of about 100 to about 1,200 liters of spraying mixture per hectare, but may be higher or lower (eg. low or ultra-low volume) depending upon the need or application technique. The compound or compositions according to the invention are conveniently applied to vegetation and in particular to roots or leaves  
15 having pests to be eliminated. Another method of application of the compounds or compositions according to the invention is by chemigation, that is to say, the addition of a formulation containing the active ingredient to irrigation water. This irrigation may be sprinkler irrigation for foliar pesticides or it can be ground irrigation or underground irrigation for soil or for systemic pesticides.

20 The concentrated suspensions, which can be applied by spraying, are prepared so as to produce a stable fluid product which does not settle (fine grinding) and usually contain from about 10 to about 75% by weight of active ingredient, from about 0.5 to about 30% of surface-active agents, from about 0.1 to about 10% of thixotropic agents, from about 0 to about 30% of suitable additives, such as anti-foaming  
25 agents, corrosion inhibitors, stabilizers, penetrating agents, adhesives and, as the carrier, water or an organic liquid in which the active ingredient is poorly soluble or insoluble. Some organic solids or inorganic salts may be dissolved in the carrier to help prevent settling or as antifreezes for water.

The wettable powers (or powder for spraying) are usually prepared so that they  
30 contain from about 10 to about 80% by weight of active ingredient, from about 20 to about 90% of a solid carrier, from about 0 to about 5% of a wetting agent, from about 3 to about 10% of a dispersing agent and, when necessary, from about 0 to about

80% of one or more stabilizers and/or other additives, such as penetrating agents, adhesives, anti-caking agents, colorants, or the like. To obtain these wettable powders, the active ingredient is thoroughly mixed in a suitable blender with additional substances which may be impregnated on the porous filler and is ground

5 using a mill or other suitable grinder. This produces wettable powders, the wettability and the suspendability of which are advantageous. They may be suspended in water to give any desired concentration and this suspension can be employed very advantageously in particular for application to plant foliage.

The "water dispersible granules (WG)" (granules which are readily dispersible in

10 water) have compositions which are substantially close to that of the wettable powders. They may be prepared by granulation of formulations described for the wettable powders, either by a wet route (contacting finely divided active ingredient with the inert filler and a little water, e.g.: 1 to 20% by weight, or with an aqueous solution of a dispersing agent or binder, followed by drying and screening), or by a

15 dry route (compacting followed by grinding and screening).

The rates and concentrations of the formulated compositions may vary according to the method of application or the nature of the compositions or use thereof. Generally speaking, the compositions for application to control arthropod or helminth pests usually contain from about 0.00001% to about 95%, more particularly from about

20 0.0005% to about 50% by weight of one or more compounds of the invention, or of total active ingredients (that is to say the compounds of the invention, together with other substances toxic to arthropods or helminths, synergists, trace elements or stabilizers). The actual compositions employed and their rate of application will be selected to achieve the desired effect(s) by the farmer, livestock producer, medical

25 or veterinary practitioner, pest control operator or other person skilled in the art.

Solid or liquid compositions for application topically to animals, timber, stored products or household goods usually contain from about 0.00005% to about 90%, more particularly from about 0.001% to about 10%, by weight of one or more compounds of the invention. For administration to animals orally or parenterally,

30 including percutaneously solid or liquid compositions, these normally contain from about 0.1% to about 90% by weight of one or more compounds of the invention.

Medicated feedstuffs normally contain from about 0.001% to about 3% by weight of

- one or more compounds of the invention. Concentrates or supplements for mixing with feedstuffs normally contain from about 5% to about 90%, preferably from about 5% to about 50%, by weight of one or more compounds of the invention. Mineral salt licks normally contain from about 0.1% to about 10% by weight of one or more
- 5 compounds of formula (I) or pesticidally acceptable salts thereof.
- Dusts or liquid compositions for application to livestock, goods, premises or outdoor areas may contain from about 0.0001% to about 15%, more especially from about 0.005% to about 2.0%, by weight, of one or more compounds of the invention.
- Suitable concentrations in treated waters are between about 0.0001 ppm and about
- 10 20 ppm, more particularly about 0.001 ppm to about 5.0 ppm. of one or more compounds of the invention, and may be used therapeutically in fish farming with appropriate exposure times. Edible baits may contain from about 0.01% to about 5%, preferably from about 0.01% to about 1.0%, by weight, of one or more compounds of the invention.
- 15 When administered to vertebrates parenterally, orally or by percutaneous or other means, the dosage of compounds of the invention, will depend upon the species, age, or health of the vertebrate and upon the nature and degree of its actual or potential infestation by arthropod or helminth pests. A single dose of about 0.1 to about 100 mg, preferably about 2.0 to about 20.0 mg, per kg body weight of the
- 20 animal or doses of about 0.01 to about 20.0 mg, preferably about 0.1 to about 5.0 mg, per kg body weight of the animal per day, for sustained medication, are generally suitable by oral or parenteral administration. By use of sustained release formulations or devices, the daily doses required over a period of months may be combined and administered to animals on a single occasion.
- 25 The following composition EXAMPLES 2A - 2M illustrate compositions for use against arthropods, especially insects or acarids, or helminths such as plant nematodes, which comprise, as active ingredient, compounds of the invention, such as those described in preparative examples. The compositions described in EXAMPLES 2A - 2M can each be diluted to give a sprayable composition at
- 30 concentrations suitable for use in the field. Generic chemical descriptions of the ingredients (for which all of the following percentages are in weight percent), used in the composition EXAMPLES 2A - 2M exemplified below, are as follows:

|    | Trade Name             | Chemical Description                                  |
|----|------------------------|---|
|    | Ethyilan BCP           | Nonylphenol ethylene oxide condensate                 |
|    | Soprophor BSU          | Tristyrylphenol ethylene oxide condensate             |
|    | Arylan CA              | A 70% w/v solution of calcium dodecylbenzenesulfonate |
| 5  | Solvesso 150           | Light C10 aromatic solvent                            |
|    | Arylan S               | Sodium dodecylbenzenesulfonate                        |
|    | Darvan NO <sub>2</sub> | Sodium lignosulphonate                                |
|    | Celite PF              | Synthetic magnesium silicate carrier                  |
|    | Sopropon T36           | Sodium salts of polycarboxylic acids                  |
| 10 | Rhodigel 23            | Polysaccharide xanthan gum                            |
|    | Bentone 38             | Organic derivative of magnesium montmorillonite       |
|    | Aerosil                | Microfine silicon dioxide                             |

**EXAMPLE 2A**

- 15 A water soluble concentrate is prepared with the composition as follows:

|                     |     |
|---------------------|-----|
| Active ingredient   | 7%  |
| Ethyilan BCP        | 10% |
| N-methylpyrrolidone | 83% |

To a solution of Ethylan BCP dissolved in a portion of N-methylpyrrolidone is added the active ingredient with heating and stirring until dissolved. The resulting solution is made up to volume with the remainder of the solvent.

**20 EXAMPLE 2B**

- An emulsifiable concentrate (EC) is prepared with the composition as follows:

|                     |          |
|---------------------|----------|
| Active ingredient   | 25%(max) |
| Soprophor BSU       | 10%      |
| Arylan CA           | 5%       |
| N-methylpyrrolidone | 50%      |
| Solvesso 150        | 10%      |

25

The first three components are dissolved in N-methylpyrrolidone and to this is then added the Solvesso 150 to give the final volume.

**EXAMPLE 2C**

A wettable powder (WP) is prepared with the composition as follows:

|                        |     |
|------------------------|-----|
| Active ingredient      | 40% |
| Arylan S               | 2%  |
| Darvan NO <sub>2</sub> | 5%  |
| Celite PF              | 53% |

The ingredients are mixed and ground in a hammer-mill to a powder with a particle size of less than 50 microns.

5

**EXAMPLE 2D**

An aqueous-flowable formulation is prepared with the composition as follows:

|                   |        |
|-------------------|--------|
| Active ingredient | 40.00% |
| Ethylan BCP       | 1.00%  |
| Sopropon T360.    | 0.20%  |
| Ethylene glycol   | 5.00%  |
| Rhodigel 230.     | 0.15%  |
| Water             | 53.65% |

The ingredients are intimately mixed and are ground in a bead mill until a mean particle size of less than 3 microns is obtained.

10

**EXAMPLE 2E**

An emulsifiable suspension concentrate is prepared with the composition as follows:

|                   |       |
|-------------------|-------|
| Active ingredient | 30.0% |
| Ethylan BCP       | 10.0% |
| Bentone 38        | 0.5%  |
| Solvesso 150      | 59.5% |

The ingredients are intimately mixed and ground in a beadmill until a mean particle size of less than 3 microns is obtained.

15

**EXAMPLE 2F**

A water dispersible granule is prepared with the composition as follows:

|                   |     |
|-------------------|-----|
| Active ingredient | 30% |
| Darvan No 2       | 15% |
| Arylan S          | 8%  |
| Celite PF         | 47% |

The ingredients are mixed, micronized in a fluid-energy mill and then granulated in a rotating pelletizer by spraying with water (up to 10%). The resulting granules are

- 5 dried in a fluid-bed drier to remove excess water.

**EXAMPLE 2G**

A dusting powder is prepared with the composition as follows:

|                       |           |
|-----------------------|-----------|
| Active ingredient     | 1 to 10%  |
| Talc powder-superfine | 99 to 90% |

The ingredients are intimately mixed and further ground as necessary to achieve a

- 10 fine powder. This powder may be applied to a locus of arthropod infestation, for example refuse dumps, stored products or household goods or animals infested by, or at risk of infestation by, arthropods to control the arthropods by oral ingestion.

Suitable means for distributing the dusting powder to the locus of arthropod infestation include mechanical blowers, handshakers or livestock self treatment

- 15 devices.

**EXAMPLE 2H**

An edible bait is prepared with the composition as follows:

|                   |             |
|-------------------|-------------|
| Active ingredient | 0.1 to 1.0% |
| Wheat flour       | 80%         |
| Molasses          | 19.9 to 19% |

- The ingredients are intimately mixed and formed as required into a bait form. This  
20 edible bait may be distributed at a locus, for example domestic or industrial premises, e.g. kitchens, hospitals or stores, or outdoor areas, infested by arthropods, for example ants, locusts, cockroaches or flies, to control the arthropods by oral ingestion.

**EXAMPLE 2I**

A solution formulation is prepared with a composition as follows:

|                    |     |
|--------------------|-----|
| Active ingredient  | 15% |
| Dimethyl sulfoxide | 85% |

The active ingredient is dissolved in dimethyl sulfoxide with mixing and or heating as required. This solution may be applied percutaneously as a pour-on application to domestic animals infested by arthropods or, after sterilization by filtration through a polytetrafluoroethylene membrane (0.22 micrometer pore size), by parenteral injection, at a rate of application of from 1.2 to 12 ml of solution per 100 kg of animal body weight.

10

**EXAMPLE 2J**

A wettable powder is prepared with the composition as follows:

|                   |     |
|-------------------|-----|
| Active ingredient | 50% |
| Ethylen BCP       | 5%  |
| Aerosil           | 5%  |
| Celite PF         | 40% |

The Ethylan BCP is absorbed onto the Aerosil which is then mixed with the other ingredients and ground in a hammer-mill to give a wettable powder, which may be diluted with water to a concentration of from 0.001% to 2% by weight of the active compound and applied to a locus of infestation by arthropods, for example, dipterous larvae or plant nematodes, by spraying, or to domestic animals infested by, or at risk of infection by arthropods, by spraying or dipping, or by oral administration in drinking water, to control the arthropods.

20

**EXAMPLE 2K**

A slow release bolus composition is formed from granules containing the following components in varying percentages(similar to those described for the previous compositions) depending upon need:

Active ingredient

Density agent

Slow-release agent

Binder

The intimately mixed ingredients are formed into granules which are compressed into a bolus with a specific gravity of 2 or more. This can be administered orally to ruminant domestic animals for retention within the reticulo-rumen to give a continual slow release of active compound over an extended period of time to control

- 5 infestation of the ruminant domestic animals by arthropods.

#### EXAMPLE 2L

A slow release composition in the form of granules, pellets, briquettes or the like can be prepared with compositions as follows:

Active ingredient 0.5 to 25%

Polyvinyl chloride 75 to 99.5%

Diethyl phthalate (plasticizer)

- 10 The components are blended and then formed into suitable shapes by melt-extrusion or molding. These composition are useful, for example, for addition to standing water or for fabrication into collars or eartags for attachment to domestic animals to control pests by slow release.

#### 15 EXAMPLE 2M

A water dispersible granule is prepared with the composition as follows:

|                       |          |
|-----------------------|----------|
| Active ingredient     | 85%(max) |
| Polyvinylpyrrolidone  | 5%       |
| Attapulgite clay      | 6%       |
| Sodium lauryl sulfate | 2%       |
| Glycerine             | 2%       |

The ingredients are mixed as a 45% slurry with water and wet milled to a particle size of 4 microns, then spray-dried to remove water.

## METHODS OF PESTICIDAL USE

The following representative test procedures, using compounds of the invention, were conducted to determine the parasiticidal and pesticidal activity of compounds of the invention.

5

### METHOD A:

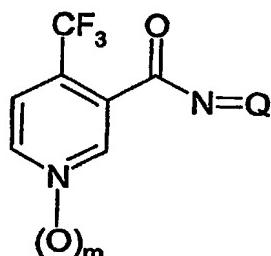
Germinated field bean seeds (*Vicia faba*) with seed roots were transferred into brown glass bottles filled with tap water and then populated with about 100 black bean aphids (*Aphis fabae*). Plants and aphids were then dipped into an aqueous solution of the formulated preparation to be examined for 5 seconds. After they had drained, plants and animals were stored in a climatized chamber (16 hours of light/day, 25°C, 40-60% relative atmospheric humidity). After 3 and 6 days of storage, the effect of the preparation on the aphids was determined. At a concentration of 300 ppm (based on the content of active compound), representative Compounds of the Invention caused a mortality of 90-100% among the aphids.

### Example B

Germinated field bean seeds (*Vicia faba*) with seed roots were transferred into brown glass bottles filled with tap water. Four milliliters of an aqueous solution of the formulated preparation to be examined were pipetted into the brown glass bottle. The field bean was then heavily populated with about 100 black bean aphids (*Aphis fabae*). Plants and aphids were then stored in a climatized chamber (16 hours of light/day, 25°C, 40-60% relative atmospheric humidity). After 3 and 6 days of storage, the root-systemic effect of the preparation on the aphids was determined. At a concentration of 30 ppm (based on the content of active compound), representative Compounds of the Invention caused a mortality of 90-100% among the aphids, by root-systemic action.

## CLAIMS

1. A compound of the formula (I):



5

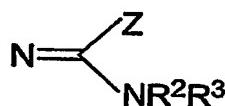
(I)

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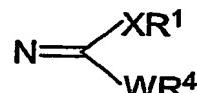
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wherein:

 $\text{N=Q}$  is a formula (A) or (B):

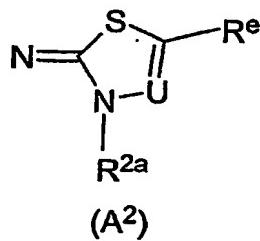
(A)



(B)

 $Z$  is  $\text{YR}^1$  or  $\text{NR}^5\text{R}^6$ ;

- 10 or when  $Z$  is  $\text{YR}^1$ ,  $\text{R}^1$  and  $\text{R}^3$  may form together with the adjacent  $-\text{Y}-\text{C}-\text{NR}^2-$  atoms, a five or six membered saturated heterocyclic ring which optionally contains an additional N or O atom, and is unsubstituted or substituted by one or more  $\text{R}^7$  groups or one of the ring carbon atoms may form a carbonyl or imino group, and which ring is optionally fused to a benzene ring optionally substituted by  $\text{R}^7$ ;
- 15 or when  $Z$  is  $\text{YR}^1$ ,  $\text{R}^1$  and  $\text{R}^3$  may form together with the adjacent  $-\text{Y}-\text{C}-\text{NR}^2-$  atoms, a group (A<sup>2</sup>):

(A<sup>2</sup>) $\text{Y}$ ,  $\text{X}$  and  $\text{W}$  are each independently O or S;or  $\text{R}^1$  and  $\text{R}^4$  may form together with the adjacent  $-\text{X}-\text{C}-\text{W}-$  group, a five or six

- 20 membered unsaturated, partially saturated or saturated heterocyclic ring,

- unsubstituted or substituted by one or more R<sup>7</sup> groups or one of the ring carbon atoms may form a carbonyl group;
- R<sup>1</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)alkenyl, (C<sub>3</sub>-C<sub>6</sub>)alkynyl or (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, which last four mentioned groups are unsubstituted or substituted by one or more R<sup>8</sup> groups; or is
- 5 (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl which cycloalkyl is unsubstituted or substituted by one or more R<sup>8</sup> groups; or is -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup> or -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>heterocyclyl; or when Y is O is (C<sub>1</sub>-C<sub>6</sub>)alkylamino, NH(C<sub>3</sub>-C<sub>8</sub>)cycloalkyl or NH(CH<sub>2</sub>)<sub>s</sub>R<sup>11</sup>;
- R<sup>2a</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)alkenyl, (C<sub>3</sub>-C<sub>6</sub>)alkynyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>3</sub>-C<sub>6</sub>)alkenyloxy, (C<sub>3</sub>-C<sub>6</sub>)alkynyloxy, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>)alkylamino,
- 10 NHCO(C<sub>1</sub>-C<sub>6</sub>)alkyl, NHSO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl, CO(C<sub>1</sub>-C<sub>6</sub>)alkyl or SO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl which last thirteen mentioned groups are unsubstituted or substituted by one or more R<sup>8</sup> groups; or is (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl which cycloalkyl is unsubstituted or substituted by one or more R<sup>8</sup> groups; or is -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup>, -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>heterocyclyl, OH, SO<sub>2</sub>R<sup>11</sup>, NH<sub>2</sub>, NHCOR<sup>11</sup>, NHR<sup>11</sup>, NH(C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, NH(CH<sub>2</sub>)<sub>s</sub>R<sup>11</sup>,
- 15 O(CHR<sup>10</sup>)<sub>r</sub>R<sup>11</sup>; O(CH<sub>2</sub>)<sub>r</sub>heterocyclyl or N=C[(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>; or is (C<sub>3</sub>-C<sub>6</sub>)alkenyl substituted by R<sup>11</sup>;
- R<sup>2</sup> and R<sup>5</sup> are each independently R<sup>2a</sup> or H;
- R<sup>3</sup> and R<sup>6</sup> are each independently H or R<sup>1</sup>;
- R<sup>4</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl substituted by R<sup>8</sup>; or is (C<sub>3</sub>-C<sub>6</sub>)alkenyl, (C<sub>3</sub>-C<sub>6</sub>)alkynyl or (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl which last three mentioned groups are unsubstituted or substituted by one or more R<sup>8</sup> groups; or is (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl unsubstituted or substituted by one or more R<sup>8</sup> groups; or is -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup> or -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>heterocyclyl; or when W is O, R<sup>4</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkylamino;
- 20 or R<sup>2</sup> and R<sup>3</sup> together with the adjacent N atom form a 3 to 8-membered unsaturated, partially saturated or saturated heterocyclic ring which optionally contains up to three additional N, O or S atoms and which ring is unsubstituted or substituted by one or more R<sup>7</sup> groups;
- 25 R<sup>7</sup> is R<sup>8</sup>, R<sup>4</sup>, (C<sub>1</sub>-C<sub>6</sub>)alkyl or CH<sub>2</sub>OH;
- R<sup>8</sup> is halogen, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)haloalkoxy, S(O)<sub>n</sub>R<sup>12</sup>, CN, CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl,
- 30 CO<sub>2</sub>H, NO<sub>2</sub>, OH, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>)alkylamino, carbamoyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylcarbamoyl, di-(C<sub>1</sub>-C<sub>6</sub>)-alkylcarbamoyl, CH[O(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>, (C<sub>3</sub>-C<sub>6</sub>)alkenyloxy, (C<sub>3</sub>-C<sub>6</sub>)alkynyloxy or O(CH<sub>2</sub>)<sub>r</sub>R<sup>11</sup>;

- $R^9$  and  $R^{10}$  are each independently H, ( $C_1-C_6$ )alkyl or ( $C_1-C_6$ )haloalkyl;  
 $R^{11}$  is aryl unsubstituted or substituted by one or more groups selected from ( $C_1-C_6$ )alkyl, ( $C_1-C_6$ )haloalkyl, ( $C_2-C_6$ )alkenyl, ( $C_2-C_6$ )alkynyl, ( $C_3-C_8$ )cycloalkyl,  $-(CH_2)_uR^{13}$ , heterocyclyl, halogen, ( $C_1-C_6$ )alkoxy, ( $C_1-C_6$ )haloalkoxy,  $S(O)_nR^{12}$ , CN,
- 5  $CO_2(C_1-C_6)$ alkyl,  $NO_2$ , amino, ( $C_1-C_6$ )alkylamino and di- $(C_1-C_6)$ alkylamino;  
 $R^{12}$  is ( $C_1-C_6$ )alkyl or ( $C_1-C_6$ )haloalkyl;  
 $R^{13}$  is phenyl unsubstituted or substituted by one or more groups selected from halogen, ( $C_1-C_6$ )alkyl and ( $C_1-C_6$ )haloalkyl;
- $R^e$  is H, ( $C_1-C_6$ )alkyl, ( $C_2-C_6$ )alkenyl, ( $C_2-C_6$ )alkynyl, ( $C_3-C_8$ )cycloalkyl, ( $C_3-C_8$ )cycloalkyl- $(C_1-C_6)$ alkyl, halogen, ( $C_1-C_6$ )alkoxy, ( $C_1-C_6$ )haloalkoxy,  $S(O)_nR^{12}$ , ( $C_3-C_6$ )alkenyloxy, ( $C_3-C_6$ )alkynyloxy,  $-(CH_2)_pR^{11}$ , heterocyclyl, CN,  $CO_2(C_1-C_6)$ alkyl,  $NO_2$ , amino, ( $C_1-C_6$ )alkylamino, di- $(C_1-C_6)$ alkylamino or  $O(CH_2)_rR^{11}$  wherein  $r$  is 0 or 1;
- U is N or CH,
- 15 m, s and u are each independently 0 or 1;  
n is 0, 1 or 2;  
p is 0, 1, 2 or 3;  
r is 0 or an integer from 1 to 6; and each heterocyclyl in the above mentioned radicals is independently a mono or bicyclic heterocyclic radical having 3 to 7 ring atoms in each ring and 1 to 4 hetero atoms selected from N, O and S;
- 20 with the proviso that in (A) when Z is  $NR^5R^6$  then up to three of  $R^2$ ,  $R^3$ ,  $R^5$  and  $R^6$  are not simultaneously H;  
or a pesticidally acceptable salt thereof.
- 25 2. A compound or a salt thereof as claimed in claim 1, wherein Z is  $YR^1$ ;  
or when Z is  $YR^1$ ,  $R^1$  and  $R^3$  may form together with the adjacent -Y-C-NR<sup>2</sup>- atoms, a five or six membered saturated heterocyclic ring which optionally contains an additional N or O atom, and is unsubstituted or substituted by one or more R<sup>7</sup> groups or one of the ring carbon atoms may form a carbonyl or imino group, and which ring 30 is optionally fused to a benzene ring optionally substituted by R<sup>7</sup>;  
one of X and W is O and the other is S;

or R<sup>1</sup> and R<sup>4</sup> may form together with the adjacent -X-C-W- group, a five or six membered unsaturated, partially saturated or saturated heterocyclic ring, unsubstituted or substituted by one or more R<sup>7</sup> groups or one of the ring carbon atoms may form a carbonyl group.

5

3. A compound or a salt thereof as claimed in claim 1 or 2, wherein R<sup>1</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl or (C<sub>3</sub>-C<sub>6</sub>)alkenyl, which groups are unsubstituted or substituted by one or more groups selected from (C<sub>1</sub>-C<sub>4</sub>)alkoxy, S(O)<sub>n</sub>R<sup>12</sup> and OH; or is -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup>.

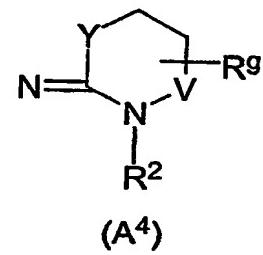
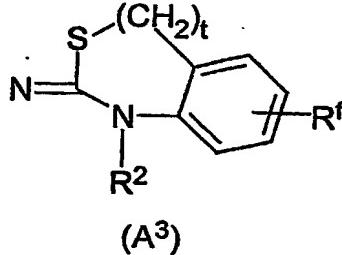
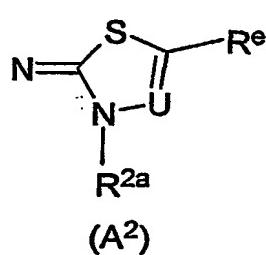
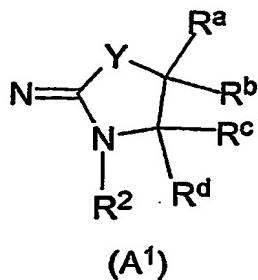
10 4. A compound or a salt thereof as claimed in any one of claims 1 to 3, wherein R<sup>2</sup> is H, (C<sub>3</sub>-C<sub>6</sub>)alkenyl, (C<sub>3</sub>-C<sub>6</sub>)alkynyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>3</sub>-C<sub>6</sub>)alkenyloxy, (C<sub>3</sub>-C<sub>6</sub>)alkynyloxy, -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup>, -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>heterocyclyl, NHR<sup>11</sup> or O(CH<sub>2</sub>)<sub>r</sub>R<sup>11</sup>; or is (C<sub>1</sub>-C<sub>8</sub>)alkyl unsubstituted or substituted by a di-(C<sub>1</sub>-C<sub>4</sub>)alkylamino group.

15 5. A compound or a salt thereof as claimed in any one of claims 1 to 4, wherein R<sup>3</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl or (C<sub>3</sub>-C<sub>6</sub>)alkenyl, which groups are unsubstituted or substituted by an (C<sub>1</sub>-C<sub>4</sub>)alkoxy or OH group; or is H or -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup>.

20 6. A compound or a salt thereof as claimed in any one of claims 1 to 5, wherein R<sup>4</sup> is (C<sub>1</sub>-C<sub>8</sub>)alkyl substituted by (C<sub>1</sub>-C<sub>4</sub>)alkoxy or OH; or is (C<sub>3</sub>-C<sub>6</sub>)alkenyl, (C<sub>3</sub>-C<sub>6</sub>)alkynyl or (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl which last three mentioned groups are unsubstituted or substituted by an (C<sub>1</sub>-C<sub>4</sub>)alkoxy or OH group; or is (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl which cycloalkyl is unsubstituted or substituted by an (C<sub>1</sub>-C<sub>4</sub>)alkoxy or OH group; or is -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>R<sup>11</sup> or -(CR<sup>9</sup>R<sup>10</sup>)<sub>p</sub>heterocyclyl.

25

7. A compound or a salt thereof as claimed in claim 1, wherein N=Q is a formula (A) in which Z is YR<sup>1</sup> and R<sup>1</sup> and R<sup>3</sup> form together with the adjacent -Y-C-NR<sup>2</sup>- atoms, a heterocyclic ring which is of formula (A<sup>1</sup>), (A<sup>2</sup>), (A<sup>3</sup>) or (A<sup>4</sup>):



wherein:

Y is O or S;

U is N or CH;

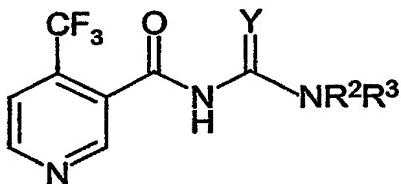
5 V is O or CH<sub>2</sub>;

t is 0 or 1;

- R<sup>a</sup>, R<sup>b</sup>, R<sup>c</sup> and R<sup>d</sup> are each independently selected from H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)haloalkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, halogen, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)haloalkoxy, S(O)<sub>n</sub>R<sup>12</sup>, (C<sub>2</sub>-C<sub>6</sub>)alkenyloxy, (C<sub>2</sub>-C<sub>6</sub>)alkynyloxy, R<sup>11</sup>,
- 10 heterocyclyl and O(CH<sub>2</sub>)<sub>r</sub>R<sup>11</sup> wherein r is 0 or 1;  
or R<sup>a</sup> and R<sup>b</sup>, or R<sup>c</sup> and R<sup>d</sup> may form a carbonyl or imino group;
- R<sup>e</sup> and R<sup>f</sup> are each independently selected from H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl, halogen, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)haloalkoxy, S(O)<sub>n</sub>R<sup>12</sup>, (C<sub>2</sub>-C<sub>6</sub>)alkenyloxy, (C<sub>2</sub>-C<sub>6</sub>)alkynyloxy, -(CH<sub>2</sub>)<sub>p</sub>R<sup>11</sup>,
- 15 heterocyclyl, CN, CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl, NO<sub>2</sub>, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>)alkylamino and O(CH<sub>2</sub>)<sub>r</sub>R<sup>11</sup> wherein r is 0 or 1;
- R<sup>g</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, halogen, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl or R<sup>11</sup>;
- R<sup>2a</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl unsubstituted or substituted by one or more groups selected from halogen, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, CH[O(C<sub>1</sub>-C<sub>6</sub>)alkyl]<sub>2</sub>, CN, CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl and CO<sub>2</sub>H; or is
- 20 (C<sub>3</sub>-C<sub>6</sub>)alkenyl unsubstituted or substituted by one or more halogen or phenyl groups; or is (C<sub>3</sub>-C<sub>6</sub>)alkynyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>3</sub>-C<sub>6</sub>)alkenyloxy or (C<sub>3</sub>-C<sub>6</sub>)alkynyloxy; or is -(CHR<sup>10</sup>)<sub>p</sub>R<sup>11</sup> wherein R<sup>10</sup> is H or (C<sub>1</sub>-C<sub>6</sub>)alkyl, p is 0 or 1 and R<sup>11</sup> is phenyl unsubstituted or substituted by one or more groups selected from halogen, (C<sub>1</sub>-C<sub>6</sub>)haloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)haloalkoxy and phenoxy unsubstituted or substituted by one or more groups selected from halogen and (C<sub>1</sub>-C<sub>6</sub>)haloalkyl; or is O(CHR<sup>10</sup>)<sub>r</sub>R<sup>11</sup> wherein R<sup>10</sup> is H or
- 25

(C<sub>1</sub>-C<sub>6</sub>)alkyl, r is 1 and R<sup>11</sup> is phenyl unsubstituted or substituted by one or more groups selected from (C<sub>1</sub>-C<sub>6</sub>)haloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy and NO<sub>2</sub>; and R<sup>2</sup> is R<sup>2a</sup> or H.

- 5 8. A process for the preparation of a compound of formula (I) or a salt thereof as defined in any one of claims 1 to 7, which process comprises:
- a) where N=Q is a formula (A) in which Z is YR<sup>1</sup>, m is zero, and R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, the reaction of a compound of formula (II):



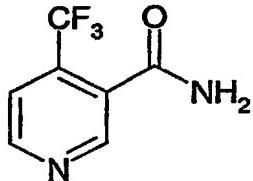
(II)

wherein Y, R<sup>2</sup> and R<sup>3</sup> are as defined in formula (I), with a compound of formula (III):



wherein R<sup>1</sup> is as defined in formula (I) and L is a leaving group in the presence of a base; or

- 15 b) where N=Q is a formula (A) in which Z is YR<sup>1</sup>, m is zero, R<sup>3</sup> is H, and R<sup>1</sup> and R<sup>2</sup> are as defined in formula (I), the 1-pot reaction of a compound of formula (IV):



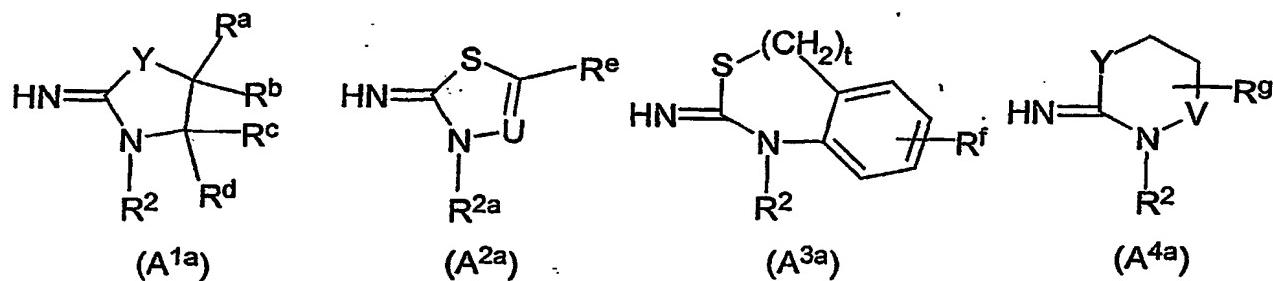
(IV)

with a strong base, and an isothiocyanate or isocyanate compound of formula (V):

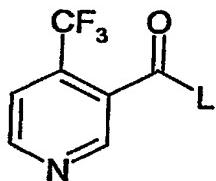


wherein R<sup>2</sup> is as defined in formula (I) to give the corresponding acylthiourea or acylurea intermediate of formula (II) above wherein R<sup>3</sup> is H, which is reacted with a compound of formula (III) as described in above process claim a);

- 25 c) where N=Q is a formula (A) which is a heterocyclic ring of formula (A<sup>1</sup>), (A<sup>2</sup>), (A<sup>3</sup>) or (A<sup>4</sup>), wherein the various symbols are as defined in claim 7; the acylation of the corresponding compound of formula (A<sup>1a</sup>), (A<sup>2a</sup>), (A<sup>3a</sup>) or (A<sup>4a</sup>):



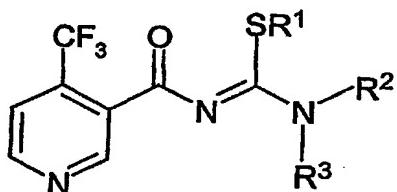
wherein the various symbols are as defined in claim 7, with a compound of formula (VI):



(VI)

wherein L is a leaving group;

- d) where N=Q is a formula (A) in which Z is NR<sup>5</sup>R<sup>6</sup>, m is zero, and R<sup>2</sup>, R<sup>3</sup>, R<sup>5</sup> and R<sup>6</sup> are as defined in formula (I), the reaction of a compound of formula (VII):



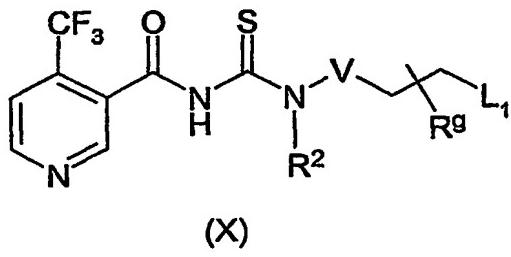
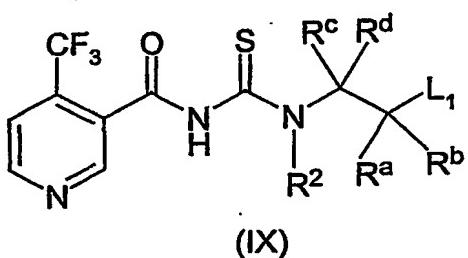
(VII)

wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in formula (I), with a compound of formula (VIII):



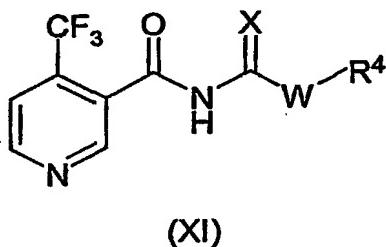
wherein R<sup>5</sup> and R<sup>6</sup> are as defined in formula (I), in the presence of a base;

- 15 e) where N=Q is a formula (A) which is a heterocyclic ring of formula (A<sup>1</sup>) or (A<sup>4</sup>), m is zero, Y is S and the other symbols are as defined in claim 7, the cyclisation reaction of a compound of formula (IX) or (X) respectively:



wherein the various symbols are as defined in formula (I) and  $\text{L}_1$  is a leaving group, in the presence of a base;

- 5 f) where  $m$  is zero and  $\text{N}=\text{Q}$  is a formula (B) in which  $\text{R}^1$  and  $\text{R}^4$  are as defined in formula (I), the reaction of a compound of formula (XI):



wherein  $\text{X}$ ,  $\text{W}$  and  $\text{R}^4$  are as defined in formula (I), with a compound of formula (III) as defined in the above process a), in the presence of a base;

- 10 g) where  $\text{Q}$  is as defined above, and  $m$  is 1 the oxidation of a corresponding compound in which  $m$  is 0; and

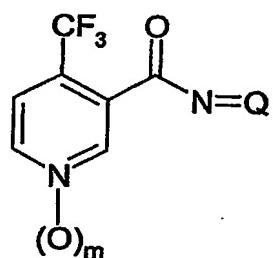
if desired, converting a resulting compound of formula (I) into a pesticidally acceptable salt thereof.

- 15 9. A pesticidal composition comprising a compound of formula (I) or a pesticidally acceptable salt thereof as defined in any one of claims 1 to 7, in association with a pesticidally acceptable diluent or carrier and/or surface active agent.

- 20 10. The use of compounds of the formula (I) or their salts as claimed in any of claims 1 to 7 as pesticides.

**ABSTRACT****EPO - Munich  
20****Pesticidal Method****J 6. Mai 2002**

- 5 The invention relates to a 3-pyridylcarboxamide derivative of formula (I):



(I)

wherein the various symbols are as defined in the description, compositions thereof, their use for the control of pests, and to processes for their preparation.